

STIC Search Report Biotech-Chem Library

STIC Database Tracking Number

TO: Emily M Le

Location: 3c35/3c18

Art Unit: 1648

Friday, August 05, 2005

Case Serial Number: 10/043086

From: Noble Jarrell

Location: Biotech-Chem Library

Rem 1B71

Phone: 272-2556

Noble.jarrell@uspto.gov

Search Notes

Checked 8/08/05 no prior out found.



ACCESS DB # 159 689 PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name:		Examiner #: 79936	Date: 7/13/05									
Art Unit: Phone	e Number: 2-	Serial Number: 1	01043086									
Location (Plda/Room#):	(Mailbox #):	Results Format Preferred	(circle): PAPER DISK									
**************************************	*******	********	******									
To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:												
Title of Invention:												
Inventors (please provide full names)):											
Earliest Priority Date:												
Search Topic: Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.												
For Sequence Searches Only Please in appropriate serial number.	clude all pertinent informatio	n (parent, child, divisional, or issue	d patent numbers) along with the									
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STAFF USE ONLY	Type of Search		t where applicable									
Searcher: noble	NA Sequence ((#) STN	Dialog									
Searcher Phone #:	AA Sequence ((#) Questel/C	Orbit Lexis/Nexis									
Searcher Location:		Westlaw	WWW/Internet									
Date Searcher Picked Up:	Bibliographic	In-house sec	quence systems									
Date Completed: 815165	Litigation	Commercial Interference	OligomerScore/LengthSPDI Encode/Transl									
Searcher Prep & Review Time: 33			ther (specify)									
Searcher Prep & Review Time: 35	rantext											

Jarrell, Noble

From: Le, Emily

Sent: Tuesday, July 12, 2005 4:35 PM

To: Jarreli, Noble Cc: Housel, James

Subject: Structure and registry search: 10/043086

Noble,

Please provide a search for the attached structures (1 generic and 11 specific structures).

Thanks!

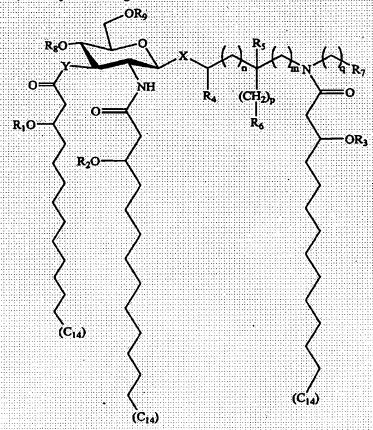
Emily Le Office, Rem 3C35 Mailbox, Rem 3C18 Tel., 2-0903

Sequence Search:



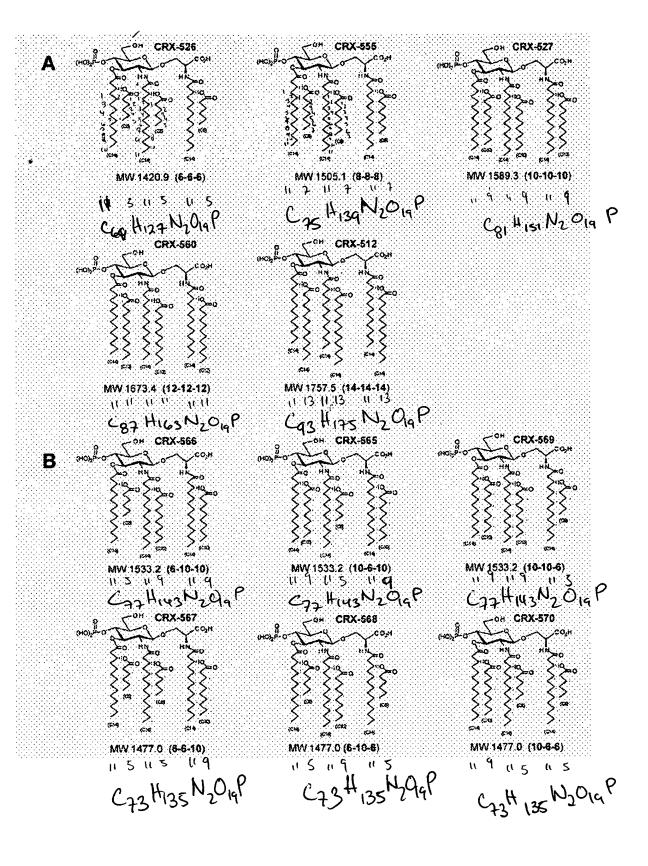
10043086.doc

49.(currently amended) A compound having the formula



wherein X is O; Y is O; m, n, p and q are each 0; R_4 , R_5 , R_7 and R_9 are each H; R_8 is phosphono; R_6 is selected from OH, CO₂H and CONH₂; and R_1 , R_2 and R_3 are independently selected from C_6 acyl groups and C_{10} acyl groups;

and or a pharmaceutically acceptable salt[s] thereof.



=> d his full

(FILE 'HOME' ENTERED AT 06:39:27 ON 05 AUG 2005)

FILE 'HCAPLUS' ENTERED AT 06:39:34 ON 05 AUG 2005
L1 6 SEA ABB=ON PLU=ON (US2003092643 OR US6764840 OR US2002048588
OR US6303347 OR US6113918)/PN

FILE 'REGISTRY' ENTERED AT 06:41:28 ON 05 AUG 2005

FILE 'HCAPLUS' ENTERED AT 06:41:30 ON 05 AUG 2005 TRA L1 1- RN : 313 TERMS

FILE 'REGISTRY' ENTERED AT 06:41:31 ON 05 AUG 2005 L3 313 SEA ABB=ON PLU=ON L2

=> b hcap

1.2

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FILE COVERS 1907 - 5 Aug 2005 VOL 143 ISS 7 FILE LAST UPDATED: 4 Aug 2005 (20050804/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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- L1 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:836578 HCAPLUS
- DN 139:307973
- ED Entered STN: 24 Oct 2003
- TI Preparation of aminoalkyl glucosaminide phosphates and their use as adjuvants and immuno-effectors
- IN Johnson, David A.; Sowell, C. Gregory
- PA Corixa Corporation, USA
- SO U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 43,086. CODEN: USXXCO
- DT Patent
- LA English
- IC A61K031-739; C08B037-00
- INCL 514042000; 536053000
- CC 33-7 (Carbohydrates)

Section cross-reference(s): 1, 15, 34, 63

FAN.CNT 10

PATENT NO. KIND DATE APPLICATION NO. DATE

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	US 6113918	00	A		0905			7-853826		19970508	
	US 6303347		B1		1016			, 033020 9-439839		19991112	
•	US 2002048588				0425			1-905160		20010712	
	US 6764840			2002		Ų.	200	1 202100		20020722	-
US 2003092643			B2 A1	2003		HS	200	2-43086		20020108	<
PRAI US 1997-853826			A2	1997		OD	200	2 43000		20020100	-
FIGI	US 1999-439		A1		1112 .						
	US 2001-905		A2	2001							
	US 2001-303		A2	2002							
CLASS		00	n ₂	2002	0100						
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us 2	2003199460	IC	A61K03	L-739I	c (08B0	37-	00			
		INCL									
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		ECLA		•	•						
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			536/119		, ,			·		•	
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		ECLA									<
US :	2003092643	NCL	514/042	2.000;	536/09	53.00	00;	536/054.	000;	424/234.100	
		ECLA	C07H01								<
os	MARPAT 139:										
GI											

Aminoalkyl qlucosaminide phosphate compds. (AGP) I were prepared wherein, X is selected from the group consisting of O and S at the axial or equatorial position; \bar{Y} is selected from the group consisting of O and NH; Q is (CH2)n; L is (CH2)m; W is (CH2)q; n, m, p, q are integers from 0 to 6; R is (CH2)10Me; R1-R3 are the same or different and are normal fatty acyl residues having from 1 to about 20 carbon atoms and where one of R1-R3 is optionally hydrogen; R4 and R5 are the same or different and are selected from the group consisting of H and methyl; R6 and R7 are the same or different and are selected from the group consisting of H, hydroxy, alkoxy, phosphono, phosphono-oxy, sulfo, sulfo-oxy, amino, mercapto, cyano, nitro, formyl and carboxy, and esters and amides thereof; and R8 and R9 are the same or different and are selected from the group consisting of phosphono and H, and at least one of R8 and R9 is phosphono, that are adjuvants and immuno-effectors are described and claimed. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as

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well as stimulate cytokine production and activate macrophages. Methods for
     using the compds. as adjuvants and immuno-effectors are also disclosed.
     Thus, N-[(R)-3-hydroxytetradecanoyl]-0-[2-deoxy-4-0-phosphono-2-[(R)-3-
     dodecanoyloxytetradecanoylamino]-3-0-[(R)-3-tetradecanoyloxytetradecanoyl]-
     α-L-D-qlucopyranosyl]-L-serine triethylammonium salt was prepared and
     tested in mice as adjuvants and immuno-effectors. Mice vaccinated with
     formalin-inactivated influenza and the AGP compds. of the subject
     invention mounted a protective immune response to an influenza challenge
     as well as produced antibody to that antigen.
     antiinfluenza IgG immunoeffector aminoalkyl glucosaminide phosphate prepn;
     cytokine adjuvant immunoeffector antitetanus toxoid amino acid prepn
     glycoside; aminoalkyl glucosaminide phosphate prepn adjuvant
     immunoeffector antitetanus toxoid antibody
    Antibodies and Immunoglobulins
IT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG, immobilized; preparation of aminoalkyl glucosaminide phosphates and
        their use as adjuvants and immuno-effectors)
IT
    Antibodies and Immunoglobulins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG1; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
    Antibodies and Immunoglobulins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG2a; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
TТ
    Antibodies and Immunoglobulins
    RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG2b; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
    Antibodies and Immunoglobulins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
TT
     Immunostimulants
        (adjuvants; preparation of aminoalkyl glucosaminide phosphates and their use
        as adjuvants and immuno-effectors)
IT
     Influenza
        (anti; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
TT
     Macrophage
     Vaccines
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
TT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
TТ
    Amino acids, preparation
    Antibodies and Immunoglobulins
     Cytokines
     Glycosides
     RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
     Toxoids
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (tetanus; preparation of aminoalkyl glucosaminide phosphates and their use
        as adjuvants and immuno-effectors)
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     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
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        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
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     109361-17-3
     RL: CAT (Catalyst use); USES (Uses)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
                                                 111-64-8, Octanoyl chloride
IT
     66-84-2 99-73-0, 2,4'-Dibromoacetophenone
     112-13-0, Decanoyl chloride 112-16-3, Lauroyl chloride 112-37-8,
                     112-64-1, Myristoyl chloride
                                                     764-85-2, Nonanoyl
     Undecanoic acid
                2456-81-7, 4-Pyrrolidinopyridine
                                                   2528-61-2, Heptanoyl
                17341-93-4, 2,2,2-Trichloroethyl chloroformate
                                                                 22348-97-6,
     chloride
     Methyl 3-oxotetradecanoate 22572-40-3, 1-(3-Dimethylaminopropyl)-3-
     ethylcarbodiimide methiodide 58577-87-0 65414-74-6, L-Serinamide
                    66270-36-8, 2,2,2-Trichloro-1,1-dimethylethyl
     hvdrochloride
                    66937-71-1 109977-90-4
                                                122078-72-2
                                                              133099-79-3,
     chloroformate
                                          166193-98-2
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     D-Serine benzyl ester 134304-48-6
     216014-70-9 339078-52-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
       (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
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     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
=> d all l1 2-6
     ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
     2003:376382 HCAPLUS
AN
DN
     138:384134
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Entered STN: 16 May 2003

ED

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Vaccine compositions comprising aminoalkyl glucosaminide phosphate
TI
     compounds as adjuvants and immunoeffectors for treating cancerous and
     infectious diseases
TN
     Johnson, David A.; Sowell, C. Gregory
PA
     Corixa Corporation, USA
    U.S. Pat. Appl. Publ., 60 pp., Cont.-in-part of U.S. Ser. No. 905,160.
SO
     CODEN: USXXCO
DT
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    English
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     ICM A61K039-02
     ICS A61K031-739; C07H005-04
INCL 514042000; 536053000; 536054000; 424234100
    15-2 (Immunochemistry)
     Section cross-reference(s): 1, 63
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    US 2002-43086
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CLASS
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                INCL
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                       514/042.000; 536/053.000
 US 2003199460
                NCL
                       C07H013/06C; C07H015/04D
                ECLA
OS
    MARPAT 138:384134
    Aminoalkyl glucosaminide phosphate (AGP) compds. that are adjuvants and
AB
     immunoeffectors are described and claimed. The compds. have a
     2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon)
     group. Compds. are phosphorylated at the 4 or 6 carbon on the
     glucosaminide ring and comprise three 3- alkanoyloxyalkanoyl residues.
     The compds. augment antibody production in immunized animals as well as
     stimulate cytokine production and activate macrophages. Compns. and methods
     for using the compds. as adjuvants and immunoeffectors are also disclosed.
     vaccine antigen tumor protein immune adjuvant aminoalkyl glucosaminide
ST
     phosphate; cancer infection antigen vaccine immune adjuvant aminoalkyl
     glucosaminide phosphate
IT
     Macrophage
        (activation; vaccine compns. comprising aminoalkyl glucosaminide
        phosphate compds. as adjuvants and immunoeffectors for treating
        cancerous and infectious diseases)
IT
     Immunostimulants
        (adjuvants; vaccine compns. comprising aminoalkyl glucosaminide
        phosphate compds. as adjuvants and immunoeffectors for treating
        cancerous and infectious diseases)
IT
     Functional groups
```

(aminoalkyl phosphate; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Blood serum

Mucous membrane

(antibody production; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems

(aqueous; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems

(carriers; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Immunity

(cell-mediated; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT T cell (lymphocyte)

(cytotoxic; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Glycosides

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(group; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Antigens

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hepatitis B surface; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Solutions

(isotonic, agent; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Oils

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(metabolizable: vaccine compres comprising aminoalkyl glucosaminide

(metabolizable; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems

(nasal, intra-; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Cytokines

RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(production; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems

(solns.; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Toxoids

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (tetanus; vaccine compns. comprising aminoalkyl glucosaminide phosphate

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compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
TΤ
    Vaccines
        (tumor; vaccine compns. comprising aminoalkyl glucosaminide phosphate
        compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
TT
    Animal
    Antioxidants
    Egg, poultry
    Emulsions
    Human
     Immunomodulators
     Immunostimulants
     Infection
    Influenza virus
    Mammalia
    Microparticles
    Microspheres
     Surfactants
    Vaccines
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
IT
    Antibodies and Immunoglobulins
     RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
    THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
IT
     Ovalbumin
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
TT
    Antigens
     Polynucleotides
    Tumor antigens
     Tumor antigens
     RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
     Phosphatidylcholines, biological studies
TT
     Phosphatidylethanolamines, biological studies
     Sphingomyelins
     Sphingosines
     Tocopherols
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
TT
    Antitumor agents
        (vaccines; vaccine compns. comprising aminoalkyl glucosaminide
        phosphate compds. as adjuvants and immunoeffectors for treating
        cancerous and infectious diseases)
     Infection
IT
        (viral; vaccine compns. comprising aminoalkyl glucosaminide phosphate
        compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
     125978-95-2P, Nitric oxide synthetase
IT
     RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
     THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (inducible; vaccine compns. comprising aminoalkyl glucosaminide
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phosphate compds. as adjuvants and immunoeffectors for treating
        cancerous and infectious diseases)
TT
     10102-43-9P, Nitric oxide, biological studies
    RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
    THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
              76-05-1, Trifluoroacetic acid, reactions
IT
     2,4'-Dibromoacetophenone 111-64-8, Octanoyl chloride 112-13-0,
    Decanoyl chloride 112-16-3, Lauroyl chloride 112-37-8, Undecanoic acid
     764-85-2, Nonanoyl chloride 1738-72-3, L-Serine benzyl ester
     2528-61-2, Heptanoyl chloride 6791-49-7, L-Serinamide 15219-34-8,
    Oxalyl bromide 16357-59-8, 2-Ethoxy-1-ethoxycarbonyl-1,2-
     dihydroquinoline 17341-93-4, 2,2,2-Trichloroethyl chloroformate
     22348-97-6, Methyl 3-oxotetradecanoate 22572-40-3, 1-(3-
    Dimethylaminopropyl)-3-ethylcarbodiimide methiodide
                                                          28715-21-1
                 58577-88-1 66270-36-8, 2,2,2-Trichloro-1,1-dimethylethyl
     58577-87-0
     chloroformate 66937-71-1, N-(2-Hydroxyethyl)glycine tert-butyl ester
                  109977-90-4 122078-72-2
                                              133099-79-3
                                                           134304-48-6
     105464-42-4
     142982-11-4
                  166193-98-2
                                216014-70-9
                                              216014-83-4
                                                            252042-31-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
                                91681-56-0P 122105-45-7P 122210-01-9P
     76062-98-1P
                  87357-76-4P
IT
                                                                216013-07-9P
                                   216013-05-7P
                                                 216013-06-8P
     186383-49-3P
                   216013-03-5P
                                                                 216013-14-8P
                   216013-11-5P
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                                                                216013-27-3P
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                                                                 216013-35-3P
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                                                 216013-31-9P
     216013-28-4P
                   216013-29-5P
                                   216013-42-2P
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     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
                                                                 216013-73-9P
                                   216013-47-7P
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     525604-85-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
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USES (Uses)

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(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
     3416-24-8DP, 2-Deoxy-2-amino-glucose, aminoalkyl phosphate derivs. RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
IT
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
IT
     56-81-5, Glycerol, biological studies 63-89-8 83-44-3
                                                                 102-71-6.
     Triethanolamine, biological studies 111-02-4, Squalene
                                                                  121-44-8,
     Triethylamine, biological studies 360-65-6 998-07-2,
     1,2-Dimyristoyl-sn-glycero-3-phosphoethanolamine 1305-62-0, Calcium
     hydroxide, biological studies 7732-18-5, Water, biological studies
     10103-46-5, Calcium phosphate
                                    21645-51-2, Aluminum hydroxide, biological
              106392-12-5, PLURONIC F 68
     studies
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
IT
     525604-07-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (vaccine compns. comprising m p 43aminoalkyl glucosaminide phosphate
        compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
     ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
L1
     2002:182118 HCAPLUS
AN
DN
     136:217004
ED
     Entered STN: 14 Mar 2002
     Preparation of aminoalkyl glucosamine phosphates and their use as
TI
     adjuvants and immunoeffectors
ΤN
     Johnson, David A.; Sowell, C. Gregory
PΑ
     Corixa Corporation, USA
     U.S., 37 pp., Cont.-in-part of U.S. 6,113,918.
SO
     CODEN: USXXAM
DT
     Patent
T.A
     English
     ICM A61K045-00
     ICS C07H001-00; C07H011-04; C07H013-02
INCL 424278100
     33-7 (Carbohydrates)
     Section cross-reference(s): 1, 15, 63
FAN.CNT 10
                                             APPLICATION NO.
                                                                     DATE
     PATENT NO.
                         KIND
                                DATE
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    US 6355257
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                                             US 1998-74720
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                                            US 1997-853826
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     US 6113918
                          Α
     ES 2224397
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PRAI US 1997-853826
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CLASS
                 CLASS PATENT FAMILY CLASSIFICATION CODES
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                        A61K045-00
                        C07H001-00; C07H011-04; C07H013-02
                 ICS
                 INCL
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                        424/278.100; 536/001.110; 536/117.000; 536/119.000
 US 6355257
                 NCL
                 ECLA
                         424/278.100; 536/001.110; 536/018.400; 536/117.000;
                 NCL
 US 6113918
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                        C07H015/04D
     MARPAT 136:217004
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GΙ
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Aminoalkyl glucosamine phosphate compds. I (R = substituted alkyl; R1, R2 = H, phosphono; R3, R4 = fatty acid residue; R5 = undecyl; X = O, S; Y = O, NH) were prepared as adjuvants and immunoeffectors. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosamine ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Methods for using the compds. as adjuvants and immunoeffectors are also disclosed. Thus, N-carboxymethyl-N-[(R)-3-decanoyloxytetradecanoyl]-3-aminopropyl-2-deoxy-4-O-phosphono-2-[(R)-3-decanoyloxytetradecanoylamino]-3-O-[(R)-3-decanoyloxytetradecanoyl]-β-D-glucopyranoside triethylammonium salt was prepared and tested as adjuvant and immunoeffector for anti-tetanus and anti-influenza activities.

virucide vaccine aminoalkyl glucosamine phosphate prepn; cytokine prodn vaccine aminoalkyl glucosamine phosphate; vaccine antiinfluenza aminoalkyl glucosamine phosphate prepn; immunization antitetanus aminoalkyl glucosamine phosphate prepn; antitetanus IgG aminoalkyl glucosamine phosphate prepn; aminoalkyl glucosamine phosphate prepn; aminoalkyl glucosamine phosphate prepn immunoeffector adjuvant

IT Antibodies and Immunoglobulins

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IgG, immobilized; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antibodies and Immunoglobulins

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(IGG; preparation of aminoalkyl glucosamine phosphates and their use as
adjuvants and immunoeffectors)

IT Immunostimulants

(adjuvants; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antiviral agents

Immunization

Vaccines

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Cytokines

RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Glycosides

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antibodies and Immunoglobulins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT 109361-17-3

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RL: CAT (Catalyst use); USES (Uses)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
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IT
     216013-09-1P
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     RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     PREP (Preparation); USES (Uses)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
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IT
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                                   216014-95-8P
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     216014-93-6P
                    216014-94-7P
     216015-01-9P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
                                           99-73-0, 2,4'-Dibromoacetophenone
TТ
     66-84-2, D-Glucosamine hydrochloride
     111-64-8, Octanoyl chloride 112-13-0, Decanoyl chloride
                                                                 112-16-3,
                       112-37-8, Undecanoic acid 112-64-1, Myristoyl
     Lauroyl chloride
               764-85-2, Nonanoyl chloride
                                             1738-72-3, L-Serine benzyl ester
     chloride
     2528-61-2, Heptanoyl chloride
                                     22348-97-6, Methyl 3-oxotetradecanoate
                  65414-74-6, L-Serinamide hydrochloride
                                                           66270-36-8
     58577-87-0
                                              133099-79-3, D-Serine benzyl ester
                              122078-72-2
     66937-71-1
                  91578-89-1
     142982-11-4
                   166193-98-2
                                 216013-74-0
                                                216014-70-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
              THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE. CNT
RE
(1) Bulusu; Cyclic Analogues of Lipid A: Synthesis and Biological Activities
    1992, P3463 HCAPLUS
(2) Eustache; Charbohydrate Research 1994, V251, P251 HCAPLUS
(3) Ikeda; Chem Pharm Bull 1993, V41(10), P1879 HCAPLUS
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    Glucosamine-4-Phosphate Derivatives of Lipid A 1993, P1879 HCAPLUS
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Synthesized Monosaccharide Analogues of Lipid A in Mice 1985, P4621 HCAPLUS (8) Shimizu; Biological Activities and Antitumor Effects of Synthetic Lipid A Analogs Linked N-Acylated Serine 1995, P425 HCAPLUS
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ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
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     2001:757768 HCAPLUS
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     Entered STN: 17 Oct 2001
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     Aminoalkyl glucosaminide phosphate compounds and their use as adjuvants
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     and immunoeffectors
     Johnson, David A.; Sowell, C. Gregory
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     U.S., 44 pp., Cont.-in-part of U.S. 6,113,918.
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     ICS A61K045-00; C07H001-00; C07H015-00; C07H011-04
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CLASS

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     Aminoalkyl glucosaminide phosphate (AGP) compds. that are adjuvants and
AB
     immunoeffectors are described and claimed. The compds. have a
     2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon)
     group. Compds. are phosphorylated at the 4 or 6 carbon on the
     glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl residues. The
     compds. augment antibody production in immunized animals as well as stimulate
     cytokine production and activate macrophages. Methods for using the compds.
     as adjuvants and immunoeffectors are also disclosed.
     adjuvant immunoeffector aminoalkyl glucosaminide phosphate compd
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        (G1; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
ΙT
     Immunoglobulins
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        (G2b; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
     Immunoglobulins
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IT
     Immunoglobulins
     RL: BSU (Biological study, unclassified); MFM (Metabolic formation); THU
     (Therapeutic use); BIOL (Biological study); FORM (Formation,
     nonpreparative); USES (Uses)
         (M; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
IT
     Macrophage
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(activation; aminoalkyl glucosaminide phosphate compds. and their use
        as adjuvants and immunoeffectors)
TΤ
     Immunostimulants
        (adjuvants; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
IT
     Antioxidants
     Egg, poultry
     Emulsions
     Influenza virus
     Vaccines
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
     Fatty acids, biological studies
IT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
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     Cytokines
     Immunoglobulins
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     nonpreparative); USES (Uses)
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
    Antigens
IT
     Phosphatidylcholines, biological studies
     RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
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        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
     Phosphatidylethanolamines, biological studies
IT
     Sphingomyelins
     Sphingosines
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     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
IT
     Structure-activity relationship
        (antigenic; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
IT
     Drug delivery systems
        (carriers; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
IT
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        (cytotoxic; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
IT
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        (dispersion; aminoalkyl glucosaminide phosphate compds. and their use
        as adjuvants and immunoeffectors)
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        (hepatitis B surface; aminoalkyl glucosaminide phosphate compds. and
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IT
     Immunostimulants
        (immunoeffector; aminoalkyl glucosaminide phosphate compds. and their
        use as adjuvants and immunoeffectors)
IT
     Drug delivery systems
        (liqs., dispersions; aminoalkyl glucosaminide phosphate compds. and
        their use as adjuvants and immunoeffectors)
TТ
     Cell activation
        (macrophage; aminoalkyl glucosaminide phosphate compds. and their use
        as adjuvants and immunoeffectors)
ΙT
     Drug delivery systems
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(microparticles; aminoalkyl glucosaminide phosphate compds. and their

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use as adjuvants and immunoeffectors)
IT
     Drug delivery systems
        (microspheres; aminoalkyl glucosaminide phosphate compds. and their use
        as adjuvants and immunoeffectors)
IT
     Immunity
        (mucosal; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
TΤ
     Drug delivery systems
        (nasal, intra-; aminoalkyl glucosaminide phosphate compds. and their
        use as adjuvants and immunoeffectors)
IT
     Drug delivery systems
        (oily, metabolizable; aminoalkyl glucosaminide phosphate compds. and
        their use as adjuvants and immunoeffectors)
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     Toxoids
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     125978-95-2, Nitric oxide synthetase
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        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
     3416-24-8D, 2-Deoxy-2-amino-D-glucose, aminoalkyl phosphate derivs.
IT
     27194-79-2D, D-Glucosamine phosphate, aminoalkyl derivs.
     RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
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        and immunoeffectors)
              THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT
RE
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(2) Bulusu; J Med Chem 1992, V35(19), P3463 HCAPLUS
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(5) Johnson; US 6113918 2000 HCAPLUS
(6) Johnson; Bioorg Med Chem Lett 1999, V9(15), P2273 HCAPLUS
(7) Meyers; US B14912094 1994
(8) Miyajima; Chem Pharm Bull 1996, V44(12), P2268
(9) Myers; US 4912094 1990 HCAPLUS
(10) Shimizu; Chem Pharm Bull 1985, V33(10), P4621 HCAPLUS
(11) Shimizu; Int J Immunopharmacol 1994, V16(8), P659 HCAPLUS (12) Shimizu; Int J Immunopharmacol 1995, V17(5), P425 HCAPLUS
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     Entered STN: 18 May 2001
     Preparation of aminoalkyl glucosaminide phosphates and their use as
TΙ
     adjuvants and immuno-effectors
     Johnson, David A.; Sowell, C. Gregory
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PA
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                         C07H013/06C; C07H015/04D
 WO 2001034617
                         435/101.000; 424/278.100; 536/001.110; 536/018.400;
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                 NCL
                         536/117.000; 536/119.000
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                         C07H015/04D
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OS
     MARPAT 134:353474
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AB Aminoalkyl glucosaminide phosphate compds. (AGP) I were prepared wherein, X is selected from the group consisting of O and S at the axial or equatorial position; Y is selected from the group consisting of O and NH;

I

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Q is (CH2)n; L is (CH2)m; W is (CH2)q; n, m, p, q are integers from 0 to
6; R is (CH2)10Me; R1-R3 are the same or different and are normal fatty
acyl residues having from 1 to about 20 carbon atoms and where one of
R1-R3 is optionally hydrogen; R4 and R5 are the same or different and are
selected from the group consisting of H and methyl; R6 and R7 are the same
or different and are selected from the group consisting of H, hydroxy,
alkoxy, phosphono, phosphonooxy, sulfo, sulfoxy, amino, mercapto, cyano,
nitro, formyl and carboxy, and esters and amides thereof; and R8 and R9
are the same or different and are selected from the group consisting of
phosphono and H, and at least one of R8 and R9 is phosphono, that are
adjuvants and immuno-effectors are described and claimed. The compds.
have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl
(aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the
glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl residues. The
compds. augment antibody production in immunized animals as well as stimulate
cytokine production and activate macrophages. Methods for using the compds.
as adjuvants and immuno-effectors are also disclosed. Thus,
N-[(R)-3-hydroxytetradecanoy1]-0-[2-deoxy-4-0-phosphono-2-[(R)-3-
dodecanoyloxytetradecanoylamino]-3-0-[(R)-3-tetradecanoyloxytetradecanoyl]-
\alpha-L-D-qlucopyranosyl]-L-serine triethylammonium salt was prepared and
tested in mice as adjuvants and immuno-effectors. Mice vaccinated with
formalin-inactivated influenza and the AGP compds. of the subject
invention mounted a protective immune response to an influenza challenge
as well as produced antibody to that antigen.
antiinfluenza IgG immunoeffector aminoalkyl glucosaminide phosphate prepn;
cytokine adjuvant immunoeffector antitetanus toxoid amino acid prepn
glycoside; aminoalkyl glucosaminide phosphate prepn adjuvant
immunoeffector antitetanus toxoid antibody
Immunoglobulins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
   (G1; preparation of aminoalkyl glucosaminide phosphates and their use as
   adjuvants and immuno-effectors)
Immunoglobulins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
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   adjuvants and immuno-effectors)
Immunoglobulins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
   (G2b; preparation of aminoalkyl glucosaminide phosphates and their use as
   adjuvants and immuno-effectors)
Immunoglobulins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
   (G; preparation of aminoalkyl glucosaminide phosphates and their use as
   adjuvants and immuno-effectors)
Immunostimulants
   (adjuvants; preparation of aminoalkyl glucosaminide phosphates and their use
   as adjuvants and immuno-effectors)
Influenza
   (anti; preparation of aminoalkyl glucosaminide phosphates and their use as
   adjuvants and immuno-effectors)
Macrophage
   (preparation of aminoalkyl glucosaminide phosphates and their use as
   adjuvants and immuno-effectors)
Amino acids, preparation
Antibodies
Cytokines
Glycosides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of aminoalkyl glucosaminide phosphates and their use as
   adjuvants and immuno-effectors)
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     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
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        adjuvants and immuno-effectors)
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(1) Bulusu, M; J Med Chem 1992, V35, P3463 HCAPLUS
(2) Ikeda, K; Chem Pharm Bull 1993, V41(10), P1879 HCAPLUS
(3) Miyajima, K; Chem Pharm Bull 1996, V44(12), P2268
(4) Shimizu, T; Chem Pharm Bull 1985, V33(10), P4621 HCAPLUS
(5) Shimizu, T; Int J Immunopharmac 1994, V16(8), P659 HCAPLUS
(6) Shimizu, T; Int J Immunopharmac 1995, V17(5), P425 HCAPLUS
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     Johnson, David A.; Sowell, C. Gregory
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     33-7 (Carbohydrates)
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ECLA C07H015/04D AP 1181 ECLA . C07H015/04D MARPAT 130:14164

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$$R^{10}$$
 R^{20}
 R^{10}
 R^{10}

AB Aminoalkyl glucosamine phosphate compds. I (R = substituted alkyl; R1, R2 = H, phosphono; R3, R4 = fatty acid residue; R5 = undecyl; X = O, S; Y = O, NH) were prepared as adjuvants and immunoeffectors. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosamine ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Methods for using the compds. as adjuvants and immunoeffectors are also disclosed. Thus, N-carboxymethyl-N-[(R)-3-decanoyloxytetradecanoyl]-3-aminopropyl-2-deoxy-4-O-phosphono-2-[(R)-3-decanoyloxytetradecanoylamino]-3-0-[(R)-3- $\label{lem:decanoyloxytetradecanoyl]-} \textbf{\beta-D-glucopyranoside triethylammonium salt}$ was prepared and tested as adjuvant and immunoeffector for anti-tetanus and and anti-influenza activities.

virucide vaccine aminoalkyl glucosamine phosphate prepn; cytokine prodn STvaccine aminoalkyl glucosamine phosphate; vaccine antiinfluenza aminoalkyl glucosamine phosphate prepn; immunization antitetanus aminoalkyl glucosamine phosphate prepn; antitetanus IgG aminoalkyl glucosamine phosphate prepn; aminoalkyl glucosamine phosphate prepn immunoeffector adjuvant

тт Immunoglobulins

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(G; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Immunostimulants

(adjuvants; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

TT Antiviral agents

Immunization

Vaccines

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Glycosides

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

Antibodies TТ

Cytokines

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

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        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
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        and immunoeffectors)
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                                                               112-16-3,
     Lauroyl chloride 112-37-8, Undecanoic acid 112-64-1, Myristoyl
              764-85-2, Nonanoyl chloride 1738-72-3, L-Serine benzyl ester
     chloride
     2528-61-2, Heptanoyl chloride
                                   22348-97-6, Methyl 3-oxotetradecanoate
                 65414-74-6, L-Serinamide hydrochloride
                                                         66270-36-8
     58577-87-0
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        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
       and immunoeffectors)
             THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT
RE
(1) Eustache, J; Carbohydrate Research 1994, V251, P251 HCAPLUS
(2) Ikeda, K; Chemical and Pharmaceutical Bulletin 1993, V41(10), P1879 HCAPLUS
(3) Miyajima, K; Chemical and Pharmaceutical Bulletin 1996, V44(12), P2268
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                            2 AUG 2005
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AN
     2003-801176 [75]
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     1998-610316 [51]; 2001-355479 [37]; 2002-380932 [41]; 2002-655177 [70];
CR
     2004-051328 [05]
DNC C2003-221153
     Aminoalkyl glucosaminide phosphate compounds useful for treating cancer,
TI
     or useful as adjuvants and immunoeffectors.
     A96 B03 B04 D16
DC
IN
    JOHNSON, D A; SOWELL, C G
     (CORI-N) CORIXA CORP
PA
CYC
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     US 2003092643 A1 20030515 (200375)*
                                                      A61K039-02
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ADT
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PRAI US 2002-43086
                          19991112; US 2001-905160
                                                         20010712
     US 1999-439839
IC
     ICM A61K039-02
     ICS A61K031-739; C07H005-04
     US2003092643 A UPAB: 20040120
AB
     NOVELTY - An immunoeffector compound (I) comprising aminoalkyl
     glucosaminide phosphate is new.
          DETAILED DESCRIPTION - Immunoeffector compound having the structure
     (I) is new.
     X = 0 \text{ or } S;
       = O or NH;
          n, m, p,
                   q = 0-6;
          R1, R2, R3 = normal fatty acyl residues having 1-20C and where one
     of R1, R2 or R3 is option- ally hydrogen, which are same or different;
          R4, R5 = H and methyl, which are same or different;
          R6, R7 = H, hydroxy, alkoxy, phosphono, phosphonooxy, sulfo,
     sulfooxy, amino, mercap- to, cyano, nitro, formyl and carboxy, and esters
     and its amides, which are same or different; and
          R8 and R9 = H and phosphono, which are same or different, and at
     least one of R8 and R9 is phosphono.
          INDEPENDENT CLAIMS are also included for the following:
          (1) an immunogenic composition comprising (I), an antigen and a
```

suitable carrier;

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(2) a pharmaceutical composition (C1) comprising (I) and a carrier;
          (3) a composition (C2) comprising (I) and or more peptide; and
          (4) a composition (C3) comprising (I) and one or more polynucleotide.
          ACTIVITY - Virucide.
          The virucide effect of 2-((R)-3-Tetradecanoyloxytetradecanoylamino)et
     hyl 2-deoxy-4-0-3-phophono-3-0-((R)-3-tetradecanoyloxytetradecanoyl)
     -2-((R)-3-tetradecanoyloxytetradecanoylamino) beta -D-glucopyranoside
     (B19) was as follows. Mice were administered with hepatitis B surface
     antiqen (HBsAq) intranasally with B19 which produced serum IgG and IgA
     titers to that antigen. Secretory IgA was detected in vaginal washes and
     the induction of a cytotoxic T-lymphocyte response was detected by
     cytotoxicity assay. Groups of BALB/c mice were given a primary
     immunization intranasally with 2.5 micro g HBsAg+10 micro g AGP-AF in a
     volume of 20 micro 1. AGP-AF was prepared. Twenty-one days later mice were
    given a secondary immunization of 7.5 micro g HBsAg+10 micro g AGP-AF
     intranasally in 20 micro l volume. A tertiary immunization identical in
     composition to the secondary immunization was administered 28 days after
     the secondary immunization. Assays were conducted to detect cytotoxic
     T-lymphocyte activity at 16 days post secondary immunization and 8 days
     post tertiary immunization. Serum and mucosal antibody titers were
     assessed at 22 days post secondary immunization and 21 days post tertiary
     immunization. Antibody assays were conducted by standard enzyme linked
     immunosorbent assay (ELISA) methods. Cytotoxicity assays were conducted
     and better results were displayed for B19.
          MECHANISM OF ACTION - Stimulator of immune response (claimed).
          USE - (C1) is useful for enhancing immune response of a mammal. (III)
     or (IV) is useful for eliciting an immune response in a mammal (human),
     which involves administering (III) or (IV). The immune response is immuno
     protective (claimed).
          DESCRIPTION OF DRAWING(S) - The figure shows the graph depicting the
     percentage of human subjects achieving seroprotection by hepatitis B
     surface antigen (AgB) alone or in combination with the aminoalkyl
     glucosaminide phosphate (AGP).
     Dwg.1/4
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     AB; GI; DCN
FA
     CPI: A12-V01; B01-D02; B04-B01B; B04-C01; B04-E02F; B04-E03F; B05-B01P;
MC
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AN
     1998-610316 [51]; 2001-355479 [37]; 2002-380932 [41]; 2003-801176 [75];
CR
     2004-051328 [05]
DNC
    C2002-183971
     New Aminoalkyl glucoaminide phosphate derivatives, useful for enhancing
TI
     immune response and in vaccines.
DC
     JOHNSON, D A; SOWELL, C G
IN
     (JOHN-I) JOHNSON D A; (SOWE-I) SOWELL C G; (CORI-N) CORIXA CORP
PA
CYC
                                                      A61K031-70
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                     B2 20040720 (200448)
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     US 2002048588 A1 CIP of US 6113918; US 6764840 B2 CIP of US 6113918
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PRAI US 1999-439849
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IC
     ICM A61K031-70; C12P019-04
     ICS A61K039-00; A61K047-00; C07H001-00; C07H005-04
     US2002048588 A UPAB: 20040728
     NOVELTY - Aminoalkyl glucoaminide phosphate compounds are new.
          DETAILED DESCRIPTION - Aminoalkyl glucoaminide phosphate compounds of
     formula (I) are new.
```

```
X = 0 or S at the axial or equatorial position;
     Y = 0 \text{ or } NH;
                     = 0 to 6;
          m, n, p, q
          R1, R2, R3 = 1-20C fatty acyl residues and one is optionally H;
          R4, R5 = H or Me;
          R6, R7 = H, OH, alkoxy, phosphono, phosphonooxy, sulfo, sulfoxy,
     NH2, SH, CN, NO2, CHO, COOH, esters or amides;
          R8, R9 = phosphono or H, provided that at least one is phosphono.
          INDEPENDENT CLAIMS are included for:
          (1) a method for enhancing immune response comprising administration
     of (I);
          (2) a vaccine composition comprising (I), an antigen and a carrier;
     and
          (3) compositions comprising (I).
          ACTIVITY - Immunostimulant.
          USE - Compounds (I) are useful for enhancing immune response and in
     can be used in the form of a vaccine.
     Dwg.0/0
FS
     CPI
     AB; GI; DCN
FA
     CPI: B04-B01B; B05-B01M; B14-G01; B14-S11
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     2004-051328 [05]
DNC
     C2001-110191
     Aminoalkyl glucosaminide phosphate compounds useful as immunoeffectors for
TI
     augmenting antibody production, stimulating cytokine production and
     activating macrophages.
DC
     JOHNSON, D A; SOWELL, C G; SOWELL, G C
TN
     (CORI-N) CORIXA CORP
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CYC
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ADT WO 2001034617 A2 WO 2000-US31340 20001113; AU 2001019189 A AU 2001-19189
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     19991112; NO 2002002207 A WO 2000-US31340 20001113, NO 2002-2207 20020508;
     EP 1230250 A2 EP 2000-982119 20001113, WO 2000-US31340 20001113; BR
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     2003514783 W WO 2000-US31340 20001113, JP 2001-537329 20001113; CN 1409720
     A CN 2000-816859 20001113; MX 2002004774 A1 WO 2000-US31340 20001113, MX
     2002-4774 20020510; AU 773921 B2 Div ex AU 1998-74747 19980507, AU
     2001-19189 20001113; NZ 518860 A NZ 2000-518860 20001113, WO 2000-US31340
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FDT AU 2001019189 A Based on WO 2001034617; US 6303347 B1 CIP of US 6113918;
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on WO 2001034617; AU 773921 B2 Previous Publ. AU 2001019189, Based on WO
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          A61K047-02; A61K047-06; A61K047-10; A61K047-18; A61K047-22;
          A61K047-24; A61K047-26; A61K047-34; A61P037-02; A61P037-04;
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AB
     WO 200134617 A UPAB: 20041208
     NOVELTY - Aminoalkyl glucosaminide phosphate compounds (I), are new.
          DETAILED DESCRIPTION - Aminoalkyl glucosaminide phosphate compounds
     of formula (I) are new.
     X = 0 \text{ or } S;
     Y = O \text{ or } NH;
     n, m, p, q = 0-6:
          R1-R3 = 1-20C fatty acid residue where one of R1-R3 is optionally H;
          R4, R5 = H or methyl;
     R6, R7 = H, OH, alkoxy, phosphono, phosphonooxy, sulfo, sulfooxy, amino, mercapto, CN, nitro, formyl, carboxyl or their esters or amides;
          R8, R9 = H or phosphono, provided that one of R8 and R9 is
     phosphono;
          INDEPENDENT CLAIMS are also included for the following:
          (a) a vaccine composition comprising (I), an antigen and a carrier;
          (b) a composition (II) comprising (I) and a carrier (III); and
          (c) a method for enhancing the immune response of a mammal by
     administering (I).
          ACTIVITY - Immunoeffectors.
          (I) were evaluated for inducible nitric oxide synthetase activity
     (NOS ED50) which correlates with macrophage activation which is an
     indication of immune stimulation. Mouse peritoneal exudates cells were
     harvested and the adherent cell population was isolated. The adherent
     cells were exposed to varying concentrations of (I) and the resulting
     induction and secretion of nitrite was measured. The NOS ED50 values
     represent a concentration of (I) required to stimulate half the maximum
     amount of nitrite release and correspond to the concentration required to
     stimulate macrophages. N-((R)-3-decanoyloxytetradecanoyl)-O-(2-deoxy-4-O-
     phosphono-2-((R)-3-decanoyloxytetradecanoylamino)-3-0-((R)-3-
     decanoyloxytetradecanoyl) - beta -D-glucopyranosyl) -L-serine
     triethylammonium salt (Ia) had an ED50 value of 0.06 nanograms/ml.
          MECHANISM OF ACTION - None given.
          USE - (I) are useful as immunoeffectors for enhancing antibody
     production in immunized animals, stimulating cytokine production and
     activating macrophages. They also stimulate a cell-mediated immune
     response including a cytotoxic T-lymphocyte response.
          ADVANTAGE - (I) are effective and safe adjuvants potentiating both a
     humoral and cellular immune response. Prior art adjuvants such as alum
     have side effects and enhances humoral immunity only. Other prior art
     compounds often display toxic properties, are unstable and/or have
     unsubstantial immunostimulatory effects.
     Dwg.0/0
FS
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     AB; GI; DCN
FA
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     2004-051328 [05]
DNC
     C1998-183001
     New amino-alkyl glucosamine phosphate compounds - useful for augmenting
TI
     antibody production in immunised animals, stimulating cytokine production
     and activating macrophages.
DC
     JOHNSON, D A; SOWELL, C G; JOHNSON, A; SOWELL, G
IN
     (CORI-N) CORIXA CORP; (RIBI-N) RIBI IMMUNOCHEM RES INC
PA
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AB
     Aminoalkyl glucosamine phosphate compounds of formula (I) are new. X = O
     or S; Y = O or NH; n, m, p, q = 0-6; R1-R3 = 7-16C normal fatty acyl
     residues; R4, R5 = H or Me; R6, R7 = H, OH, alkoxy, phosphono, phosphonooxy, sulpho, sulphoxy, NH2, SH, CN, NO2, formyl or carboxy (or
     their esters or amides); R8, R9 = H or phosphono, but not both H.
          USE - (I) are immuno-effector molecules which augment antibody
     production in immunised animals, stimulate cytokine production and
     activate macrophages. They are used for enhancing immune response
     (claimed), i. e. as adjuvants. Vaccines and pharmaceutical compositions
     containing (I) are claimed.
          ADVANTAGE - (I) have potent immuno-modulating effects, and can
     improve the efficacy and safety of existing vaccines or provide synthetic
     peptide or carbohydrate antigens with sufficient antigenicity for use in
     vaccines.
     Dwg.0/0
FS
     CPI
FΑ
     AB; GI; DCN
     CPI: B04-G01; B05-B01E; B05-B01M; B14-G01
```

=> b home

FILE 'HOME' ENTERED AT 06:42:38 ON 05 AUG 2005

_ -

d his full

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(FILE 'HOME' ENTERED AT 06:39:27 ON 05 AUG 2005)
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FILE 'HCAPLUS' ENTERED AT 06:39:34 ON 05 AUG 2005 6 SEA ABB=ON PLU=ON (US2003092643 OR US6764840 OR US2002048588 T₁T OR US6303347 OR US6113918)/PN

Le 10/043086

FILE 'REGISTRY' ENTERED AT 06:41:28 ON 05 AUG 2005

FILE 'HCAPLUS' ENTERED AT 06:41:30 ON 05 AUG 2005 L2 TRA L1 1- RN : 313 TERMS

FILE 'REGISTRY' ENTERED AT 06:41:31 ON 05 AUG 2005 313 SEA ABB=ON PLU=ON L2 L3

FILE 'WPIX' ENTERED AT 06:41:39 ON 05 AUG 2005

4 SEA ABB=ON PLU=ON (US2003092643 OR US6764840 OR US2002048588 L4OR US6303347 OR US6113918)/PN .

FILE 'REGISTRY' ENTERED AT 07:39:44 ON 05 AUG 2005 L5 STR 6 SEA SSS SAM L5 L7 125 SEA SSS FUL L5 SAV TEM LE086F0/A L7

37 SEA ABB=ON PLU=ON L7 AND L3 1.8

14 SEA ABB=ON PLU=ON C69H127N2O19P OR C75H139N2O19P OR C81H151N2 L9 019P OR C87H163N2O19P

26 SEA ABB=ON PLU=ON C93H175N2O19P OR C77H143N2O19P OR C73H135N2 L10 019P

38 SEA ABB=ON PLU=ON (L9 OR L10) AND L7 L11

STR L5 1.12

L6

4 SEA SUB=L7 SSS SAM L12 L13

63 SEA SUB=L7 SSS FUL L12 L14

L15 38 SEA ABB=ON PLU=ON L14 AND L11

25 SEA ABB=ON PLU=ON L14 NOT L15 L16

FILE 'HCAPLUS' ENTERED AT 08:36:00 ON 05 AUG 2005

E JONHSON D/AU

E JOHNSON D/AU

596 SEA ABB=ON PLU=ON ("JOHNSON D"/AU OR "JOHNSON D A"/AU OR L17 "JOHNSON D A G"/AU OR "JOHNSON D A W"/AU OR "JOHNSON D ALAN E"/AU)

E JOHNSON DAV/AU

13 SEA ABB=ON PLU=ON "JOHNSON DAVE"/AU L18 E JOHNSON DAVID/AU

556 SEA ABB=ON PLU=ON ("JOHNSON DAVID"/AU OR "JOHNSON DAVID L19 A"/AU OR "JOHNSON DAVID A G"/AU OR "JOHNSON DAVID AARON"/AU OR "JOHNSON DAVID ALAN"/AU OR "JOHNSON DAVID ALEXANDER"/AU OR "JOHNSON DAVID ALFRED"/AU OR "JOHNSON DAVID ALLAN"/AU OR "JOHNSON DAVID ANDREW"/AU OR "JOHNSON DAVID ANTHONY"/AU OR "JOHNSON DAVID ARTHUR"/AU) E SOWELL G/AU

13 SEA ABB=ON PLU=ON ("SOWELL G A"/AU OR "SOWELL GLENN ALLEN"/AU L20 OR "SOWELL GREG"/AU OR "SOWELL GREGORY"/AU) E SOWELL C/AU

28 SEA ABB=ON PLU=ON ("SOWELL C G"/AU OR "SOWELL C GREGORY"/AU L21 OR "SOWELL CHARLES GREGORY"/AU OR "SOWELL CHARLES L"/AU) E CORIXA/CS, PA

476 SEA ABB=ON PLU=ON CORIXA/CS, PA L22

PLU=ON L23 14 SEA ABB=ON L16

18 SEA ABB=ON PLU=ON L11 L24

14 SEA ABB=ON PLU=ON L23 AND (L17 OR L18 OR L19 OR L20 OR L21 L25 OR L22)

18 SEA ABB=ON PLU=ON L24 AND (L17 OR L18 OR L19 OR L20 OR L21 L26 OR L22)

Le 10/043086 Page 2

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FILE 'USPATFULL, USPAT2' ENTERED AT 08:38:55 ON 05 AUG 2005
             10 SEA ABB=ON PLU=ON L16
L27
L28
             11 SEA ABB=ON PLU=ON L11
                E JOHNSON D/AU
              1 SEA ABB=ON PLU=ON "JOHNSON D ALAN E"/AU
L29
                E JOHNSON DAV/AU
            243 SEA ABB=ON PLU=ON ("JOHNSON DAVE"/AU OR "JOHNSON DAVID"/AU
L30
                OR "JOHNSON DAVID A"/AU OR "JOHNSON DAVID ALAN"/AU OR "JOHNSON
                DAVID ALLAN"/AU OR "JOHNSON DAVID ANDREW"/AU OR "JOHNSON DAVID
                AUGUST"/AU)
                E SOWELL/AU
            23 SEA ABB=ON PLU=ON ("SOWELL C GREGORY"/AU OR "SOWELL GREG"/AU)
255 SEA ABB=ON PLU=ON CORIXA/CS, PA
L31
L32
             10 SEA ABB=ON PLU=ON L27 AND (L29 OR L30 OR L31 OR L32)
1,33
           11 SEA ABB=ON PLU=ON L28 AND (L29 OR L30 OR L31 OR L32)
L34
     FILE 'HCAOLD' ENTERED AT 08:40:37 ON 05 AUG 2005
              O SEA ABB=ON PLU=ON L16
L35
              O SEA ABB=ON PLU=ON L11
L36
     FILE 'HCAPLUS' ENTERED AT 08:40:55 ON 05 AUG 2005
             19 SEA ABB=ON PLU=ON (L25 OR L26)
L37
     FILE 'USPATFULL, USPAT2' ENTERED AT 08:41:00 ON 05 AUG 2005
             12 SEA ABB=ON PLU=ON (L33 OR L34)
L38
```

=> b reg

FILE 'REGISTRY' ENTERED AT 08:42:59 ON 05 AUG 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 AUG 2005 HIGHEST RN 858414-27-4 DICTIONARY FILE UPDATES: 4 AUG 2005 HIGHEST RN 858414-27-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

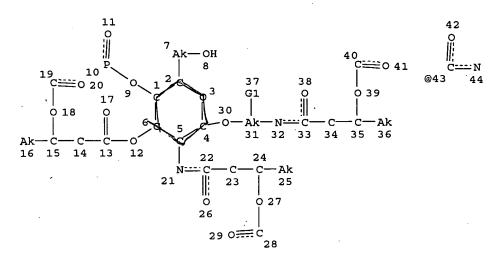
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que sta 17 L5 STR



VAR G1=OH/CO2H/43 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE L7 125 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 753 ITERATIONS SEARCH TIME: 00.00.02

125 ANSWERS

=> d ide l11 tot

ANSWER 1 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN L11 566170-30-7 REGISTRY RN Entered STN: 14 Aug 2003 ED L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-CN $\hbox{\tt [[(3R)-1-oxo-3-[(1-oxohexyl)\,oxy]\,tetradecyl]\,amino]-4-0-phosphono-\beta-D-}\\$ glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-, compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME) FS STEREOSEARCH C73 H135 N2 O19 P . C6 H15 N MF SR CA CA, CAPLUS, USPATFULL STN Files: LC

CM 1

CRN 566170-29-4 CMF C73 H135 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

- 1 REFERENCES IN FILE CAPILIS (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 2 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 566170-29-4 REGISTRY

ED Entered STN: 14 Aug 2003

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 570

FS STEREOSEARCH

DR 854921-06-5

MF C73 H135 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{10}_{Me}$

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 3 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 566170-28-3 REGISTRY

ED Entered STN: 14 Aug 2003

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-0-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-, compd. with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C77 H143 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 566170-27-2

CMF C77 H143 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{4}$ $(CH_2)_{10}$ $(CH_2)_{4}$ $(CH_2)_{8}$ $(CH_2)_{10}$ $(CH_2)_{10}$ $(CH_2)_{10}$ $(CH_2)_{4}$ $(CH_2)_{8}$ $(CH_2)_{10}$ $(CH_2)_{10}$ $(CH_2)_{4}$ $(CH_2)_{10}$ $(CH_2)_{4}$ $(CH_2)_{10}$ $(CH_2)_{4}$ $(CH_2)_{10}$ $(CH_2)_{4}$ $(CH_2)_{10}$ (CH_2)

CM 2

CRN 121-44-8 CMF C6 H15 N

```
Et
|
Et-N-Et
```

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 4 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 566170-27-2 REGISTRY

ED Entered STN: 14 Aug 2003

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 569

FS STEREOSEARCH

DR 854920-86-8

MF C77 H143 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

- 2 REFERENCES IN FILE CA (1907 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 5 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 566170-26-1 REGISTRY

ED Entered STN: 14 Aug 2003

CN L-Serine, O-[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono-β-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C73 H135 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 362594-92-1 CMF C73 H135 N2 O19 P Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

CM 2

CRN 121-44-8 CMF C6 H15 N

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 6 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 566170-25-0 REGISTRY

ED Entered STN: 14 Aug 2003

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C73 H135 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 362594-91-0 CMF C73 H135 N2 O19 P

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | | Et-N-Et

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 7 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 566170-24-9 REGISTRY
- ED Entered STN: 14 Aug 2003
- CN L-Serine, O-[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C77 H143 N2 O19 P . C6 H15 N
- SR CA
- LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 362594-90-9 CMF C77 H143 N2 O19 P

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 8 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN

566170-23-8 REGISTRY Entered STN: 14 Aug 2003 ED

L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-CN[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono- β -Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C77 H143 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

> CM 1

CRN 566170-22-7 CMF C77 H143 N2 O19 P

CM: 2

CRN 121-44-8 CMF C6 H15 N

Ęt Et-N-Et

> 1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERÊNCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 9 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN RN 566170-22-7 REGISTRY

Entered STN: 14 Aug 2003 ED

L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-CN $\hbox{\tt [[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-β-D-}$ glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CRX 565 CN

FS STEREOSEARCH

DR 854920-84-6

MF C77 H143 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 10 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN

376394-26-2 REGISTRY Entered STN: 18 Dec 2001 ED

L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2-CN $\hbox{\tt [[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono-\beta-D-}\\$ $\verb|glucopyranosyl|-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]| tetradecyl|-, compd. with$ N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

OTHER NAMES:

RC 526 CN

STEREOSEARCH FS

MF C69 H127 N2 O19 P . C6 H15 N

SR

CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, TOXCENTER, LCSTN Files: USPAT2, USPATFULL

CM

CRN 245515-64-4 C69 H127 N2 O19 P CMF

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8

CMF C6 H15 N

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 11 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362594-92-1 REGISTRY

ED Entered STN: 17 Oct 2001

L-Serine, O-[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 567

FS STEREOSEARCH

DR 854921-05-4

MF C73 H135 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 12 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362594-91-0 REGISTRY

ED Entered STN: 17 Oct 2001

L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 568

FS STEREOSEARCH

DR 854921-04-3

MF C73 H135 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 13 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362594-90-9 REGISTRY

ED Entered STN: 17 Oct 2001

CN L-Serine, O-[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 566

FS STEREOSEARCH

DR 854918-95-9

MF C77 H143 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 14 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 339079-17-3 REGISTRY

ED Entered STN: 31 May 2001

CN Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-α-D-glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C77 H143 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 339079-16-2 CMF C77 H143 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 15 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 339079-16-2 REGISTRY
- ED Entered STN: 31 May 2001
- CN Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-α-D-glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C77 H143 N2 O19 P

CI COM SR CA

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

L11 ANSWER 16 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

339078-77-2 REGISTRY RN

Entered STN: 31 May 2001 ED

Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-0-[(3R)-1-oxo-3-CN[(1-oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-α-Dglucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester, compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C73 H135 N2 O19 P . C6 H15 N

SR CA

CA, CAPLUS, TOXCENTER, USPATFULL LC STN Files:

> CM1

339078-76-1 CRN C73 H135 N2 O19 P CMF

Absolute stereochemistry.

Me (CH₂)
$$_{10}$$
 R $_{R}$ (CH₂) $_{10}$ Me (CH₂) $_{4}$ O R (CH₂) $_{10}$ Me (CH₂) $_{4}$ O R (CH₂) $_{10}$

CM2 CRN 121-44-8 CMF C6 H15 N

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 17 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 339078-76-1 REGISTRY
- ED Entered STN: 31 May 2001
- CN Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-0-[(3R)-1-oxo-3[(1-oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-α-Dglucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C73 H135 N2 O19 P
- CI COM
- SR CA

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{4}$ O $(CH_2)_{10}$ Me $(CH_2)_{4}$ O $(CH_2)_{10}$ Me $(CH_2)_{4}$ O $(CH_2)_{10}$ Me

- L11 ANSWER 18 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 339078-75-0 REGISTRY
- ED Entered STN: 31 May 2001
- CN Hexanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono-α-Dglucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester, compd. with
 N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C73 H135 N2 O19 P . C6 H15 N
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 339078-74-9

CMF C73 H135 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me

CM 2

CRN 121-44-8 CMF C6 H15 N

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 19 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 339078-74-9 REGISTRY
- ED Entered STN: 31 May 2001
- CN Hexanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono- α -D-glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl_ester (9CI) (CA INDEX
- FS STEREOSEARCH
- MF C73 H135 N2 O19 P
- CI COM
- SR CA

L11 ANSWER 20 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

339078-73-8 REGISTRY RN

ED

Entered STN: 31 May 2001 Hexanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-O-[(3R)-1-oxo-3-CN[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-[] (x,y) = (x,y) + (glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester, compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C73 H135 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 339078-72-7 CMF C73 H135 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{4}_{Me}$ $(CH_2)_{8}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

```
Et
Et-N-Et
```

3 REFERENCES IN FILE CA (1907 TO DATE) 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 21 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN 339078-72-7 REGISTRY RN ED Entered STN: 31 May 2001 Hexanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-0-[(3R)-1-oxo-3-CN [(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono- α -Dglucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME) STEREOSEARCH

FS

MF C73 H135 N2 O19 P

CI COM

CA SR

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{4}_{Me}$ $(CH_2)_{8}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

```
L11 ANSWER 22 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
     339078-71-6 REGISTRY
RN
ED
     Entered STN: 31 May 2001
     Hexanoic acid, (1R)^{-1}-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-O-[(3R)-1-oxo-3-
CN
     [(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-
     oxodecyl)oxy]tetradecyl]amino]-4-0-phosphono-<math>\alpha-D-
     glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester, compd. with
     N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)
FS
     STEREOSEARCH
MF
     C77 H143 N2 O19 P . C6 H15 N
SR
     CA
                  CA, CAPLUS, TOXCENTER, USPATFULL
     STN Files:
          1
     CM
     CRN
         339078-70-5
```

Absolute stereochemistry.

C77 H143 N2 O19 P

CMF

CM 2

CRN 121-44-8 CMF C6 H15 N

- 4 REFERENCES IN FILE CA (1907 TO DATE).
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 23 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 339078-70-5 REGISTRY
- ED Entered STN: 31 May 2001
- CN Hexanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-O-[(3R)-1-oxo-3[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1oxodecyl)oxy]tetradecyl]amino]-4-O-phosphono-α-Dglucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C77 H143 N2 O19 P
- CI COM
- SR CA

L11 ANSWER 24 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 339078-69-2 REGISTRY

ED Entered STN: 31 May 2001

CN Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono-α-Dglucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester, compd. with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C77 H143 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 339078-68-1 CMF C77 H143 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

```
Et
|
Et-N-Et
```

- 3 REFERENCES IN FILE CA (1907 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 25 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 339078-68-1 REGISTRY

ED Entered STN: 31 May 2001

CN Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-4-O-phosphono-α-Dglucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C77 H143 N2 O19 P

CI COM

SR CA

Absolute stereochemistry.

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L11 ANSWER 26 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
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RN 339078-67-0 REGISTRY

ED Entered STN: 31 May 2001

CN Hexanoic acid, $(1R)^{-1}$ -[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono- α -D-glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C69 H127 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 339078-66-9

CMF C69 H127 N2 O19 P

CM 2

CRN 121-44-8 CMF C6 H15 N

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 27 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 339078-66-9 REGISTRY
- ED Entered STN: 31 May 2001
- CN Hexanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono-α-D-glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX

NAME)

- FS STEREOSEARCH
- MF C69 H127 N2 O19 P
- CI COM
- SR CA

L11 ANSWER 28 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 245515-64-4 REGISTRY

ED Entered STN: 29 Oct 1999

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 526

FS STEREOSEARCH

DR 854916-69-1

MF C69 H127 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, IMSRESEARCH, PROUSDDR, TOXCENTER

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{4}$ O $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me

6 REFERENCES IN FILE CA (1907 TO DATE)

6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 29 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-29-8 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxooctyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxooctyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-

```
glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxooctyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN
      RC 555
      STEREOSEARCH
FS
DR
      376394-28-4
MF
      C75 H139 N2 O19 P . C6 H15 N
SR
LC
                      CA, CAPLUS, TOXCENTER, USPAT7, USPATFULL
      STN Files:
      CM
            1
      CRN
            216014-28-7
```

Absolute stereochemistry.

CMF

C75 H139 N2 O19 P

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

- 8 REFERENCES IN FILE CA (1907 TO DATE) 8 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L11 ANSWER 30 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-28-7 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxooctyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxooctyl)oxy]tetradecyl]amino]-4-0-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxooctyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 555

FS STEREOSEARCH

DR 854917-96-7

MF C75 H139 N2 O19 P

CI .COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

$$(CH_2)_{10}_{R}$$

Me

 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$
 $(CH_2)_{10}_{R}$

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 31 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-15-2 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN RC 527

FS STEREOSEARCH

DR 376394-30-8

MF C81 H151 N2 O19 P . C6 H15 N

SR C

LC STN Files: CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 216014-14-1

CMF C81 H151 N2 O19 P

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

11 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

11 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 32 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN .

RN 216014-14-1 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-0-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 527

FS STEREOSEARCH

DR 854918-07-3

MF C81 H151 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, IMSRESEARCH, TOXCENTER

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{8}$ O $(CH_2)_{10}$ Me $(CH_2)_{8}$ Me $(CH_2)_{10}$ Me

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 33 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-06-1 REGISTRY

ED Entered STN: 23 Dec 1998

CN D-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-0-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C81 H151 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 216014-05-0

CMF C81 H151 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ $($

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

6 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 34 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-05-0 REGISTRY

ED Entered STN: 23 Dec 1998

CN D-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

FS STEREOSEARCH

MF C81 H151 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Me (CH₂)
$$_{10}$$
 $_{R}$ $_{R}$ $_{R}$ $_{CO_{2}H}$ $_{R}$ $_{R}$ $_{CO_{2}H}$ $_{R}$ $_{R}$ $_{R}$ $_{CO_{2}H}$ $_{Me}$ $_{CH_{2})_{10}}$ $_{Me}$ $_{CH_{2})_{8}}$ $_{OPO_{3}H_{2}}$ $_{Me}$ $_{CH_{2})_{8}}$ $_{Me}$

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 35 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216013-88-6 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN RC 560

FS STEREOSEARCH

DR 376394-32-0

MF C87 H163 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, PROUSDDR, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 216013-87-5 CMF C87 H163 N2 O19 P

Absolute stereochemistry.

CM 2

CRN 121-44-8

CMF C6 H15 N

8 REFERENCES IN FILE CA (1907 TO DATE) 8 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 36 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN
RN 216013-87-5 REGISTRY
ED Entered STN: 23 Dec 1998
CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]-2 [[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-D glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]- (9CI) (
 INDEX NAME)
OTHER NAMES:
CN CRX 560

FS STEREOSEARCH

FS STEREOSEARCH DR 854918-50-6

MF C87 H163 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, PROUSDDR, TOXCENTER

Absolute stereochemistry.

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L11 ANSWER 37 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN

RN .216013-82-0 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphonoβ-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl], compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN RC 512

FS STEREOSEARCH

DR 376394-46-6

MF C93 H175 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, PROUSDDR, TOXCENTER, USPAT2, USPATFULL

CM

CRN 216013-81-9 C93 H175 N2 O19 P CMF

Absolute stereochemistry.

CM 2

CRN 121-44-8 C6 H15 N CMF

Et Et-N-Et

> 8 REFERENCES IN FILE CA (1907 TO DATE) 8 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 38 OF 38 REGISTRY COPYRIGHT 2005 ACS on STN L11

RN 216013-81-9 REGISTRY

ED Entered STN: 23 Dec 1998

L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-CN[[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphonoβ-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-

(9CI) (CA INDEX NAME)

OTHER NAMES:

CNCRX 512

FS STEREOSEARCH

DR 854918-92-6

MF C93 H175 N2 O19 P

CI COM

SR CA

STN Files: LC CA, CAPLUS, TOXCENTER

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

VAR G1=OH/CO2H/43 NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L7 125 SEA FILE=REGISTRY SSS FUL L5

L9 14 SEA FILE=REGISTRY ABB=ON PLU=ON C69H127N2O19P OR C75H139N2O19

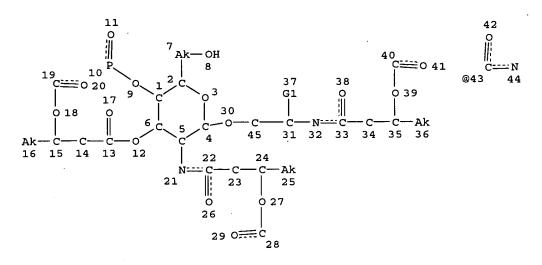
P OR C81H151N2O19P OR C87H163N2O19P

L10 26 SEA FILE=REGISTRY ABB=ON PLU=ON C93H175N2O19P OR C77H143N2O19

P OR C73H135N2O19P

L11 38 SEA FILE=REGISTRY ABB=ON PLU=ON (L9 OR L10) AND L7

L12 STR



VAR G1=OH/CO2H/43 NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 45

STEREO ATTRIBUTES: NONE

L14 63 SEA FILE=REGISTRY SUB=L7 SSS FUL L12

L15 38 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L11 L16 25 SEA FILE=REGISTRY ABB=ON PLU=ON L14 NOT L15

=> d ide l16 tot

L16 ANSWER 1 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 854923-92-5 REGISTRY

ED Entered STN: 13 Jul 2005

CN INDEX NAME NOT YET ASSIGNED

FS STEREOSEARCH

MF C81 H152 N3 O18 P

SR CA

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 2 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 525604-83-5 REGISTRY

ED Entered STN: 05 Jun 2003

oxodecyl)oxy]tetradecyl]amino]-4-0-phosphono- α -D-

glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxodecyl)oxy]-, (3R)-, compd.

with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C81 H152 N3 O18 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 525604-82-4

CMF C81 H152 N3 O18 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 3 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN 525604-82-4 REGISTRY RN ED Entered STN: 05 Jun 2003 Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-CN oxodecyl) oxy] tetradecyl] -2-[[(3R) -1-oxo-3-[(1 $oxodecyl)oxy]tetradecyl]amino]-4-O-phosphono-<math>\alpha$ -Dglucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxodecyl)oxy]-, (3R)- (9CI) (CA INDEX NAME) FS STEREOSEARCH C81 H152 N3 O18 P MF CI COM SR CA

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

```
L16
     ANSWER 4 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN
RN
     521333-28-8 REGISTRY
ED
     Entered STN: 28 May 2003
     Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-2-[[(3R)-1-oxo-3-[(1-
CN
     oxodecyl)oxy]dodecyl]amino]-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]pentadecyl]-
     4-0-phosphono-β-D-glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl
     ester (9CI) (CA INDEX NAME)
FS
     STEREOSEARCH
MF
     C80 H149 N2 O19 P
SR
     CA
LC
     STN Files:
                  CA, CAPLUS, TOXCENTER, USPATFULL
```

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 5 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 367273-91-4 REGISTRY

ED Entered STN: 06 Nov 2001

CN Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono- α -D-glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxohexyl)oxy]-, (3R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C69 H128 N3 O18 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 367273-90-3

CMF C69 H128 N3 O18 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me

CM 2

CRN 121-44-8

CMF C6 H15 N

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 6 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN L16 367273-90-3 REGISTRY RNEntered STN: 06 Nov 2001 ED Hexanoic acid, (1R)-1-[2-[[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-CN oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1oxohexyl) oxy] tetradecyl] amino] -4-0-phosphono- α -Dglucopyranosyl]oxy]methyl]-2-oxoethyl]amino]-2-oxoethyl]dodecyl ester (9CI) (CA INDEX NAME) STEREOSEARCH FS C69 H128 N3 O18 P MF CI COM SR CA

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{4}_{Me}$ $(CH_2)_{4}_{OPO_3H_2}$ $(CH_2)_{4}_{OPO_3H_2}$ $(CH_2)_{4}_{Me}$ $(CH_2)_{4}_{OPO_3H_2}$ $(CH_2)_{4}_{OPO_3H_2}$

```
L16 ANSWER 7 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN
     362594-89-6 REGISTRY
Entered STN: 17 Oct 2001
RN
ED
     Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-
CN
     oxododecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-
     oxododecyl)oxy]tetradecyl]amino]-4-0-phosphono-<math>\beta-D-
     glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxododecyl)oxy]-, (3R)- (9CI)
      (CA INDEX NAME)
FS
     STEREOSEARCH
MF
     C87 H164 N3 O18 P
SR
                   CA, CAPLUS, TOXCENTER
     STN Files:
·LC
```

1 REFERENCES IN FILE CA (1907 TO DATE).

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16. ANSWER 8 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362594-88-5 REGISTRY

ED Entered STN: 17 Oct 2001

CN Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxo-3-1)]-0.5]]]

oxooctyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1oxooctyl)oxy]tetradecyl]amino]-4-O-phosphono-β-D-

glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxooctyl)oxy]-, (3R)- (9CI)

(CA INDEX NAME)

FS STEREOSEARCH

MF C75 H140 N3 O18 P

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{6}$ O $(CH_2)_{6}$ Me $(CH_2)_{6}$ O $(CH_2)_{10}$ Me

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 9 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 362594-87-4 REGISTRY

ED Entered STN: 17 Oct 2001

```
CN
     Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-2-[[(3R)-1-oxo-3-[(1-
     oxododecyl)oxy]tetradecyl]amino]-3-0-[(3R)-1-oxo-3-[(1-
     oxotetradecyl) oxy] tetradecyl] -4-O-phosphono-\beta-D-
     glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxohexadecyl)oxy]-, (3R)-
     (9CI)
           (CA INDEX NAME)
FS
     STEREOSEARCH
MF
     C93 H176 N3 O18 P
SR
     CA
                  CA, CAPLUS, TOXCENTER
LC
     STN Files:
```

Absolute stereochemistry.

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L16 ANSWER 10 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN
```

RN 339078-61-4 REGISTRY

ED Entered STN: 31 May 2001

CN Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-O-phosphono-α-Dglucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxodecyl)oxy]-, (3R)-, compd.
with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C77 H144 N3 O18 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 339078-60-3 CMF C77 H144 N3 O18 P

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{4}$ O $(CH_2)_{8}$ Me $(CH_2)_{8}$ Me $(CH_2)_{8}$ Me $(CH_2)_{8}$ Me

CM 2

CRN 121-44-8 CMF C6 H15 N

- 3 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L16 ANSWER 11 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN
- RN 339078-60-3 REGISTRY
- ED Entered STN: 31 May 2001
- CN Decanoic acid, (1R)-1-[2-[[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono-α-D-glucopyranosyl]oxy]methyl]-2-oxoethyl]amino]-2-oxoethyl]dodecyl ester
 - (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C77 H144 N3 O18 P
- CI COM
- SR CA

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me $(CH_2)_{10}$ Me

L16 ANSWER 12 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 339078-59-0 REGISTRY

ED Entered STN: 31 May 2001

Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono-β-D-glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxohexyl)oxy]-, (3R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C69 H128 N3 O18 P . C6 H15 N

SR C

LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 245515-66-6 CMF C69 H128 N3 O18 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{4}$ O $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me $(CH_2)_{4}$ Me

CM 2

CRN 121-44-8

CMF C6 H15 N

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 13 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN RN 245515-66-6 REGISTRY Entered STN: 29 Oct 1999 ED Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-CN oxohexyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1 $oxohexyl) oxy] \verb|tetradecyl| amino| -4-O-phosphono-\beta-D-.$ glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxohexyl)oxy]-, (3R)- (9CI) (CA INDEX NAME) STEREOSEARCH FS C69 H128 N3 O18 P MF CI COM SR CA LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{4}$ $(CH_2)_{4}_{O}$ $(CH_2)_{4}_{O}$ $(CH_2)_{4}_{O}$ $(CH_2)_{10}_{O}$ $(CH_2)_{4}_{O}$ $(CH_2)_{10}_{O}$

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 14 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-85-6 REGISTRY

ED Entered STN: 23 Dec 1998

CN Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-4-O-(diphenoxyphosphinyl)-3-O-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]- β -D-glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxodecyl)oxy]-, (3R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C93 H160 N3 O18 P

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1907 TO DATE)

6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 15 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN

216014-82-3 REGISTRY Entered STN: 23 Dec 1998 ED

Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-CN

oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-

 $oxodecyl) \, oxy] \, tetradecyl] \, amino] \, \text{-}4\text{-}O\text{-}phosphono-}\beta\text{-}D\text{-}$

glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxodecyl)oxy]-, (3R)-, compd.

with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C81 H152 N3 O18 P . C6 H15 N

SR

STN Files: CA, CAPLUS, PROUSDDR, SYNTHLINE, TOXCENTER, USPATFULL LC

CM 1

CRN 216014-81-2

C81 H152 N3 O18 P CMF

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Εt Et-N-Et

> 6 REFERENCES IN FILE CA (1907 TO DATE) 6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 16 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN RN 216014-81-2 REGISTRY ED Entered STN: 23 Dec 1998 Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-CN oxodecyl) oxy] tetradecyl] -2-[[(3R) -1-oxo-3-[(1oxodecyl) oxy] tetradecyl] amino] -4-0-phosphono- β -Dglucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxodecyl)oxy]-, (3R)- (9CI) (CA INDEX NAME) FS STEREOSEARCH C81 H152 N3 O18 P MF CI COM SR CA CA, CAPLUS, TOXCENTER LC STN Files:

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

3 REFERENCES IN FILE CA (1907 TO DATE) 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 17 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN L16

RN 216014-80-1 REGISTRY

ED Entered STN: 23 Dec 1998

Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-4-0-(diphenoxyphosphinyl)-3-CN O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1 $oxotetradecyl) \ oxy] \ tetradecyl] \ amino] \ -\beta - D - glucopyranosyl] \ oxy] \ methyl] \ -2 - glucopyranosyl] \ -2 - glucopyranosyl] \ -2 - glucopyranosyl] \ methyl] \ -2 - glucopyranosyl] \ -2$ oxoethyl]-3-[(1-oxotetradecyl)oxy]-, (3R)- (9CI) (CA INDEX NAME) FS STEREOSEARCH

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1907 TO DATE) 6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 18 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-76-5 REGISTRY

ED Entered STN: 23 Dec 1998

CN Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-D-glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxotetradecyl)oxy]-, (3R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C93 H176 N3 O18 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 216014-75-4

CMF C93 H176 N3 O18 P

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$ $(CH_2)_{12}$ $(CH_2)_{12}$

CM 2

CRN 121-44-8 CMF C6 H15 N

5 REFERENCES IN FILE CA (1907 TO DATE) 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 19 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-75-4 REGISTRY

ED Entered STN: 23 Dec 1998

CN Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-D-glucopyranosyl]oxy]methyl]-2-oxoethyl]-3-[(1-oxotetradecyl)oxy]-, (3R)-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C93 H176 N3 O18 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 20 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-37-8 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxoheptyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxoheptyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxoheptyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN RC 554

FS STEREOSEARCH

DR 376394-27-3

MF C72 H133 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT7, USPATFULL

CM 1

CRN 216014-36-7 CMF C72 H133 N2 O19 P

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

9 REFERENCES IN FILE CA (1907 TO DATE)
9 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 21 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-36-7 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxoheptyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxoheptyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxoheptyl)oxy]tetradecyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN CRX 554

FS STEREOSEARCH

DR 854917-93-4

MF C72 H133 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 R $(CH_2)_{10}$ Me $(CH_2)_{5}$ O $(CH_2)_{5}$ Me $(CH_2)_{5}$ Me $(CH_2)_{5}$ Me

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 22 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-21-0 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxononyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxononyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxononyl)oxy]tetradecyl]-, compd. with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN RC 537

FS STEREOSEARCH

DR 376394-29-5

MF C78 H145 N2 O19 P . C6 H15 N

SR CA LC STN Files: CA, CAPLUS, TOXCENTER, USPATZ, USPATFULL CM 1 CRN 216014-20-9

Absolute stereochemistry.

C78 H145 N2 O19 P

CMF

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

> 8 REFERENCES IN FILE CA (1907 TO DATE) 8 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 23 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216014-20-9 REGISTRY

ED Entered STN: 23 Dec 1998

L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxononyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxononyl)oxy]tetradecyl]amino]-4-0-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxononyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 537

FS STEREOSEARCH

DR 854918-03-9

MF C78 H145 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 24 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216013-97-7 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxoundecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxoundecyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxoundecyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN RC 538

FS STEREOSEARCH

DR 376394-31-9

MF C84 H157 N2 O19 P . C6 H15 N

SR CA

LC STN Files: CA, CAPLUS, PROUSDDR, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 216013-96-6

CMF C84 H157 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{Me}$ $(CH_2)_{9}_{OPO_3H_2}$ $(CH_2)_{9}_{OPO_3H_2}$ $(CH_2)_{9}_{OPO_3H_2}$

CM 2

CRN 121-44-8

CMF C6 H15 N

8 REFERENCES IN FILE CA (1907 TO DATE) 8 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 25 OF 25 REGISTRY COPYRIGHT 2005 ACS on STN

RN 216013-96-6 REGISTRY

ED Entered STN: 23 Dec 1998

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxoundecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxoundecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxoundecyl)oxy]tetradecyl]- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN CRX 538

FS STEREOSEARCH

DR 854918-14-2

MF C84 H157 N2 O19 P

CI COM

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L37 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
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AN 2005:431458 HCAPLUS

DN 142:463966

ED Entered STN: 20 May 2005

TI Processes for the production of amino-alkyl glucosaminide phosphate and disaccharide immunoeffectors, and intermediates therefor via glycosylation reaction

IN Johnson, David A.; Johnson, Craig L.; Bazin-Lee, Helene G.; Sowell, C. Gregory

PA Corixa Corporation, A Corporation of the State of Delaware, USA

SO U.S. Pat. Appl. Publ., 32 pp., Cont.-in-part of U.S. Ser. No. 472,991. CODEN: USXXCO

DT Patent

LA English

IC ICM C07H005-04

ICS C12P019-04 INCL 536018700; 536055300

CC 33-7 (Carbohydrates)

Section cross-reference(s): 15, 34

FAN.CNT 3	ss-relerence	(8): 15, 34		
PATENT NO.	KIN	-	APPLICATION NO.	DATE
PI US 20051076 WO 20040053	00 A1	. 20050519 20040115	US 2004-897194 WO 2003-US21504	
W: AE, CO, GM, LS, PG, TR, RW: GH, KG,	CR, CU, CZ, HR, HU, ID, LT, LU, LV, PH, PL, PT, TT, TZ, UA, GM, KE, LS; KZ, MD, RU,	AT, AU, AZ, DE, DK, DM, IL, IN, IS, MA, MD, MG, RO, RU, SC, UG, US, UZ, MW, MZ, SD, TJ, TM, AT,	BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SD, SE, SG, SK, SL, VC, VN, YU, ZA, ZM, SL, SZ, TZ, UG, ZM, BE, BG, CH, CY, CZ,	GB, GD, GE, GH, KZ, LC, LK, LR, NI, NO, NZ, OM, SY, TJ, TM, TN, ZW ZW, AM, AZ, BY, DE, DK, EE, ES,
BF, US 20042670 PRAI US 2002-394 WO 2003-US2 US 2004-472 US 2003-438 CLASS	BJ, CF, CG, 07 A1 487P P 1504 W 991 A2 585P P	CI, CM, GA, 20041230 20020708 20030708 20040812 20030106		NE, SN, TD, TG
US 2005107600	ICM C07F ICS C12F INCL 5366 NCL 5366 ECLA C07F	005-04 019-04 018700; 53605 018.700; 536	5300	

Page 53

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
     This invention relates to processes for production of alkylamino glucosaminide
     phosphate compds., and of disaccharide compds. I, wherein PG is a
     protecting group that forms an ester, an ether, or a carbonate with the
     oxygen atom of a hydroxy group or that forms an amide or a carbonate with
     the nitrogen atom af an amino group, including various novel intermediates
     and intermediate processes. In one aspect, glycosyl halides are produced
     by reaction of an O-silyl glycoside with a dihalo-Me alkyl ether. Thus,
     amino glycoside II was prepared via glycosylation reaction.
     qlycolipid alkylamino qlycoside disaccharide amino acid prepn; alkylamino
     glucosaminide phosphate disaccharide immunoeffector glycoside amino acid
     prepn
     Glycosides
IT
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (amino; processes for the production of amino-alkyl glucosaminide phosphate
        and disaccharide immunoeffectors, and intermediates therefor via
        glycosylation reaction)
ΙT
     Disaccharides
     Glycolipids
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (processes for the production of amino-alkyl glucosaminide phosphate and
        disaccharide immunoeffectors, and intermediates therefor via
        glycosylation reaction)
IT
    .851445-31-3P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (processes for the production of amino-alkyl glucosaminide phosphate and
        disaccharide immunoeffectors, and intermediates therefor via
        glycosylation reaction)
TT
     216014-15-2P
                   216014-46-9P
                                   216014-50-5P
                                                  216014-59-4P
                                   640291-37-8P
     640291-35-6P
                    640291-36-7P
                                                  640291-38-9P
                                                                 640291-41-4P
                  640291-43-6P
                                   640291-44-7P
     640291-42-5P
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (processes for the production of amino-alkyl glucosaminide phosphate and
        disaccharide immunoeffectors, and intermediates therefor via
        glycosylation reaction)
     66-84-2 4885-02-3 17341-93-4 35946-66-8
                                                   66471-00-9
                                                                  77987-49-6
IT
     79733-86-1
                  82911-81-7
                               87357-76-4
                                            108549-23-1
                                                          122105-45-7
     640291-27-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (processes for the production of amino-alkyl glucosaminide phosphate and
        disaccharide immunoeffectors, and intermediates therefor via
        glycosylation reaction)
                                                                640291-16-3P
IT
     97562-23-7P
                  122210-05-3P
                                  126497-01-6P
                                                 640291-15-2P
     640291-17-4P
                   640291-18-5P
                                  640291-19-6P
                                                 640291-20-9P
                                                                 640291-21-0P
     640291-22-1P
                   640291-23-2P
                                   640291-24-3P
                                                  640291-25-4P
                                                                 640291-26-5P
                                   640291-30-1P
                                                  640291-31-2P
                                                                 640291-32-3P
     640291-28-7P
                   640291-29-8P
                   640291-40-3P
                                   851445-28-8P
                                                  851445-29-9P
                                                                 851445-30-2P
     640291-33-4P
                   851445-33-5P
                                   851445-34-6P
                                                  851445-35-7P
                                                                 851445-36-8P
     851445-32-4P
                   851445-38-0P
     851445-37-9P
                                   851445-39-1P
                                                  851445-40-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (processes for the production of amino-alkyl glucosaminide phosphate and
        disaccharide immunoeffectors, and intermediates therefor via
        glycosylation reaction)
ΙT
     2456-81-7
     RL: RGT (Reagent); RACT (Reactant or reagent)
        (processes for the production of amino-alkyl glucosaminide phosphate and
        disaccharide immunoeffectors, and intermediates therefor via
```

glycosylation reaction)

IT 216014-15-2P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (processes for the production of amino-alkyl glucosaminide phosphate and disaccharide immunoeffectors, and intermediates therefor via glycosylation reaction) 216014-15-2 HCAPLUS RN CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME) ·CM CRN 216014-14-1 C81 H151 N2 O19 P CMF

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN L37 AN 2005:389589 HCAPLUS DN 143:53141 ED Entered STN: 06 May 2005 A Synthetic TLR4 Antagonist Has Anti-Inflammatory Effects in Two Murine ΤI Models of Inflammatory Bowel Disease Fort, Madeline M.; Mozaffarian, Afsaneh; Stoever, Axel G.; Correia, Jean ΑU da Silva; Johnson, David A.; Crane, R. Thomas; Ulevitch, Richard J.; Persing, David H.; Bielefeldt-Ohmann, Helle; Probst, Peter; Jeffery, Eric; Fling, Steven P.; Hershberg, Robert M. Corixa Corporation, Seattle, WA, 98101, USA CS Journal of Immunology (2005), 174(10), 6416-6423 SO CODEN: JOIMA3; ISSN: 0022-1767 American Association of Immunologists PB DT Journal LΑ English

Page 55

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1-7 (Pharmacology)
     Current evidence indicates that the chronic inflammation observed in the
AB
     intestines of patients with inflammatory bowel disease is due to an
     aberrant immune response to enteric flora. The authors have developed a
     lipid A-mimetic, CRX-526, which has antagonistic activity for TLR4 and can
     block the interaction of LPS with the immune system. CRX-526 can prevent
     the expression of proinflammatory genes stimulated by LPS in vitro. This
     antagonist activity of CRX-526 is directly related to its structure,
     particularly secondary fatty acyl chain length. In vivo, CRX-526
     treatment blocks the ability of LPS to induce TNF-\alpha release.
     Importantly, treatment with CRX-526 inhibits the development of
     moderate-to-severe disease in two mouse models of colonic inflammation:
     the dextran sodium sulfate model and multidrug resistance gene
     la-deficient mice. By blocking the interaction between enteric bacteria
     and the innate immune system, CRX-526 may be an effective therapeutic mol.
     for inflammatory bowel disease.
     CRX526 TLR4 antagonist antiinflammatory inflammatory bowel disease
ST
IT
     CD antigens
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (CD48; synthetic TLR4 antagonist has anti-inflammatory effects in two
        murine models of inflammatory bowel disease)
     Transcription factors
IT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (I\kappa B-\alpha \ (NF-\kappa B \ inhibitor \ \alpha); synthetic TLR4
        antagonist has anti-inflammatory effects in two murine models of
        inflammatory bowel disease)
IT
     Transcription factors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (NF-kB (nuclear factor of k light chain gene enhancer in
        B-cells); synthetic TLR4 antagonist has anti-inflammatory effects in
        two murine models of inflammatory bowel disease)
     Proteins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TAP-1 (transporter in antigen processing 1); synthetic TLR4 antagonist
        has anti-inflammatory effects in two murine models of inflammatory
        bowel disease)
     Receptors
IT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TLR-4 (Toll-like receptor-4); synthetic TLR4 antagonist has
        anti-inflammatory effects in two murine models of inflammatory bowel
        disease)
IT
     Proteins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TSG-14; synthetic TLR4 antagonist has anti-inflammatory effects in two
        murine models of inflammatory bowel disease)
ΙT
     Intestine, disease
        (inflammatory; synthetic TLR4 antagonist has anti-inflammatory effects
        in two murine models of inflammatory bowel disease)
IT
     Chemokines
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (interferon \gamma-inducible protein-10; synthetic TLR4 antagonist has
        anti-inflammatory effects in two murine models of inflammatory bowel
        disease)
ΙT
     Anti-inflammatory agents
     Gene expression profiles, animal
     Human
     Microarray technology
        (synthetic TLR4 antagonist has anti-inflammatory effects in two murine
        models of inflammatory bowel disease)
     Fas antigen
     Interleukin 1 receptor antagonist
     Interleukin 1ß
     Interleukin 6
     \textbf{Melanoma growth-stimulating activity-} \alpha
     Tumor necrosis factors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
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```
(synthetic TLR4 antagonist has anti-inflammatory effects in two murine
        models of inflammatory bowel disease)
IT .
     Interleukin 2 receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (α chain; synthetic TLR4 antagonist has anti-inflammatory effects
        in two murine models of inflammatory bowel disease)
TT
     329900-75-6, COX-2
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (synthetic TLR4 antagonist has anti-inflammatory effects in two murine
        models of inflammatory bowel disease)
IT
     245515-64-4, CRX 526 362594-91-0, CRX 567
     362594-92-1, CRX 568 566170-29-4, CRX 570
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (synthetic TLR4 antagonist has anti-inflammatory effects in two murine
        models of inflammatory bowel disease)
RE.CNT
              THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
RĖ
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     245515-64-4, CRX 526
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (synthetic TLR4 antagonist has anti-inflammatory effects in two murine
        models of inflammatory bowel disease)
RN
     245515-64-4 HCAPLUS
     L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]-2-
CN
     [(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]amino]-4-0-phosphono-\beta-D-
     glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxohexyl)oxy]tetradecyl]- (9CI) (CA
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INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN T₁3.7

AN 2005:389130 HCAPLUS

143:70999 DN

ED Entered STN: 06 May 2005

Synthetic Toll-like receptor 4 agonists stimulate innate resistance to TI infectious challenge

ΑU Cluff, Christopher W.; Baldridge, Jory R.; Stoever, Axel G.; Evans, Jay T.; Johnson, David A.; Lacy, Michael J.; Clawson, Valerie G.; Yorgensen, Vonnie M.; Johnson, Craig L.; Livesay, Mark T.; Hershberg, Robert M.; Persing, David H.

Corixa Corporation, Seattle, WA, 98101, USA CS

Infection and Immunity (2005), 73(5), 3044-3052SO

CODEN: INFIBR; ISSN: 0019-9567

American Society for Microbiology PB

DTJournal.

English LΑ

CC

1-3 (Pharmacology) A compound family of synthetic lipid A mimetics (termed the aminoalkyl AB glucosaminide phosphates [AGPs]) was evaluated in murine infectious disease models of protection against challenge with Listeria monocytogenes and influenza virus. For the Listeria model, i.v. administration of AGPs was followed by i.v. bacterial challenge 24 h later. Spleens were harvested 2 days postchallenge for the enumeration of CFU. For the influenza virus model, mice were challenged with virus via the intranasal/intrapulmonary route 48 h after intranasal/intrapulmonary administration of AGPs. The severity of disease was assessed daily for 3 wk following challenge. Several types of AGPs provided strong protection against influenza virus or Listeria challenge in wild-type mice, but they were inactive in the C3H/HeJ mouse, demonstrating the dependence of the AGPs on toll-like receptor 4 (TLR4) signaling for the protective effect. Structure-activity relationship studies showed that the activation of innate immune effectors by AGPs depends primarily on the lengths of the secondary acyl chains within the three acyl-oxy-acyl residues and also on the nature of the functional group attached to the aglycon component. We conclude that the administration of synthetic TLR4 agonists provides rapid pharmacol. induction of innate resistance to infectious challenge by two different pathogen classes, that this effect is mediated via TLR4, and that structural differences between AGPs can have dramatic effects on agonist activity in vivo.

ST toll receptor aminoalkyl glucosaminidine phosphate virus infection vaccine IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (TLR-4 (Toll-like receptor-4); synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) ¿

```
IT
     Influenza virus
     Listeria monocytogenes
     Structure-activity relationship
     Vaccines
        (synthetic Toll-like receptor 4 agonists stimulate innate resistance to
        infectious challenge)
IT
     Infection
        (viral; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
IT
     216013-81-9
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 512; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
IT
     854923-92-5
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 522; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
IT
     216014-49-2
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 524; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
IT
     216014-55-0
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 525; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
ΙT
     245515-64-4
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 526; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
     216014-14-1
IT
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 527; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
TT
     216014-45-8
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 529; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
IT
     216014-20-9
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 537; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
     216013-96-6
ΙT
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 538; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
IT
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 545; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
TТ
     216014-36-7
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (CRX 554; synthetic Toll-like receptor 4 agonists stimulate innate
        resistance to infectious challenge)
IT
     216014-28-7
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RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic

use); BIOL (Biological study); USES (Uses) (CRX 555; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) IT 216014-62-9 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 557; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) 216013-87-5 IT RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 560; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) ΙT 566170-22-7 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 565; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) 362594-90-9 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 566; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) TT 362594-92-1 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 567; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) TT 362594-91-0 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 568; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) TT 566170-27-2 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 569; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) 566170-29-4 IT RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 570; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) TT 216014-68-5 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 571; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) IT 854923-97-0 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRX 573; synthetic Toll-like receptor 4 agonists stimulate innate resistance to infectious challenge) THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT (1) Akira, S; Curr Opin Immunol 2003, V15, P5 HCAPLUS (2) Ayabe, T; Nat Immunol 2000, V1, P113 HCAPLUS (3) Baldridge, J; J Endotoxin Res 2002, V8, P453 HCAPLUS (4) Berger, F; Adv Pharmacol 1967, V5, P19 HCAPLUS (5) Caamano, J; Clin Microbiol Rev 2002, V15, P414 HCAPLUS (6) Evans, J; Expert Rev Vaccines 2003, V2, P219 HCAPLUS (7) Friedland, N; Proc Natl Acad Sci USA 2003, V100, P2512 HCAPLUS (8) Gioannini, T; Proc Natl Acad Sci USA 2004, V101, P4186 HCAPLUS (9) Gruber, A; J Biol Chem 2004, V279, P28475 HCAPLUS

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 β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-

Absolute stereochemistry.

(9CI) (CA INDEX NAME)

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L37
     ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
AN
     2004:610053 HCAPLUS
     141:162352
DN
ED
     Entered STN: 30 Jul 2004
TΙ
     Certain aminoalkyl glucosaminide phosphate compounds and their use
     Johnson, David A.
IN
PA
     Corixa Corporation, USA
SO
     PCT Int. Appl., 80 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
IC
     ICM A61K
     63-6 (Pharmaceuticals)
CC
     Section cross-reference(s): 15, 33
FAN.CNT 3
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                                               APPLICATION NO.
                                  DATE
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             CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU,
              ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ,
              KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN,
             MW, MX, MX, MZ
PRAI US 2003-438585P
                                  20030106
CLASS
                         PATENT FAMILY CLASSIFICATION CODES
 PATENT NO.
                  CLASS
 WO 2004062599
                  ICM
WO 2004062599
                  ECLA
                         C07H005/02; C07H011/04; C07H013/04; C07H015/04
os
     MARPAT 141:162352
GΙ
```

- AB Aminoalkyl glucosaminide phosphates, such as I [R = CO2H, CH2OPO3H2; R1, R2, R3 = aliphatic acyl], were described and claimed for therapeutic use as adjuvants and immunoeffectors. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages.
- ST glucosaminide phosphate adjuvant immunoeffector cytokine prodn macrophage activation; antibody prodn glucosaminide phosphate adjuvant immunoeffector IT Immunostimulants
 - (adjuvants; therapeutic use of aminoalkyl glucosaminide phosphates as adjuvants and immunoeffectors which augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages)
- IT Drug delivery systems

Macrophage

(therapeutic use of aminoalkyl glucosaminide phosphates as adjuvants and immunoeffectors which augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages)

IT Antibodies and Immunoglobulins

RL: BSU (Biological study, unclassified); BIOL (Biological study) (therapeutic use of aminoalkyl glucosaminide phosphates as adjuvants and immunoeffectors which augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages) 216014-15-2DP, RC 527, analogs 376394-26-2DP, RC 526,

analogs

TT

CN

RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(therapeutic use of aminoalkyl glucosaminide phosphates as adjuvants and immunoeffectors which augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages)

IT 216014-15-2DP, RC 527, analogs

RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(therapeutic use of aminoalkyl glucosaminide phosphates as adjuvants and immunoeffectors which augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages)

RN 216014-15-2 HCAPLUS

L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-O-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 216014-14-1 CMF C81 H151 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

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L37 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
     2004:96950 HCAPLUS
AN
DN
     140:331799
     Entered STN: 06 Feb 2004
ED
     Structure-Activity Relationship of Synthetic Toll-like Receptor 4 Agonists
TI
     Stoever, Axel G.; Da Silva Correia, Jean; Evans, Jay T.; Cluff,
ΑU
     Christopher W.; Elliott, Mark W.; Jeffery, Eric W.; Johnson, David
     A.; Lacy, Michael J.; Baldridge, Jory R.; Probst, Peter; Ulevitch,
     Richard J.; Persing, David H.; Hershberg, Robert M.
CS
     Corixa Corporation, Seattle, WA, 98104, USA
     Journal of Biological Chemistry (2004), 279(6), 4440-4449
SO
     CODEN: JBCHA3; ISSN: 0021-9258
PB
     American Society for Biochemistry and Molecular Biology
DT
     Journal
     English
LΑ
CC
     1-3 (Pharmacology)
     Important questions remain regarding the impact of variations in the
AΒ
     structure of the lipid A portion of lipopolysaccharide on activation of
     cells via the Toll-like receptor 4 complex. We have studied a series of
     synthetic lipid A mimetic compds. known as aminoalkyl glucosaminide
     phosphates in which the length of the secondary acyl chain has been
     systematically varied. Using transcriptional profiling of human monocytes
     and responses of Toll-like receptor 4 complex cell transfectants, we
     demonstrate a clear dependence of length on secondary acyl chain on
     Toll-like receptor 4 activation. Compds. with secondary acyl chains less
     than eight carbons in length have dramatically reduced activity, and
     substitutions of the left-sided secondary acyl chain had the most
     important effect on the Toll-like receptor 4 agonist activity of these
     mols. The structure-function relationships of these compds. assessed via
    the induction of chemokines and cytokines following in vivo administration
     closely mirrored those seen with cell-based studies. This novel set of
     synthetic lipid A mimetics will be useful for Toll-like receptor 4-based
     investigations and may have clin. utility as stand-alone immunomodulators.
     Toll receptor TLR4 agonist immunomodulator structure activity gene
ST
     expression
IT
     Receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TLR-4 (Toll-like receptor-4); structure-activity relationship of
        synthetic Toll-like receptor 4 agonists as immunomodulators)
ΙT
     Structure-activity relationship
        (immunomodulating; structure-activity relationship of synthetic
        Toll-like receptor 4 agonists as immunomodulators)
IT
     DNA microarray technology
     Gene expression profiles, animal
     Human
     Immunomodulators
        (structure-activity relationship of synthetic Toll-like receptor 4
        agonists as immunomodulators)
IT
     Interleukin 8
     Macrophage inflammatory protein 1\alpha
     Monocyte chemoattractant protein-1
     RANTES (chemokine)
     Tumor necrosis factors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (structure-activity relationship of synthetic Toll-like receptor 4
        agonists as immunomodulators)
     216013-81-9 216013-87-5 216014-14-1
IT
     216014-28-7 245515-64-4 362594-90-9
     362594-91-0 362594-92-1 566170-22-7
     566170-27-2 566170-29-4
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (structure-activity relationship of synthetic Toll-like receptor 4
        agonists as immunomodulators)
RE.CNT 36
              THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
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RE

(1) Baker, P; Infect Immun 1992, V60, P2694 HCAPLUS (2) Bauer, S; Proc Natl Acad Sci U S A 2001, V98, P9237 HCAPLUS (3) Bishop, R; EMBO J 2000, V19, P5071 HCAPLUS (4) Brandenburg, K; Biophys J 2002, V83, P322 HCAPLUS (5) Chuang, T; Biochim Biophys Acta 2001, V1518, P157 HCAPLUS (6) Da Silva, C; J Biol Chem 2001, V276, P21129(7) Da Silva, C; J Biol Chem 2002, V277, P1845 (8) Eberwine, J; Proc Natl Acad Sci U S A 1992, V89, P3010 HCAPLUS (9) Eisen, M; Proc Natl Acad Sci U S A 1998, V95, P14863 HCAPLUS (10) Flo, T; J Biol Chem 2002, V277, P35489 HCAPLUS (11) Guo, L; Cell 1998, V95, P189 HCAPLUS (12) Hajjar, A; Nat Immunol 2002, V3, P354 HCAPLUS (13) Hegde, P; BioTechniques 2000, V29, P548 HCAPLUS (14) Hemmi, H; Nat Immunol 2002, V3, P196 HCAPLUS (15) Johnson, D; Bioorg Med Chem Lett 1999, V9, P2273 HCAPLUS (16) Kimbrell, D; Nat Rev Genet 2001, V2, P256 HCAPLUS (17) Krieg, A; Vaccine 2000, V19, P618 HCAPLUS (18) Lee, J; Proc Natl Acad Sci U S A 1993, V90, P9930 HCAPLUS (19) Lemaitre, B; Cell 1996, V86, P973 HCAPLUS (20) Luo, L; Nat Med 1999, V5, P117 HCAPLUS (21) Martin, M; Infect Immun 2003, V71, P2498 HCAPLUS (22) Mujumdar, S; Bioconjug Chem 1996, V7, P356 HCAPLUS (23) Mullarkey, M; J Pharmacol Exp Ther 2003, V304, P1093 HCAPLUS (24) Persing, D; Trends Immunol 2002, V10, PS32 HCAPLUS (25) Poltorak, A; Proc Natl Acad Sci U S A 2000, V97, P2163 HCAPLUS (26) Poltorak, A; Science 1998, V282, P2085 HCAPLUS (27) Probst, P; Eur J Immunol 1997, V27, P2634 HCAPLUS (28) Rock, F; Proc Natl Acad Sc i U S A 1998, V95, P588 HCAPLUS (29) Takeda, K; Annu Rev Immunol 2003, V21, P335 HCAPLUS (30) Takeuchi, O; Gene (Amst) 1999, V231, P59 HCAPLUS (31) Tamai, R; Immunology 2003, V110, P66 HCAPLUS (32) Tobias, P; Immunobiology 1993, V187, P227 HCAPLUS (33) van Gelder, R; Proc Natl Acad Sci U S A 1990, V87, P1663 HCAPLUS (34) Visintin, A; Proc Natl Acad Sci U S A 2001, V98, P12156 HCAPLUS (35) Xing, Z; Am J Respir Cell Mol Biol 1994, V10, P148 HCAPLUS (36) Yang, S; Infect Immun 2001, V69, P2025 HCAPLUS 216013-81-9 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (structure-activity relationship of synthetic Toll-like receptor 4

RN

agonists as immunomodulators)

216013-81-9 HCAPLUS L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-(9CI) (CA INDEX NAME)

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L37
    ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
     2004:41489 HCAPLUS
ΑN
DN
     140:77363
ED
     Entered STN: 18 Jan 2004
     Processes for the production of aminoalkyl glucosaminide phosphate and
TI
     disaccharide immuno-effectors, and intermediates therefor
IN
     Johnson, David A.; Johnson, Craig L.; Bazin-Lee, Helene G.;
     Sowell, C. Gregory
PΑ
     Corixa Corporation, USA
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
     ICM C07H015-04
IC
     ICS C07H005-02; C07H011-04; C07H013-04
     33-7 (Carbohydrates)
     Section cross-reference(s): 15, 34
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     CA 2492446
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GI
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PGO
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                     Ι
                                                 II
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This invention relates to processes for production of alkylamino glucosaminide
AB
     phosphate compds., and of disaccharide compds., including various novel
     intermediates and intermediate processes. Reaction of an O-silyl
     glycoside I, wherein R has the formula R1R2R3Si, in which R1-R3 are
     independently selected from the group consisting of C1-C6 alkyl, C3-C6
     cycloalkyl and optionally substituted Ph; PG represents a protecting group
     that forms an ester, an ether or a carbonate with the oxygen atom of a
     hydroxy group or that forms an amide or a carbamate with the nitrogen atom
     of an amino group, resp., with a dihalo-Me alkyl ether gave glycosyl
     halides I,. In one aspect, glycosyl halides I, wherein A is Cl, Br, F are
     produced by reaction of an O-silyl glycoside I with a dihalo-Me alkyl
     ether. Thus, 2-deoxy-4-O-diphenylphosphono-3-O-[(R)-3-
     tetradecanoyloxytetradecanoyl]-6-0-(2,2,2-trichloro-1,1-
     dimethylethoxycarbonvl) -2-(2,2,2-trichloroethoxycarbonylamino) -\alpha-D-
     glucopyranosyl chloride was prepared from D-glucosamine hydrochloride via
     chlorination reaction.
     serine aminoalkyl glucosaminide phosphate disaccharide prepn glycoside
ST
     monosaccharide halogenation
     Halogenation
TT
        (processes for production of aminoalkyl glucosaminide phosphate and
        disaccharide potential immuno-effectors via silylation and halogenation
        reactions)
тт
     Glycosides
     Monosaccharides
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (processes for production of aminoalkyl glucosaminide phosphate and
        disaccharide potential immuno-effectors via silylation and halogenation
        reactions)
     66270-36-8P
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     RL: IMF (Industrial manufacture); PREP (Preparation)
        (processes for production of aminoalkyl glucosaminide phosphate and
        disaccharide potential immunoeffectors via silylation and halogenation
        reactions)
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                                                                 640291-16-3P
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TT
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                                                   640291-25-4P
                                                                  640291-26-5P
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                                   640291-30-1P
                                                  640291-31-2P
                                                                  640291-32-3P
     640291-28-7P
     640291-33-4P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (processes for production of aminoalkyl glucosaminide phosphate and
        disaccharide potential immunoeffectors via silylation and halogenation
        reactions)
                    216014-59-4P
                                   640291-35-6P
                                                   640291-36-7P
IT
     216014-15-2P
                    640291-38-9P
                                   640291-40-3P
                                                  640291-41-4P
                                                                  640291-42-5P
     640291-37-8P
                    640291-44-7P
     640291-43-6P
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (processes for production of aminoalkyl glucosaminide phosphate and
        disaccharide potential immunoeffectors via silylation and halogenation
        reactions)
                                            4885-02-3
                                                        13686-37-8
                                                                      25781-01-5
ΙT
     66-84-2, D-Glucosamine hydrochloride
                               122105-45-7
                                             122210-05-3
                                                           252042-31-2
     82911-81-7
                  87357-76-4
     640291-27-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (processes for production of aminoalkyl glucosaminide phosphate and
        disaccharide potential immunoeffectors via silylation and halogenation
        reactions)
     2456-81-7
TT
                 22572-40-3
     RL: RGT (Reagent); RACT (Reactant or reagent)
        (processes for production of aminoalkyl glucosaminide phosphate and
        disaccharide potential immunoeffectors via silylation and halogenation
        reactions)
TΥ
     216014-15-2P
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RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(processes for production of aminoalkyl glucosaminide phosphate and disaccharide potential immunoeffectors via silylation and halogenation reactions)

RN 216014-15-2 HCAPLUS

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]amino]-4-0-phosphono-β-Dglucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]tetradecyl]-, compd. with
N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 216014-14-1 CMF C81 H151 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}_{R}$$
 $(CH_2)_{10}_{R}$ $(CH_2)_{10}_{R}$

ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN

CM 2

CRN 121-44-8 CMF C6 H15 N

L37

AN2003:836578 HCAPLUS DN 139:307973 Entered STN: 24 Oct 2003 EDPreparation of aminoalkyl glucosaminide phosphates and their use as ΤI adjuvants and immuno-effectors IN Johnson, David A.; Sowell, C. Gregory PΑ Corixa Corporation, USA U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 43,086. SO CODEN: USXXCO DT Patent LΑ English IC A61K031-739; C08B037-00 INCL 514042000; 536053000

CC 33-7 (Carbohydrates)
 Section cross-reference(s): 1, 15, 34, 63

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	US 6113918		Α	200009		1997	-853826	19970508
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	US 20020485	88	Al	200204	25 US	2001	-905160	20010712
	US 6764840	US 6764840		200407	20			
US 2003092643		43	A1	200305	15 US	2002	-43086	20020108
PRAI	US 1997-853	826	A2	199705	08			
	US 1999-439	839	Al	199911	12			
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CLAS	S							
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US	2003199460	NCL			36/053.0			
		ECLA			07Н015/0			
US	6113918	NCL			36/001.1	10; 5	36/018.400;	536/117.000;
			536/119					
		ECLA	C07H015					
US	6303347	NCL					36/001.110;	536/018.400;
			•	•	36/119.0	00		
		ECLA	C07H015					
US	2002048588	NCL			24/278.1	00; 5	36/001.110	
		ECLA	C07H015	,				
US	2003092643	NCL					36/054.000;	424/234.100
		ECLA	C07H013	/06C; C	07Н015/0	4D		
os	MARPAT 139:	307973						
GI								

Aminoalkyl glucosaminide phosphate compds. (AGP) I were prepared wherein, X AB is selected from the group consisting of O and S at the axial or equatorial position; Y is selected from the group consisting of O and NH; Q is (CH2)n; L is (CH2)m; W is (CH2)q; n, m, p, q are integers from 0 to 6; R is (CH2)10Me; R1-R3 are the same or different and are normal fatty acyl residues having from 1 to about 20 carbon atoms and where one of R1-R3 is optionally hydrogen; R4 and R5 are the same or different and are selected from the group consisting of H and methyl; R6 and R7 are the same or different and are selected from the group consisting of H, hydroxy, alkoxy, phosphono, phosphono-oxy, sulfo, sulfo-oxy, amino, mercapto, cyano, nitro, formyl and carboxy, and esters and amides thereof; and R8 and R9 are the same or different and are selected from the group consisting of phosphono and H, and at least one of R8 and R9 is phosphono, that are adjuvants and immuno-effectors are described and claimed. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl

Ι

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residues. The compds. augment antibody production in immunized animals as
     well as stimulate cytokine production and activate macrophages. Methods for
     using the compds. as adjuvants and immuno-effectors are also disclosed.
     Thus, N-[(R)-3-hydroxytetradecanoyl]-0-[2-deoxy-4-0-phosphono-2-[(R)-3-
     dodecanoyloxytetradecanoylamino]-3-0-[(R)-3-tetradecanoyloxytetradecanoyl]-
     \alpha\text{-L-D-glucopyranosyl}]\text{-L-serine} triethylammonium salt was prepared and
     tested in mice as adjuvants and immuno-effectors. Mice vaccinated with
     formalin-inactivated influenza and the AGP compds. of the subject
     invention mounted a protective immune response to an influenza challenge
     as well as produced antibody to that antigen.
st
     antiinfluenza IgG immunoeffector aminoalkyl glucosaminide phosphate prepn;
     cytokine adjuvant immunoeffector antitetanus toxoid amino acid prepn
     glycoside; aminoalkyl glucosaminide phosphate prepn adjuvant
     immunoeffector antitetanus toxoid antibody
     Antibodies and Immunoglobulins
TT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG, immobilized; preparation of aminoalkyl glucosaminide phosphates and
        their use as adjuvants and immuno-effectors)
TT
    Antibodies and Immunoglobulins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG1; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
    Antibodies and Immunoglobulins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG2a; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
ΙT
    Antibodies and Immunoglobulins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG2b; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
     Antibodies and Immunoglobulins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (IgG; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
     Immunostimulants
        (adjuvants; preparation of aminoalkyl glucosaminide phosphates and their use
        as adjuvants and immuno-effectors)
IT
     Influenza
        (anti; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
    Macrophage
     Vaccines
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
    Antigens
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
    Amino acids, preparation
    Antibodies and Immunoglobulins
     Cytokines
     Glycosides
     RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
     Toxoids
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (tetanus; preparation of aminoalkyl glucosaminide phosphates and their use
        as adjuvants and immuno-effectors)
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     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
     109361-17-3
     RL: CAT (Catalyst use); USES (Uses)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
     66-84-2 99-73-0, 2,4'-Dibromoacetophenone 111-64-8, Octanoyl chloride
TT
     112-13-0, Decanoyl chloride
                                  112-16-3, Lauroyl chloride
                                                                112-37-8,
                                                      764-85-2, Nonanoyl
     Undecanoic acid 112-64-1, Myristoyl chloride
                                                  2528-61-2, Heptanoyl
     chloride
                2456-81-7, 4-Pyrrolidinopyridine
     chloride
                17341-93-4, 2,2,2-Trichloroethyl chloroformate
                                                                 22348-97-6.
                                  22572-40-3, 1-(3-Dimethylaminopropyl)-3-
     Methyl 3-oxotetradecanoate
     ethylcarbodiimide methiodide 58577-87-0 65414-74-6, L-Serinamide
                     66270-36-8, 2,2,2-Trichloro-1,1-dimethylethyl
     hydrochloride
                    66937-71-1 109977-90-4 122078-72-2
                                                             133099-79-3,
     chloroformate
     D-Serine benzyl ester 134304-48-6 166193-98-2
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     216014-70-9
                  339078-52-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
                  2524-64-3P, Diphenyl chlorophosphate
                                                         76062-98-1P
IT
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                   91578-89-1P
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                    339079-15-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
ΤT
     216013-82-0P
     RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
```

adjuvants and immuno-effectors) 216013-82-0 HCAPLUS

L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-CN [[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

RN

CRN 216013-81-9 CMF C93 H175 N2 O19 P

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN L37

ΑN 2003:570634 HCAPLUS

139:127985 DN

ED Entered STN: 25 Jul 2003

Prophylactic and therapeutic treatment of infectious and other diseases ΤI with mono- and disaccharide-based compounds

Persing, David H.; Crane, Richard T.; Elliot, Gary T.; Ulrich, J. Terry; IN Lacy, Michael J.; Johnson, David A.; Baldridge, Jory R.; Wang, Rong

PΑ USA

U.S. Pat. Appl. Publ., 56 pp., Cont.-in-part of U.S. Ser. No. 861,466. so CODEN: USXXCO

DT Patent

LА English

IC ICM A61K031-739

INCL 514042000; 536053000

CC 1-5 (Pharmacology)

Section cross-reference(s): 33

FAN.CNT 3

APPLICATION NO. DATE PATENT NO. KIND

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20030724
    US 2003139356
                          A1
                                            US 2001-991376
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     US 2002077304
                                20020620
                                            US 2001-861466
                                                                    20010518
                          A1
                                20041005
     US 6800613
                          B2
     US 2003105032
                         A1
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                                            US 2002-128156
                                                                    20020422
     US 2004147480
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                                                                    20040113
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                                20040729
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     US 2001-991376
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CLASS
                 CLASS PATENT FAMILY CLASSIFICATION CODES
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                 INCL
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US 2003139356
                        514/042.000; 536/053.000
                 NCL
                        C07H011/00; C07H013/04C; C07H013/06C; C07H015/14D
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                 NCL
                        514/024.000; 514/025.000; 536/017.200
                 ECLA
                        C07H011/00; C07H013/04C; C07H013/06C; C07H015/14D
                        514/042.000; 536/053.000
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                        C07H015/14D
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                        514/054.000
                        A61K031/7024; C07H011/00; C07H013/04C; C07H013/06C;
                 ECLA
                        C07H015/14D
     MARPAT 139:127985
     Methods and compns. for treating or ameliorating diseases and other
AB
     conditions, such as infectious diseases, autoimmune diseases and allergies
     are provided. The methods employ mono- and disaccharide-based compds. for
     selectively stimulating immune responses in animals and plants.
     saccharide deriv bacteria virus fungus infection allergy therapy
st
     prophylaxis
     CD antigens
TT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (CD 56; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
TT
     CD antigens
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (CD11B; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
IT
     CD antigens
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (CD54; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
IT
     Cell adhesion molecules
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (ICAM-1 (intercellular adhesion mol. 1); prophylaxis and treatment of
        infectious diseases and allergy with mono- and disaccharide-based
        compds.)
IT
     Cell adhesion molecules
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (NCAM (neural cell adhesion mol.); prophylaxis and treatment of
        infectious diseases and allergy with mono- and disaccharide-based
        compds.)
TТ
     Tumor necrosis factors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TNF-\alpha; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
IT
     Dermatitis
        (atopic; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
IT
        (bacterial; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
IT
        (candidiasis, vaginal; prophylaxis and treatment of infectious diseases
        and allergy with mono- and disaccharide-based compds.)
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Page 73

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IT
    Disease, animal
        (chronic rhinosinusitis; prophylaxis and treatment of infectious
        diseases and allergy with mono- and disaccharide-based compds.)
ΙT
    Infection
        (chronic viral hepatitis; prophylaxis and treatment of infectious
        diseases and allergy with mono- and disaccharide-based compds.)
ΙT
    Arthritis
        (chronic; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
ΙT
    Intestine, disease
        (inflammatory; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
IT
    Drug delivery systems
        (infusions; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
ΙT
    Drug delivery systems
        (inhalants; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
ΙT
    Drug delivery systems
        (injections, i.v.; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
IT
    Lipid A
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (monophosphates; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
ΙT
    Drug delivery systems
        (nasal; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
ΙT
    Pneumonia
        (nosocomial; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
ΙT
    Drug delivery systems
        (oral; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
ΤT
    Drug delivery systems
        (parenterals; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
IT
    Allergy inhibitors
    Animal
    Antibacterial agents
    Antiviral agents
    Asthma
    Autoimmune disease
    Candida
    Enterobacter
    Escherichia
    Firmicutes
    Fungicides
    Gram-negative bacteria
    Human
    Human immunodeficiency virus
    Human papillomavirus
     Immunostimulants
     Infection
     Influenza
    Klebsiella
    Listeria monocytogenes
    Multiple sclerosis
    Mycosis
     Periodontium, disease
     Pneumocystis carinii
     Pneumonia
     Proteus (bacterium)
     Pseudomonas
     Psoriasis
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Page 74

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Rheumatoid arthritis
     Serratia
     Staphylococcus
        (prophylaxis and treatment of infectious diseases and allergy with
        mono- and disaccharide-based compds.)
     CD14 (antigen)
IT
     CD3 (antigen)
     CD69 (antigen)
     CD86 (antigen)
     Fas antigen
     Interleukin 10
     Interleukin 18
     Interleukin 2
     Interleukin 4
     Interleukin 5
     Interleukin 8
     Macrophage inflammatory protein 18
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (prophylaxis and treatment of infectious diseases and allergy with
        mono- and disaccharide-based compds.)
IT
     Allergy
        (seasonal; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
IT
     Drug delivery systems
        (transdermal; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
     Drug delivery systems
IT
        (transmucosal; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
IT
     Hepatitis
        (viral, chronic; prophylaxis and treatment of infectious diseases and
        allergy with mono- and disaccharide-based compds.)
IT
        (viral; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
IT
     Interleukin 2 receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (\alpha \text{ chain; prophylaxis and treatment of infectious diseases and }
        allergy with mono- and disaccharide-based compds.)
TΤ
     Integrins
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (αM; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
IT
     Interferons
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (γ; prophylaxis and treatment of infectious diseases and allergy
        with mono- and disaccharide-based compds.)
     216013-41-1 216013-65-9 216013-73-9 216013-82-0
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     216013-88-6, RC 560 216013-97-7, RC 538
     216014-06-1 216014-15-2, RC 527 216014-21-0,
     RC 537 216014-29-8, RC 555 216014-37-8, RC 554
     216014-46-9, RC-529 216014-50-5, RC-524 216014-56-1 21 216014-69-6, RC 571 216014-82-3 216014-98-1 253119-91-4,
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     RC-552 376394-26-2, RC 526 566169-92-4, RC 515
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     566170-18-1, RC 577
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     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (prophylaxis and treatment of infectious diseases and allergy with
        mono- and disaccharide-based compds.)
     2644-64-6, Dipalmitoylphosphatidylcholine
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                                           4537-77-3, Dipalmitoyl phosphatidyl
     Distearoylphosphatidylethanolamine
                4537-78-4, Distearoyl phosphatidyl glycerol
                                                               4539-70-2,
     Distearoylphosphatidylcholine 5681-36-7, Dipalmitoylphosphatidylethanola
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mine 17966-25-5, Distearoylphosphatidic acid 18656-38-7, Dimyristoylphosphatidylcholine 19698-29-4, Dipalmitoylphosphatidic acid 20255-95-2, Dimyristoylphosphatidylethanolamine 30170-00-4, Dimyristoylphosphatidic acid 61361-72-6, Dimyristoyl phosphatidyl glycerol

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prophylaxis and treatment of infectious diseases and allergy with mono- and disaccharide-based compds.)

IT 216013-82-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prophylaxis and treatment of infectious diseases and allergy with ${\tt mono-}$ and ${\tt disaccharide-based}$ compds.)

RN 216013-82-0 HCAPLUS

CN L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphonoβ-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl], compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 216013-81-9 CMF C93 H175 N2 O19 P

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

- L37 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:435302 HCAPLUS
- DN 138:379230
- ED Entered STN: 06 Jun 2003
- TI Prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compounds
- IN Persing, David H.; Crane, Richard Thomas; Elliott, Gary T.; Ulrich, J.
 Terry; Lacy, Michael J.; Johnson, David A.; Baldridge, Jory R.;
 Wang, Rong

Page 76

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Le 10/043086
PA
     U.S. Pat. Appl. Publ., 57 pp., Cont.-in-part of U.S. Ser. No. 991,376.
SO
     CODEN: USXXCO
DT
     Patent
     English
LA
     ICM A61K031-7008
IC
     ICS A61K031-737
INCL 514042000; 536053000
     1-7 (Pharmacology)
     Section cross-reference(s): 15, 33, 63
FAN.CNT 3
     PATENT NO.
                        KTND
                               DATE
                                           APPLICATION NO.
                                                                 DATE
                                            20030605
                                           US 2002-128156
                                                                  20020422
PΙ
    US 2003105032
                         A1
                               20020620
                                           US 2001-861466
                                                                  20010518
    US 2002077304
                         A1
                               20041005
    US 6800613
                         B2
                               20030724
                                           US 2001-991376
                                                                 20011120
    US 2003139356
                         A1
     ZA 2002009438
                         Α
                               20040220
                                           ZA 2002-9438
                                                                 20021120
PRAI US 2000-205820P
                         Ρ
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     US 2001-281567P
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                               20011120
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CLASS

J		
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003105032	ICM	A61K031-7008
	ICS	A61K031-737
•	INCL	514042000; 536053000
US 2003105032	NCL	514/042.000; 536/053.000
	ECLA	A61K031/7024; C07H011/00; C07H013/04C; C07H013/06C;
		C07H015/14D
US 2002077304	NCL	514/024.000; 514/025.000; 536/017.200
	ECLA	C07H011/00; C07H013/04C; C07H013/06C; C07H015/14D
US 2003139356	NCL	514/042.000; 536/053.000
	ECLA	C07H011/00; C07H013/04C; C07H013/06C; C07H015/14D

OS MARPAT 138:379230

AB Methods and compns. for treating or ameliorating diseases and other conditions, such as infectious diseases, autoimmune diseases and allergies are provided. The methods employ mono- and disaccharide-based compds. for selectively stimulating immune responses in animals and plants.

ST infectious disease treatment monosaccharide disaccharide immunostimulant human

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (TLR-1 (Toll-like receptor-1); prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Dermatitis

(atopic; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Infection

(bacterial; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Mycosis

(candidiasis; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Infection

(chronic viral hepatitis; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Immunity

(disorder; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to

toxicity) Surfactants IT (drug formulations containing; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) ΙT Interleukin 10 Interleukin 6 Interleukin 8 Macrophage inflammatory protein 18 Tumor necrosis factors RL: BSU (Biological study, unclassified); BIOL (Biological study) (induction; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) Human immunodeficiency virus IT (infection treatment in relation to infection with; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) TT AIDS (disease) (infection treatment in; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) IT Mouth, disease Vagina, disease (infection, candidiasis; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) IT Enterobacter Escherichia Human papillomavirus Klebsiella Periodontium, disease Pneumocystis carinii Proteus (bacterium) Pseudomonas Serratia Staphylococcus (infection; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) IT Intestine, disease (inflammatory; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) Drug delivery systems TT (infusions; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) IT Drug delivery systems (inhalants; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) IT Drug delivery systems (injections, i.v.; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) IT Lipid A RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (monophosphates; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) IT Drug delivery systems (mucosal; prophylactic and therapeutic treatment of infectious and other diseases with mono, and disaccharide-based compds. in relation to toxicity)

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IT
     Drug delivery systems
        (nasal; prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
TΤ
     Infection
     Pneumonia
        (nosocomial; prophylactic and therapeutic treatment of infectious and
        other diseases with mono- and disaccharide-based compds. in relation to
ΙT
     Infection
        (oral, candidiasis; prophylactic and therapeutic treatment of
        infectious and other diseases with mono- and disaccharide-based compds.
        in relation to toxicity)
тт
     Drug delivery systems
        (oral; prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
     Drug delivery systems
        (parenterals; prophylactic and therapeutic treatment of infectious and
        other diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
IT
    Allergy
     Allergy inhibitors
     Antiarthritics
     Antiasthmatics
     Antirheumatic agents
     Autoimmune disease
     Drug delivery systems
     Hay fever
     Human
     Immunostimulants
     Infection
     Influenza
     Mycosis
     Pneumonia
     Psoriasis
        (prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
     Disaccharides
IT
     Monosaccharides
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
ΤТ
     Inflammation
     Nose, disease
        (rhinitis, allergic and infectious; prophylactic and therapeutic
        treatment of infectious and other diseases with mono- and
        disaccharide-based compds. in relation to toxicity)
ΤТ
     Inflammation
     Respiratory tract, disease
        (sinusitis, allergic and infectious; prophylactic and therapeutic
        treatment of infectious and other diseases with mono- and
        disaccharide-based compds. in relation to toxicity)
TT
     Drug delivery systems
        (transdermal; prophylactic and therapeutic treatment of infectious and
        other diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
IT
     Infection
        (vaginal, candidiasis; prophylactic and therapeutic treatment of
        infectious and other diseases with mono- and disaccharide-based compds.
        in relation to toxicity)
TT
     Hepatitis
        (viral, chronic; prophylactic and therapeutic treatment of infectious
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Page 79

and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

TΤ Infection

> (viral; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

216013-82-0 216013-88-6 216013-97-7 IT 216014-15-2 216014-21-0 216014-29-8

216014-37-8 376394-26-2

RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT 2644-64-6, Dipalmitoyl phosphatidylcholine 4537-76-2, Distearoyl phosphatidylethanolamine 4537-77-3, Dipalmitoyl phosphatidyl glycerol 4539-70-2, Distearoyl 4537-78-4, Distearoyl phosphatidyl glycerol 5681-36-7, Dipalmitoyl phosphatidylethanolamine phosphatidylcholine 18656-38-7, Dimyristoyl 17966-25-5, Distearoyl phosphatidic acid 19698-29-4, Dipalmitoyl phosphatidic acid phosphatidylcholine 20255-95-2, Dimyristoyl phosphatidylethanolamine 30170-00-4, Dimyristoyl phosphatidic acid 61361-72-6, Dimyristoyl phosphatidyl glycerol RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (surfactant, drug formulations containing; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

TT 216013-82-0

> RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

RN 216013-82-0 HCAPLUS

L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-CN [[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-, compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM

216013-81-9 CRN C93 H175 N2 O19 P

Absolute stereochemistry.

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CM 2
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CRN 121-44-8 CMF C6 H15 N

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ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
L37
     2003:376382 HCAPLUS
AN
     138:384134
DN
     Entered STN: 16 May 2003
ED
     Vaccine compositions comprising aminoalkyl glucosaminide phosphate
TI
     compounds as adjuvants and immunoeffectors for treating cancerous and
     infectious diseases
TN
     Johnson, David A.; Sowell, C. Gregory
PA
     Corixa Corporation, USA
     U.S. Pat. Appl. Publ., 60 pp., Cont.-in-part of U.S. Ser. No. 905,160.
SO
     CODEN: USXXCO
DT
     Patent
LΑ
     English
IC
     ICM A61K039-02
     ICS A61K031-739; C07H005-04
INCL 514042000; 536053000; 536054000; 424234100
     15-2 (Immunochemistry)
     Section cross-reference(s): 1, 63
FAN.CNT 10
                                                                    DATE
     PATENT NO.
                         KIND
                                 DATE
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PRAI US 1997-853826
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     US 1999-439839
                          A1
                                 19991112
     US 2001-905160
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                                 20010712
     US 2002-43086
                          A2
                                 20020108
CLASS
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 PATENT NO.
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                        A61K039-02
                        A61K031-739; C07H005-04
                 ICS
                 INCL
                         514042000; 536053000; 536054000; 424234100
                         514/042.000; 536/053.000; 536/054.000; 424/234.100
 US 2003092643
                 NCL
                        C07H013/06C; C07H015/04D
424/278.100; 536/001.110; 536/018.400; 536/117.000;
                 ECLA
 US 6113918
                 NCL
                        536/119.000
                 ECLA
                        C07H015/04D
                        435/101.000; 424/278.100; 536/001.110; 536/018.400;
 US 6303347
                 NCL
                         536/117.000; 536/119.000
                 ECLA
                        C07H015/04D
                        435/101.000; 424/278.100; 536/001.110
US 2002048588
                 NCL
                 ECLA
                        C07H015/04D
                        514/042.000; 536/053.000
 US 2003199460
                 NCL
                        C07H013/06C; C07H015/04D
                 ECLA
os
     MARPAT 138:384134
AB
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AB Aminoalkyl glucosaminide phosphate (AGP) compds. that are adjuvants and immunoeffectors are described and claimed. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the

glucosaminide ring and comprise three 3- alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Compns. and methods for using the compds. as adjuvants and immunoeffectors are also disclosed.

ST vaccine antigen tumor protein immune adjuvant aminoalkyl glucosaminide phosphate; cancer infection antigen vaccine immune adjuvant aminoalkyl glucosaminide phosphate

IT Macrophage

(activation; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Immunostimulants

(adjuvants; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Functional groups

(aminoalkyl phosphate; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Blood serum

Mucous membrane

(antibody production; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems

(aqueous; vaccine 'compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems

(carriers; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Immunity

(cell-mediated; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT T cell (lymphocyte)

(cytotoxic; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Glycosides

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(group; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Antiqens

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hepatitis B surface; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Solutions

(isotonic, agent; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Oils

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(metabolizable; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases)

IT Drug delivery systems

(nasal, intra-; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating

Page 82

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cancerous and infectious diseases)
IT
     Cytokines
     RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
     THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (production; vaccine compns. comprising aminoalkyl glucosaminide phosphate
        compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
    Drug delivery systems
IT
        (solns.; vaccine compns. comprising aminoalkyl glucosaminide phosphate
        compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
IT
     Toxoids
     RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (tetanus; vaccine compns. comprising aminoalkyl glucosaminide phosphate
        compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
IT
     Vaccines
        (tumor; vaccine compns. comprising aminoalkyl glucosaminide phosphate
        compds. as adjuvants and immunoeffectors for treating cancerous and
        infectious diseases)
TΤ
    Animal
    Antioxidants
     Egg, poultry
     Emulsions
    Human
     Immunomodulators
     Immunostimulants
     Infection
     Influenza virus
    Mammalia
    Microparticles
    Microspheres
     Surfactants
     Vaccines
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
TT
    Antibodies and Immunoglobulins
     RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
     THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
IT
    Ovalbumin
    RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
IT
    Antigens
     Polynucleotides
    Tumor antigens
    Tumor antigens
     RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
     Phosphatidylcholines, biological studies
IT
     Phosphatidylethanolamines, biological studies
     Sphingomyelins
     Sphingosines
    Tocopherols
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
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(vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases) TТ Antitumor agents (vaccines; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases) IT Infection (viral; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases) TT 125978-95-2P, Nitric oxide synthetase RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (inducible; vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases) ΙT 10102-43-9P, Nitric oxide, biological studies RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases) 66-84-2 76-05-1, Trifluoroacetic acid, reactions 99-73-0, IT 2,4'-Dibromoacetophenone 111-64-8, Octanoyl chloride 112-13-0, Decanoyl chloride 112-16-3, Lauroyl chloride 112-37-8, Undecanoic acid 764-85-2, Nonanoyl chloride 1738-72-3, L-Serine benzyl ester 2528-61-2, Heptanoyl chloride 6791-49-7, L-Serinamide 16357-59-8, 2-Ethoxy-1-ethoxycarbonyl-1,2-Oxalyl bromide dihydroquinoline 17341-93-4, 2,2,2-Trichloroethyl chloroformate 22348-97-6, Methyl 3-oxotetradecanoate 22572-40-3, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide methiodide 28715-21-1 58577-87-0 58577-88-1 66270-36-8, 2,2,2-Trichloro-1,1-dimethylethyl chloroformate . 66937-71-1, N-(2-Hydroxyethyl)glycine tert-butyl ester 122078-72-2 134304-48-6 105464-42-4 109977-90-4 133099-79-3 142982-11-4 166193-98-2 216014-70-9 216014-83-4 252042-31-2 RL: RCT (Reactant); RACT (Reactant or reagent) (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds. as adjuvants and immunoeffectors for treating cancerous and infectious diseases) 122105-45-7P 122210-01-9P IT 87357-76-4P 91681-56-0P 76062-98-1P 216013-05-7P 186383-49-3P 216013-03-5P 216013-06-8P 216013-07-9P 216013-10-4P 216013-11-5P 216013-12-6P 216013-13-7P 216013-14-8P 216013-26-2P 216013-27-3P 216013-22-8P 216013-16-0P 216013-20-6P 216013-28-4P 216013-29-5P 216013-30-8P 216013-31-9P 216013-35-3P 216013-42-2P 216013-44-4P 216013-36-4P 216013-37-5P 216013-43-3P 216013-53-5P 216013-54-6P 216013-55-7P 216013-49-9P 216013-50-2P 216013-66-0P 216013-67-1P 216013-60-4P 216013-61-5P 216013-62-6P 216013-80-8P 216013-77-3P 216013-78-4P 216013-69-3P 216013-71-7P 216013-83-1P 216013-85-3P 216013-89-7P 216013-90-0P 216013-91-1P 216013-92-2P 216013-93-3P 216013-98-8P 216013-99-9P 216014-00-5P 216014-08-3P 216014-09-4P 216014-01-6P 216014-02-7P 216014-07-2P 216014-23-2P 216014-11-8P 216014-12-9P 216014-17-4P 216014-22-1P 216014-24-3P 216014-25-4P 216014-26-5P 216014-30-1P 216014-31-2P 216014-38-9P 216014-40-3P 216014-33-4P 216014-34-5P 216014-32-3P 216014-44-7P 216014-47-0P 216014-48-1P 216014-41-4P 216014-42-5P

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525604-50-6P

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216014-52-7P 216014-65-2P

216014-80-1P

216014-90-3P

339078-53-4P

525604-12-0P

525604-32-4P

525604-47-1P

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525604-65-3P
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        525604-62-0P
        525604-81-3P
        RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
         (Reactant or reagent)
              (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
             as adjuvants and immunoeffectors for treating cancerous and infectious
             diseases)
                                                            216013-47-7P
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IT
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        525604-83-5P
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        BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
        USES (Uses)
              (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
             as adjuvants and immunoeffectors for treating cancerous and infectious
        3416-24-8DP, 2-Deoxy-2-amino-glucose, aminoalkyl phosphate derivs. RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
TТ
        study); PREP (Preparation); USES (Uses)
              (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
             as adjuvants and immunoeffectors for treating cancerous and infectious
             diseases)
IT ·56-81-5, Glycerol, biological studies
                                                                           63-89-8
                                                                                            83-44-3
                                                                                                                102-71-6,
                                                                       111-02-4, Squalene
        Triethanolamine, biological studies
                                                                                                               121-44-8,
                                                                                       998-07-2,
        Triethylamine, biological studies 360-65-6
                                                                                                1305-62-0, Calcium
        1,2-Dimyristoyl-sn-glycero-3-phosphoethanolamine
        hydroxide, biological studies
                                                               7732-18-5, Water, biological studies
                                                               21645-51-2, Aluminum hydroxide, biological
        10103-46-5, Calcium phosphate
        studies
                        106392-12-5, PLURONIC F 68
        RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
              (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
              as adjuvants and immunoeffectors for treating cancerous and infectious
              diseases)
IT
        525604-07-3P
        RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
         (Reactant or reagent)
              (vaccine compns. comprising m p 43aminoalkyl glucosaminide phosphate
              compds. as adjuvants and immunoeffectors for treating cancerous and
              infectious diseases)
IT
        216014-80-1P
        RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
         (Reactant or reagent)
              (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
              as adjuvants and immunoeffectors for treating cancerous and infectious
              diseases)
RN
        216014-80-1 HCAPLUS
        Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-4-O-(diphenoxyphosphinyl)-3-
CN
        O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-
        [] (x,y) = (x,y) + (
        oxoethyl]-3-[(1-oxotetradecyl)oxy]-, (3R)- (9CI) (CA INDEX NAME)
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L37 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
     2003:356202 HCAPLUS
AN
DN
     138:367577
ED
     Entered STN: 09 May 2003
     Viral vector and immunostimulant for enhancing vaccine immune response
TΙ
     without neutralizing antibody response to the viral vector
IN
     Mossman, Sally P.; Evans, Lawrence S.; Swanson, Ryan Michael
PΑ
     Corixa Corporation, USA
     PCT Int. Appl., 81 pp.
so
     CODEN: PIXXD2
DT
     Patent
     English
LА
     ICM A61K
IC
CC
     15-2 (Immunochemistry)
     Section cross-reference(s): 63
FAN.CNT 1
                                            APPLICATION NO.
                                                                    DATE
     PATENT NO.
                         KIND
                                DATE
                                                                    -----
                                            ______
     _ _ _ _
                                -----
PΤ
     WO 2003037275
                          A2
                                20030508
                                            WO 2002-US36426
                                                                    20021028
     WO 2003037275
                          А3
                                20040708
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM,
                         GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003228279
                          A1
                                20031211
                                            US 2002-283484
                                                                    20021029
PRAI US 2001-335512P
                          Р
                                20011031
                                20020403
     US 2002-369715P
                          P
CLASS
                        PATENT FAMILY CLASSIFICATION CODES
 PATENT NO.
                 CLASS
 WO 2003037275
                 ICM
                        A61K
 WO 2003037275
                        A61K039/39
                 ECLA
                        424/093.200; 514/054.000; 424/085.100; 424/085.200;
 US 2003228279
                 NCL
                        536/053.000
                        A61K039/39
                 ECLA
     MARPAT 138:367577
OS
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Compns. and methods comprising a recombinant virus and an immunostimulant AB. are provided for enhancing the immune response to a polypeptide expressed from the recombinant virus. Preferably this is done without also

Le 10/043086

enhancing the neutralizing antibody response to the recombinant virus. Illustrative compns. comprise an adenovirus and an adjuvant such as, for example, monophosphoryl lipid A, an alkyl glucosaminide phosphate, a saponin, or a combination thereof. The disclosed compns. and methods are useful, for example, in the treatment of diseases such as cancer or infectious disease.

- virus vector immunostimulant adjuvant aminoalkyl glucosaminide phosphate vaccine antigen; infection cancer vaccine tumor antigen recombinant viral vector adjuvant
- IT RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(TbH9; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

- Carbohydrates, biological studies IT
 - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (acylated; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- IT Immunostimulants (adjuvants, AS-2; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- TT Immunostimulants (adjuvants, Freund's incomplete; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- IT Immunostimulants (adjuvants, Freund's; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- Immunostimulants IT (adjuvants, Merch Adjuvant 65; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- IT Immunostimulants (adjuvants; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- IT Microspheres (biodegradable; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- Drug delivery systems IT (carriers; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- Polysaccharides, biological studies IT RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cationic or anionic; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- IT (cell-mediated, Th1; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- IT Immunity (humoral; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)
- Drug delivery systems IT (injections, i.m.; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Drug delivery systems

(injections, s.c.; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Drug delivery systems

(intradermal; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Biodegradable materials

(microsphere; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Lipid A

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (monophosphates; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Antibodies and Immunoglobulins

RL: BSU (Biological study, unclassified); REM (Removal or disposal); BIOL (Biological study); PROC (Process)

(neutralizing; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Emulsions

(oil-in-water; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Quillaja

(saponins; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Drug delivery systems

(suspensions; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Saponins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (triterpenoid; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Vaccines

(tumor; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Antitumor agents

(vaccines; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Adeno-associated virus

Poxviridae

(vector; viral vector and immunostimulant for delivering vaccine and enhancing immune response without causing neutralizing antibody response to viral vector)

IT Adenoviral vectors

Alphavirus

Antitumor agents

Avipoxvirus

CD4-positive T cell

CD8-positive T cell

Human

Immunostimulants

Infection

Mammalia

Mycobacterium tuberculosis

T cell (lymphocyte)

Vaccines

Page 88

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Vaccinia virus
     Viral vectors
        (viral vector and immunostimulant for delivering vaccine and enhancing
        immune response without causing neutralizing antibody response to viral
        vector)
TT
    Antigens
     Cytokines
     Fusion proteins (chimeric proteins)
     Interleukin 12
     Interleukin 2
     Tumor antigens
     Tumor antigens
     Tumor necrosis factors
     RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
     THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (viral vector and immunostimulant for delivering vaccine and enhancing
        immune response without causing neutralizing antibody response to viral
        vector)
     Minerals, biological studies
TТ
     Polyphosphazenes
     Saponins
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (viral vector and immunostimulant for delivering vaccine and enhancing
        immune response without causing neutralizing antibody response to viral
        vector)
IT
     Emulsions
        (water-in-oil; viral vector and immunostimulant for delivering vaccine
        and enhancing immune response without causing neutralizing antibody
        response to viral vector)
TТ
     Interferons
     RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
     THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (\alpha; viral vector and immunostimulant for delivering vaccine and
        enhancing immune response without causing neutralizing antibody
        response to viral vector)
                                521333-29-9
                                              521333-30-2
TТ
     521333-27-7 521333-28-8
     521333-31-3
     RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (viral vector and immunostimulant for delivering vaccine and enhancing
        immune response without causing neutralizing antibody response to viral
                                               7439-89-6D, Iron, salts
     60-18-4D, Tyrosine, acetylated derivs.
IT
     7440-66-6D, Zinc, salts 7440-70-2D, Calcium, salts 7784-30-phosphate 14257-69-3D, \beta-D-Glucosamine, aminoalkyl phosphate
                                                             7784-30-7, Aluminum
              21645-51-2D, Aluminum hydroxide, gel 141256-04-4, QS 21
     derivs.
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (viral vector and immunostimulant for delivering vaccine and enhancing
        immune response without causing neutralizing antibody response to viral
        vector)
IT
     521333-28-8
     RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
        (viral vector and immunostimulant for delivering vaccine and enhancing
        immune response without causing neutralizing antibody response to viral
        vector)
     521333-28-8 HCAPLUS
RN
    Decanoic acid, (1R)-1-[2-[[(1S)-1-carboxy-2-[[2-deoxy-2-[[(3R)-1-oxo-3-[(1-
     oxodecyl)oxy]dodecyl]amino]-3-0-[(3R)-1-oxo-3-[(1-oxodecyl)oxy]pentadecyl]-
     4-O-phosphono-β-D-glucopyranosyl]oxy]ethyl]amino]-2-oxoethyl]dodecyl
     ester (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

Me
$$(CH_2)_8$$
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L37 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
ΑN
     2002:182118 HCAPLUS
     136:217004
DN
ED
     Entered STN: 14 Mar 2002
     Preparation of aminoalkyl glucosamine phosphates and their use as
ΤI
     adjuvants and immunoeffectors
IN
     Johnson, David A.; Sowell, C. Gregory
PΑ
     Corixa Corporation, USA
SO
    U.S., 37 pp., Cont.-in-part of U.S. 6,113,918.
     CODEN: USXXAM
DT
     Patent
     English
LA
IC
     ICM A61K045-00
     ICS C07H001-00; C07H011-04; C07H013-02
INCL 424278100
CC
     33-7 (Carbohydrates)
     Section cross-reference(s): 1, 15, 63
FAN.CNT 10
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                        KIND
                               DATE
                                           APPLICATION NO.
                                                                 DATE
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    US 6355257
                         B1
                               20020312
                                           US 1998-74720
                                                                 19980507
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PRAI US 1997-853826
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                         A2
CLASS
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US 6355257
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                       C07H001-00; C07H011-04; C07H013-02
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                NCL
                       424/278.100; 536/001.110; 536/117.000; 536/119.000
                ECLA
                       C07H015/04D
                       424/278.100; 536/001.110; 536/018.400; 536/117.000;
US 6113918
                NCL
                       536/119.000
                ECLA
                       C07H015/04D
OS
    MARPAT 136:217004
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GI

AB Aminoalkyl glucosamine phosphate compds. I (R = substituted alkyl; R1, R2 = H, phosphono; R3, R4 = fatty acid residue; R5 = undecyl; X = O, S; Y = O, NH) were prepared as adjuvants and immunoeffectors. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosamine ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Methods for using the compds. as adjuvants and immunoeffectors are also disclosed. Thus, N-carboxymethyl-N-[(R)-3-decanoyloxytetradecanoyl]-3-aminopropyl-2-deoxy-4-O-phosphono-2-[(R)-3-decanoyloxytetradecanoyl]-3-aminopropyl-2-deoxy-4-decanoyloxytetradecanoyl]- β -D-glucopyranoside triethylammonium salt was prepared and tested as adjuvant and immunoeffector for anti-tetanus and anti-influenza activities.

virucide vaccine aminoalkyl glucosamine phosphate prepn; cytokine prodn vaccine aminoalkyl glucosamine phosphate; vaccine antiinfluenza aminoalkyl glucosamine phosphate prepn; immunization antitetanus aminoalkyl glucosamine phosphate prepn; antitetanus IgG aminoalkyl glucosamine phosphate prepn; aminoalkyl glucosamine phosphate prepn; aminoalkyl glucosamine phosphate prepn immunoeffector adjuvant

IT Antibodies and Immunoglobulins

RL: BSU (Biological study, unclassified); BIOL (Biological study) (IgG, immobilized; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antibodies and Immunoglobulins

RL: BSU (Biological study, unclassified); BIOL (Biological study) (IgG; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Immunostimulants

(adjuvants; preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antiviral agents

Immunization

Vaccines

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Cytokines

RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Glycosides

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT Antibodies and Immunoglobulins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

IT 109361-17-3

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RL: CAT (Catalyst use); USES (Uses)
         (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
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                    216013-19-3P
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     216013-47-7P
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                                    216013-59-1P
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     PREP (Preparation); USES (Uses)
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                                    216015-01-9P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
         (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
                                           99-73-0, 2,4'-Dibromoacetophenone
     66-84-2, D-Glucosamine hydrochloride
IT
     111-64-8, Octanoyl chloride 112-13-0, Decanoyl chloride 112-16-3,
     Lauroyl chloride 112-37-8, Undecanoic acid 112-64-1, Myristoyl
     chloride 764-85-2, Nonanoyl chloride 1738-72-3, L-Serine benzyl ester
                                     22348-97-6, Methyl 3-oxotetradecanoate
     2528-61-2, Heptanoyl chloride
                  65414-74-6, L-Serinamide hydrochloride
                                                           66270-36-8
     58577-87-0
                                             133099-79-3, D-Serine benzyl ester
     66937-71-1
                  91578-89-1
                              122078-72-2
                   166193-98-2
                                  216013-74-0
                                                216014-70-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
              THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT
RE
(1) Bulusu; Cyclic Analogues of Lipid A: Synthesis and Biological Activities
    1992, P3463 HCAPLUS
 (2) Eustache; Charbohydrate Research 1994, V251, P251 HCAPLUS
 (3) Ikeda; Chem Pharm Bull 1993, V41(10), P1879 HCAPLUS
 (4) Ikeda; Synthesis of Biologically Active N-Acylated L-serine Containing
    Glucosamine-4-Phosphate Derivatives of Lipid A 1993, P1879 HCAPLUS
 (5) Miyajima; Chem Pharm Bull 1996, V44(12), P2268
```

(6) Miyajima; Lipid A and Related Compounds XXXI 1996, P2268

- (7) Shimizu; Antitumor Activity and Biological Effects of Chemically Synthesized Monosaccharide Analogues of Lipid A in Mice 1985, P4621 HCAPLUS
- (8) Shimizu; Biological Activities and Antitumor Effects of Synthetic Lipid A Analogs Linked N-Acylated Serine 1995, P425 HCAPLUS
- (9) Shimizu; Biological Activities of Chemically Synthesized N-acylated Serine-linked Lipid A Analog in Mice 1994, P659 HCAPLUS

IT 216013-82-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors)

RN 216013-82-0 HCAPLUS

CN L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2[[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphonoβ-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl], compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 216013-81-9 CMF C93 H175 N2 O19 P

Absolute stereochemistry.

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CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

- L37 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 2001:868475 HCAPLUS
- DN 136:628
- ED Entered STN: 30 Nov 2001
- TI Prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compounds
- IN Persing, David H.; Crane, Richard Thomas; Elliot, Gary T.; Ulrich, J.
 Terry; Lacy, Michael J.; Johnson, David A.; Baldridge, Jory R.;
 Wang, Rong
- PA Corixa Corporation, USA

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PCT Int. Appl., 57 pp.
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     English .
     ICM C07H015-00
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OS
     MARPAT 136:628
     Methods and compns. for treating or ameliorating diseases and other
AB
     conditions, such as infectious diseases, autoimmune diseases and allergies
     are provided. The methods employ mono- and disaccharide-based compds. for
     selectively stimulating immune responses in animals and plants.
ST
     infectious disease treatment monosaccharide disaccharide immunostimulant
IT
     Receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (TLR-1 (Toll-like receptor-1); prophylactic and therapeutic treatment
        of infectious and other diseases with mono- and disaccharide-based
        compds. in relation to toxicity)
IT
     Dermatitis
        (atopic; prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
```

Le 10/043086

Page 94

toxicity)

IT Infection

(bacterial; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Mycosis

(candidiasis; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Infection

(chronic viral hepatitis; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Surfactants

(drug formulations containing; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Interleukin 10

Interleukin 6

Interleukin 8

Macrophage inflammatory protein 18

Tumor necrosis factors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(induction; prophylactic and therapeutic treatment of infectious and
other diseases with mono- and disaccharide-based compds. in relation to
toxicity)

IT Human immunodeficiency virus

(infection treatment in relation to infection with; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT AIDS (disease)

(infection treatment in; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Mouth, disease

Vagina, disease

(infection, candidiasis; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Enterobacter

Escherichia

Human papillomavirus

Klebsiella

Periodontium, disease

Pneumocystis carinii

Proteus (bacterium)

Pseudomonas

Serratia

Staphylococcus

(infection; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Intestine, disease

(inflammatory; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Drug delivery systems

(infusions; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Drug delivery systems

(inhalants; prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity)

IT Drug delivery systems

(injections, i.v.; prophylactic and therapeutic treatment of infectious

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and other diseases with mono- and disaccharide-based compds. in
        relation to toxicity)
IT
     Lipid A
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (monophosphates; prophylactic and therapeutic treatment of infectious
        and other diseases with mono- and disaccharide-based compds. in
        relation to toxicity)
IT
    Drug delivery systems
        (mucosal; prophylactic and therapeutic treatment of infectious and
        other diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
IT
     Drug delivery systems
        (nasal; prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
TT
     Infection
     Pneumonia
        (nosocomial; prophylactic and therapeutic treatment of infectious and
        other diseases with mono- and disaccharide-based compds. in relation to .
        toxicity)
IT
     Infection
        (oral, candidiasis; prophylactic and therapeutic treatment of
        infectious and other diseases with mono- and disaccharide-based compds.
        in relation to toxicity)
    Drug delivery systems
IT
        (oral; prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
ΙT
    Drug delivery systems
        (parenterals; prophylactic and therapeutic treatment of infectious and
        other diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
TT
    Allergy inhibitors
    Antiarthritics
    Antiasthmatics
    Antirheumatic agents
    Autoimmune disease
    Drug delivery systems
    Hay fever
     Immunostimulants
    Infection
     Influenza
    Mycosis
     Pneumonia
     Psoriasis
        (prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
    Disaccharides
IT
    Monosaccharides
    RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
    THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
ΙT
     Inflammation
    Nose, disease
        (rhinitis, allergic and infectious; prophylactic and therapeutic
        treatment of infectious and other diseases with mono- and
        disaccharide-based compds. in relation to toxicity)
IT
    Inflammation
     Respiratory tract, disease
        (sinusitis, allergic and infectious; prophylactic and therapeutic
        treatment of infectious and other diseases with mono- and
        disaccharide-based compds. in relation to toxicity)
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Le 10/043086

Page 96

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IT
        Multiple sclerosis
              (therapeutic agents; prophylactic and therapeutic treatment of
              infectious and other diseases with mono- and disaccharide-based compds.
              in relation to toxicity)
IT
        Drug delivery systems
              (transdermal; prophylactic and therapeutic treatment of infectious and
              other diseases with mono- and disaccharide-based compds. in relation to
              toxicity)
IT
        Infection
              (vaginal, candidiasis; prophylactic and therapeutic treatment of
              infectious and other diseases with mono- and disaccharide-based compds.
              in relation to toxicity)
IT
        Hepatitis
              (viral, chronic; prophylactic and therapeutic treatment of infectious
              and other diseases with mono- and disaccharide-based compds. in
              relation to toxicity)
IT
        Infection
              (viral; prophylactic and therapeutic treatment of infectious and other
              diseases with mono- and disaccharide-based compds. in relation to
              toxicity)
IT
        216013-82-0 216013-88-6 216013-97-7
        216014-15-2 216014-21-0 216014-29-8
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        unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL
         (Biological study); USES (Uses)
              (prophylactic and therapeutic treatment of infectious and other
              diseases with mono- and disaccharide-based compds. in relation to
             toxicity)
        2644-64-6, Dipalmitoyl phosphatidylcholine
                                                                                      4537-76-2, Distearoyl
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        phosphatidylethanolamine
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        RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
              (surfactant, drug formulations containing; prophylactic and therapeutic
              treatment of infectious and other diseases with mono- and
              disaccharide-based compds. in relation to toxicity)
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        β-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-
        , compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)
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        CRN 216013-81-9
        CMF
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Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

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L37 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
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     2001:757768 HCAPLUS
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     135:302901
ED
     Entered STN: 17 Oct 2001
     Aminoalkyl glucosaminide phosphate compounds and their use as adjuvants
TI
     and immunoeffectors
TN
     Johnson, David A.; Sowell, C. Gregory
     Corixa Corporation, USA
PA
SO
     U.S., 44 pp., Cont.-in-part of U.S. 6,113,918.
     CODEN: USXXAM
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     ICM C12P019-04
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INCL 435101000
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     Section cross-reference(s): 63
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                        536/117.000; 536/119.000
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                        424/278.100; 536/001.110; 536/018.400; 536/117.000;
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                        C07H013/06C; C07H015/04D
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                        536/055.000; 536/055.100; 536/123.130
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                        435/101.000; 424/278.100; 536/001.110
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AB
     Aminoalkyl glucosaminide phosphate (AGP) compds. that are adjuvants and
     immunoeffectors are described and claimed. The compds. have a
     2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon)
     group. Compds. are phosphorylated at the 4 or 6 carbon on the
     glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl residues.
     compds. augment antibody production in immunized animals as well as stimulate
     cytokine production and activate macrophages. Methods for using the compds.
     as adjuvants and immunoeffectors are also disclosed.
st
     adjuvant immunoeffector aminoalkyl glucosaminide phosphate compd
TT
     Immunoglobulins
     RL: BOC (Biological occurrence); BSU (Biological study, unclassified); THU
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        adjuvants and immunoeffectors)
IT
     Immunoglobulins
     RL: BSU (Biological study, unclassified); MFM (Metabolic formation); THU
     (Therapeutic use); BIOL (Biological study); FORM (Formation,
     nonpreparative); USES (Uses)
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Page 99

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(G1; aminoalkyl glucosaminide phosphate compds. and their use as
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        adjuvants and immunoeffectors)
IT
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IT
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TΤ
    Macrophage
        (activation; aminoalkyl glucosaminide phosphate compds. and their use
        as adjuvants and immunoeffectors)
IT
     Immunostimulants
        (adjuvants; aminoalkyl glucosaminide phosphate compds. and their use as
        adjuvants and immunoeffectors)
IT
    Antioxidants
     Egg, poultry
     Emulsions
     Influenza virus
     Vaccines
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
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     Fatty acids, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
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     Cytokines
    Immunoglobulins
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        and immunoeffectors)
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    Antigens
    Phosphatidylcholines, biological studies
    RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
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        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
TT
    Phosphatidylethanolamines, biological studies
    Sphingomyelins
     Sphingosines
    Tocopherols
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
IT
    Structure-activity relationship
        (antigenic; aminoalkyl glucosaminide phosphate compds. and their use as
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112-37-8.

764-85-2, Nonanoyl

adjuvants and immunoeffectors) IT Drug delivery systems (carriers; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT T cell (lymphocyte) (cytotoxic; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) TТ Micelles (dispersion; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) TT RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hepatitis B surface; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) Immunostimulants TΤ (immunoeffector; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT Drug delivery systems (liqs., dispersions; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT Cell activation (macrophage; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT Drug delivery systems (microparticles; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) Drug delivery systems IT (microspheres; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT Immunity (mucosal; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT Drug delivery systems (nasal, intra-; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT Drug delivery systems (oily, metabolizable; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT Toxoids RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (tetanus; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT60-18-4, L-Tyrosine, biological studies RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (adsorbate; aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) IT 125978-95-2, Nitric oxide synthetase RL: ARU (Analytical role, unclassified); BOC (Biological occurrence); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence) (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) 3416-24-8D, 2-Deoxy-2-amino-D-glucose, aminoalkyl phosphate derivs. IT 27194-79-2D, D-Glucosamine phosphate, aminoalkyl derivs. RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors) 111-64-8, Octanoyl chloride IT 66-84-2, D-Glucosamine hydrochloride

22348-97-6, Methyl

1738-72-3, L-Serine benzyl ester 2528-61-2, Heptanoyl

112-13-0, Decanoyl chloride 112-16-3, Lauroyl chloride

Undecanoic acid

2,2,2-Trichloroethyl chloroformate

chloride

chloride

112-64-1, Myristoyl chloride

2937-50-0, Allyl chloroformate 17341-93-4,

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58577-87-0
                                                   65414-74-6, L-Serinamide
     3-oxotetradecanoate
                         33243-33-3
     hydrochloride 66937-71-1, N-(2-Hydroxyethyl)glycine tert-butyl ester
     91578-89-1 109977-90-4 122078-72-2 133099-79-3, D-Serine benzyl
     ester 134304-48-6 142982-11-4 166193-98-2 216013-74-0
                                                             216014-38-9
                 216014-16-3
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     216013-98-8
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        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
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    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
IT
     339078-83-0P
    RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
                                                  216013-34-2P
ΙT
     216013-09-1P
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     367273-73-2P
     367273-97-0P
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
IT
     56-81-5, Glycerol, biological studies
                                            83-44-3
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    Triethanolamine, biological studies 111-02-4, Squalene
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    Triethylamine, biological studies 123-78-4, Sphingosine
               998-07-2, 1,2-Dimyristoyl-sn-glycero-3-phosphoethanolamine
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1305-62-0, Calcium hydroxide, biological studies 7732-18-5, Water, biological studies 10103-46-5, Calcium phosphate 21645-51-2, Aluminum 106392-12-5D, PLURONIC F 68, block hydroxide, biological studies copolymer

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors)

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 12 RE

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- (2) Bulusu; J Med Chem 1992, V35(19), P3463 HCAPLUS
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- (11) Shimizu; Int J Immunopharmacol 1994, V16(8), P659 HCAPLUS
- (12) Shimizu; Int J Immunopharmacol 1995, V17(5), P425 HCAPLUS
- ΙT 216014-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(aminoalkyl glucosaminide phosphate compds. and their use as adjuvants and immunoeffectors)

RN 216014-80-1 HCAPLUS

Tetradecanamide, N-[(1S)-2-amino-1-[[[2-deoxy-4-0-(diphenoxyphosphinyl)-3-CN O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[(3R)-1-oxo-3-[(1-[x] = [x] - [x]oxoethyl]-3-[(1-oxotetradecyl)oxy]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L37 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN
- 2001:713123 HCAPLUS ÀΝ
- DN 135:267269
- ED Entered STN: 28 Sep 2001
- ΤI Mono- and disaccharides for the treatment of nitric oxide related disorders
- IN Elliot, Gary; Johnson, David; Weber, Patricia A.; Sowell,
- PA Corixa Corp., USA
- SO PCT Int. Appl., 40 pp.
 - CODEN: PIXXD2
- DTPatent

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LA
     English
     A61K031-00
IC
     1-12 (Pharmacology)
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                         KIND
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     JP 2004521062
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PRAI US 2000-190444P
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CLASS
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                CLASS PATENT FAMILY CLASSIFICATION CODES
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 WO 2001070209
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                ECLA
                        A61K031/70L15L; C07H015/04
 JP 2004521062
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                        4C086/AA03; 4C086/EA05; 4C086/MA02; 4C086/MA06;
                        4C086/MA52; 4C086/MA55; 4C086/MA65; 4C086/NA14;
                        4C086/ZA36; 4C086/ZA51; 4C086/ZA54; 4C086/ZA59;
                        4C086/ZB35
OS
     MARPAT 135:267269
     Methods for treating diseases or conditions modulated or ameliorated by
AΒ
     nitric oxide, particularly ischemia and reperfusion injury, are provided,
     using glycolipids structurally related to monophosphoryl lipid A but with
     notable reduction in proinflammatory and pyrogenic activity.
     nitric oxide related disorder treatment disaccharide; monosaccharide
     nitric oxide related disorder treatment; ischemia reperfusion injury
     treatment nitric oxide disaccharide
TT
        (amputation and reattachment, treatment of ischemia and reperfusion
        injury in; mono- and disaccharides for treatment of nitric oxide
        related disorders particularly ischemia and reperfusion injury)
TΤ
     Artery
        (angioplasty, restenosis in, treatment of ischemia and reperfusion
        injury in; mono- and disaccharides for treatment of nitric oxide
        related disorders particularly ischemia and reperfusion injury but with
        less proinflammatory and pyrogenic activity)
IT
     Drug delivery systems
        (bolus; mono- and disaccharides for treatment of nitric oxide related
        disorders particularly ischemia and reperfusion injury but with less
        proinflammatory and pyrogenic activity)
ΙT
     Cytoprotective agents
        (cardioprotective; mono- and disaccharides for treatment of nitric
        oxide related disorders particularly ischemia and reperfusion injury
        but with less proinflammatory and pyrogenic activity)
     Blood vessel
IT
        (clamping, treatment of ischemia and reperfusion injury from; mono- and
        disaccharides for treatment of nitric oxide related disorders
        particularly ischemia and reperfusion injury but with less
        proinflammatory and pyrogenic activity)
TТ
     Artery, disease
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Page 104

(coronary, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Death

(drowning, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Polyoxyalkylenes, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug delivery systems containing; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Drug delivery systems

(emulsions; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Skin

(flap translocation, treatment of ischemia and reperfusion injury from; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Hypoxia, animal

(hypoxemia, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Heart, disease

Intestine, disease

(infarction, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Cytokines

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(inflammatory, low ability for induction of; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Drug delivery systems

(infusions; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Drug delivery systems

(injections, i.v.; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Reperfusion

(injury; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity).

IT Drug delivery systems

(lipid vesicles; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Drug delivery systems

(liposomes; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Interleukin 1β

Interleukin 8

Tumor necrosis factors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(low ability for induction of; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Anti-ischemic agents

Anticoaqulants

Drug delivery systems

Fever and Hyperthermia

Inflammation

(mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Disaccharides

Monosaccharides

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (mono- and disaccharides for treatment of nitric oxide related

(mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Surgery

(myoplasty, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Emulsions

(oil-in-water, drug delivery systems containing; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Drug delivery systems

(oral; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Drug delivery systems

(parenterals; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Surgery

(plastic, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Intestine

(resection, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Artery, disease

(restenosis, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Shock (circulatory collapse)

(septic, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Bronchi

(spasm, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity)

IT Artery

(stenting, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less

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Page 106

proinflammatory and pyrogenic activity) IT Brain, disease (stroke, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) IT Drug delivery systems (surfactant-containing vesicles; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) ΙT Heart Thorax (surgery, treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) IT Thrombolytics (treatment of ischemia and reperfusion injury from; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) IT Artery, disease Blood vessel, disease Lung, disease Multiple organ failure Pregnancy Surgery Thrombosis Transplant and Transplantation (treatment of ischemia and reperfusion injury in; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) IT 125978-95-2, Nitric oxide synthase RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (constitutive and inducible; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) IT 57-55-6, Propylene glycol, biological studies 64-17-5, Ethanol, biological studies 7732-18-5, Water, biological studies 25322-68-3, Polyethylene glycol RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (drug delivery systems containing; mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) 216014-36-7 216014-45-8 216014-55-0 216014-75-4 216014-81-2 245515-64-4 245515-66-6 252042-16-3 245515-68-8 252042-50-5 253119-91-4, RC-552 362594-85-2 362594-86-3 362594-87-4 362594-88-5 362594-89-6 362594-90-9 362594-91-0 362594-92-1 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less proinflammatory and pyrogenic activity) 10102-43-9, Nitric oxide, biological studies IT RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

IT 216014-36-7
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

proinflammatory and pyrogenic activity)

(mono- and disaccharides for treatment of nitric oxide related disorders particularly ischemia and reperfusion injury but with less

Absolute stereochemistry.

ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN

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2001:360008 HCAPLUS
AN
DN
     134:353474
ΕD
     Entered STN: 18 May 2001
     Preparation of aminoalkyl glucosaminide phosphates and their use as
ΤI
     adjuvants and immuno-effectors
IN
     Johnson, David A.; Sowell, C. Gregory
PA
     Corixa Corporation, USA
so
     PCT Int. Appl., 147 pp.
     CODEN: PIXXD2
DT
     Patent.
ĹΑ
     English
IC
     ICM C07H
CC
     33-7 (Carbohydrates)
     Section cross-reference(s): 1, 15, 34, 63
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             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6303347
                           В1
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                                               US 1999-439839
                                                                       19991112
     CA 2391299
                           AΑ
                                  20010517
                                               CA 2000-2391299
                                                                       20001113
     EP 1230250
                                              EP 2000-982119
                                                                       20001113
                                  20020814
                           A2
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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	BR 2000015	501	А	20030225	BR :	2000-15501	20001113	
	JP 2003514		T2	20030422		2001-537329	20001113	
NZ 518860		A	20030122		2000-518860	20001113		
		A5	20011120		2001-19189	20011113		
AU 2001019189				AU .	2001-19189	20011113		
AU 773921		B2	20040610		_			
NO 2002002207		A	20020710	NO :	2002-2207	20020508		
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	US 1997-85	3826	A2	19970508				
	WO 2000-US	31340	W	20001113				
CLASS								
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WO	2001034617	ICM	C07H					
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			435/101	1 000, 607110.	70 10	0; 536/001.110;	E36/018 400.	
US	6303347	NCL					536/018.400;	
				7.000; 536/1	L9.00	0		
		ECLA	C07H01	5/04D				
OS MARPAT 134:353474								
GI								
GI								

Aminoalkyl glucosaminide phosphate compds. (AGP) I were prepared wherein, X AB is selected from the group consisting of O and S at the axial or equatorial position; Y is selected from the group consisting of O and NH; Q is (CH2)n; L is (CH2)m; W is (CH2)q; n, m, p, q are integers from 0 to 6; R is (CH2)10Me; R1-R3 are the same or different and are normal fatty acyl residues having from 1 to about 20 carbon atoms and where one of R1-R3 is optionally hydrogen; R4 and R5 are the same or different and are selected from the group consisting of H and methyl; R6 and R7 are the same or different and are selected from the group consisting of H, hydroxy, alkoxy, phosphono, phosphonooxy, sulfo, sulfoxy, amino, mercapto, cyano, nitro, formyl and carboxy, and esters and amides thereof; and R8 and R9 are the same or different and are selected from the group consisting of phosphono and H, and at least one of R8 and R9 is phosphono, that are adjuvants and immuno-effectors are described and claimed. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds. augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Methods for using the compds. as adjuvants and immuno-effectors are also disclosed. Thus, N-[(R)-3-hydroxytetradecanoyl]-O-[2-deoxy-4-O-phosphono-2-[(R)-3dodecanoyloxytetradecanoylamino] -3-0-[(R)-3-tetradecanoyloxytetradecanoyl]- $\alpha\text{-L-D-glucopyranosyl}$ -L-serine triethylammonium salt was prepared and tested in mice as adjuvants and immuno-effectors. Mice vaccinated with formalin-inactivated influenza and the AGP compds. of the subject invention mounted a protective immune response to an influenza challenge as well as produced antibody to that antigen. antiinfluenza IgG immunoeffector aminoalkyl glucosaminide phosphate prepn; cytokine adjuvant immunoeffector antitetanus toxoid amino acid prepn

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glycoside; aminoalkyl glucosaminide phosphate prepn adjuvant
     immunoeffector antitetanus toxoid antibody
IT
     Immunoglobulins
    RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (G1; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
ΙT
     Immunoglobulins
    RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (G2a; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
     Immunoglobulins
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (G2b; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
TΤ
     Immunoglobulins
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (G; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
     Immunostimulants
        (adjuvants; preparation of aminoalkyl glucosaminide phosphates and their use
        as adjuvants and immuno-effectors)
IT
     Influenza
        (anti; preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
IT
    Macrophage
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
TT
    Amino acids, preparation
    Antibodies
     Cytokines
    Glycosides
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
    Toxoids
TT
    RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (tetanus; preparation of aminoalkyl glucosaminide phosphates and their use
        as adjuvants and immuno-effectors)
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        adjuvants and immuno-effectors)
IT.
    109361-17-3
     RL: CAT (Catalyst use); USES (Uses)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
     66-84-2 99-73-0, 2,4'-Dibromoacetophenone 111-64-8, Octanoyl chloride
ΙT
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112-16-3, Lauroyl chloride
                                                                  112-37-8,
     112-13-0, Decanoyl chloride
     Undecanoic acid 112-64-1, Myristoyl chloride 764-85-2, Nonanoyl
                2456-81-7, 4-Pyrrolidinopyridine 2528-61-2, Heptanoyl
     chloride
     chloride
                17341-93-4, 2,2,2-Trichloroethyl chloroformate
                                                                 22348-97-6,
     Methyl 3-oxotetradecanoate 22572-40-3, 1-(3-Dimethylaminopropyl)-3-
     ethylcarbodiimide methiodide 58577-87-0 65414-74-6, L-Serinamide
                     66270-36-8, 2,2,2-Trichloro-1,1-dimethylethyl
     hydrochloride
                     66937-71-1 109977-90-4 122078-72-2
                                                              133099-79-3.
     chloroformate
     D-Serine benzyl ester
                            134304-48-6 166193-98-2
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                   339078-52-3
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        (preparation of aminoalkyl glucosaminide phosphates and their use as
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                  2524-64-3P, Diphenyl chlorophosphate
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     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
RE.CNT
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Bulusu, M; J Med Chem 1992, V35, P3463 HCAPLUS
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IT
     216013-82-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of aminoalkyl glucosaminide phosphates and their use as
        adjuvants and immuno-effectors)
RN
     216013-82-0 HCAPLUS
     L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-
CN
     [[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono-
     β-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-
     , compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)
     CM
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CRN 216013-81-9 CMF C93 H175 N2 O19 P

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$ $(CH_2)_{12}$ $(CH_2)_{12}$

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et- N- Et

L37 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:769093 HCAPLUS

DN 132:137639

ED Entered STN: 06 Dec 1999

- TI Synthesis and biological evaluation of a new class of vaccine adjuvants: aminoalkyl glucosaminide 4-phosphates (AGPs). [Erratum to document cited in CA131:272113]
- AU Johnson, David A.; Sowell, C. Gregory; Johnson, Craig L.; Livesay, Mark T.; Keegan, David S.; Gustafson, Gary L.; Rhodes, Michael J.; Ulrich, J. Terry; Ward, Jon R.; Cantrell, John L.; Brookshire, Valerie G.
- CS Pharmaceutical Discovery Division, Ribi ImmunoChem Research, Inc., Hamilton, MT, 59840, USA
- SO Bioorganic & Medicinal Chemistry Letters (1999), 9(22), 3260 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English CC 33-7 (Ca
 - 33-7 (Carbohydrates)

Section cross-reference(s): 1

- AB The name of coauthor Gary L. Gustafson was omitted fro the list of authors' names; the complete list is reprinted.
- ST erratum vaccine adjuvant aminodeoxyphosphonoglucopyranoside; vaccine adjuvant aminodeoxyphosphonoglucopyranoside erratum; aminoalkyl serine glucosaminide phosphate prepn erratum; serine glucosaminide phosphate prepn immunostimulant erratum

IT Immunostimulants

(adjuvants; synthesis and biol. evaluation of a new class of vaccine adjuvants: aminoalkyl glucosaminide phosphates (Erratum))

```
T cell (lymphocyte)
IT
        (cytotoxic; synthesis and biol. evaluation of a new class of vaccine
        adjuvants: aminoalkyl glucosaminide phosphates (Erratum))
IT
     Immunostimulants
     Vaccines
        (synthesis and biol. evaluation of a new class of vaccine adjuvants:
        aminoalkyl glucosaminide phosphates (Erratum))
IT
     Toxoids
     RL: BOC (Biological occurrence); BSU (Biological study, unclassified);
     BIOL (Biological study); OCCU (Occurrence)
        (tetanus; synthesis and biol. evaluation of a new class of vaccine
        adjuvants: aminoalkyl glucosaminide phosphates (Erratum))
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IT
     216013-08-0P
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    216014-45-8P
     216014-75-4P 216014-81-2P
                                 216014-87-8P
                                                245515-70-2P
     245515-64-4P 245515-66-6P
                                 245515-68-8P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (synthesis and biol. evaluation of a new class of vaccine adjuvants:
        aminoalkyl glucosaminide phosphates (Erratum))
                                               216014-47-0
                                                              216014-52-7
                                 216014-33-4
IT
     216013-92-2
                   216014-11-8
     216014-57-2
                   216014-59-4
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     RL: RCT (Reactant); RACT (Reactant or reagent)
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        aminoalkyl glucosaminide phosphates (Erratum))
IT
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     study); PREP (Preparation)
        (synthesis and biol. evaluation of a new class of vaccine adjuvants:
        aminoalkyl glucosaminide phosphates (Erratum))
     216013-81-9 HCAPLUS
RN
    L-Serine, O-[2-deoxy-3-0-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-
CN
     [[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono-
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            (CA INDEX NAME)
      (9CI)
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Absolute stereochemistry:

L37 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:536699 HCAPLUS

DN 131:272113

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Entered STN: 27 Aug 1999
     Synthesis and biological evaluation of a new class of vaccine adjuvants:
TI
     aminoalkyl glucosaminide 4-phosphates (AGPs)
ΑU
     Johnson, David A.; Sowell, C. Gregory; Johnson, Craig
     L.; Livesay, Mark T.; Keegan, David S.; Rhodes, Michael J.; Ulrich, J.
     Terry; Ward, Jon R.; Cantrell, John L.; Brookshire, Valerie G.
CS
     Pharmaceutical Discovery Division, Ribi ImmunoChem Research, Inc.,
     Hamilton, MT, 59840, USA
so
     Bioorganic & Medicinal Chemistry Letters (1999), 9(15), 2273-2278
     CODEN: BMCLE8; ISSN: 0960-894X
PB.
     Elsevier Science Ltd.
DT
     Journal
     English
LΑ
     33-7 (Carbohydrates)
CC
     Section cross-reference(s): 1
     A novel series of acylated ω-aminoalkyl 2-amino-2-deoxy-4-phosphono-
AB
     \beta-D-glucopyranosides (aminoalkyl glucosaminide 4-phosphates) was
     synthesized and screened for immunostimulant activity. Several of these
     compds. enhance the production of tetanus toxoid-specific antibodies in mice
     and augment vaccine-induced cytotoxic T cells against EG.7-ova target
     vaccine adjuvant aminodeoxyphosphonoglucopyranoside; aminoalkyl serine
ST
     glucosaminide phosphate prepn immunostimulant
     Immunostimulants
IT
        (adjuvants; synthesis and biol. evaluation of a new class of vaccine
        adjuvants: aminoalkyl glucosaminide phosphates)
     T cell (lymphocyte)
ΙT
        (cytotoxic; synthesis and biol. evaluation of a new class of vaccine
        adjuvants: aminoalkyl glucosaminide phosphates)
TT
     Immunostimulants
     Vaccines
        (synthesis and biol. evaluation of a new class of vaccine adjuvants:
        aminoalkyl glucosaminide phosphates)
IT
     Toxoids
     RL: BOC (Biological occurrence); BSU (Biological study, unclassified);
     BIOL (Biological study); OCCU (Occurrence)
        (tetanus; synthesis and biol. evaluation of a new class of vaccine
        adjuvants: aminoalkyl glucosaminide phosphates)
TT
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     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (synthesis and biol. evaluation of a new class of vaccine adjuvants:
        aminoalkyl glucosaminide phosphates)
IT
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                                                216014-47-0
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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (synthesis and biol. evaluation of a new class of vaccine adjuvants:
        aminoalkyl glucosaminide phosphates)
RE.CNT
              THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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- (24) Werner, G; Eur J Biochem 1996, V242, P1 HCAPLUS
- 216013-81-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and biol. evaluation of a new class of vaccine adjuvants: aminoalkyl glucosaminide phosphates)

RN 216013-81-9 HCAPLUS

L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-CN [(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono- β -D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$ $(CH_2)_{12}$ $(CH_2)_{12}$

- ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2005 ACS on STN L37
- 1998:745066 HCAPLUS AN
- DN 130:14164
- ED Entered STN: 24 Nov 1998
- Preparation of aminoalkyl glucosamine phosphates and their use as TI adjuvants and immunoeffectors
- IN Johnson, David A.; Sowell, C. Gregory
- PΑ Ribi Immunochem Research, Inc., USA
- so PCT Int. Appl., 140 pp. CODEN: PIXXD2
- DTPatent
- English LΑ
- ICM C07H015-04 TC

ICS A61K031-70 33-7 (Carbohydrates) Section cross-reference(s): 1, 15, 63 FAN.CNT 10 APPLICATION NO. DATE PATENT NO. KIND DATE ---------------WO 1998-US9385 19980507 ΡI WO 9850399 A1 19981112 W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG US 1997-853826 · 19970508 20000905 US 6113918 Α CA 2288601 AA 19981112 CA 1998-2288601 19980507 19980507 AU 9874747 A1 19981127 AU 1998-74747 AU 740663 B2 20011108 EP 983286 A1 20000308 EP 1998-922138 19980507 EP 983286 20040728 B1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI BR 9809791 BR 1998-9791 19980507 20000627 Α JP 2002512623 T2 20020423 JP 1998-548512 19980507 NZ 1998-500938 19980507 NZ 500938 A. 20020531 AP 1999-1693 AP 1181 Α 20030630 19980507 W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW AT 1998-922138 19980507 AT 272067 E 20040815 В1 20041130 PL 1998-343205 PL 188046 ES 2224397 Т3 20050301 ES 1998-922138 19980507 MX 1999-10262 19991108 MX 9910262 Α 20000831 PRAI US 1997-853826 А 19970508 WO 1998-US9385 W 19980507 CLASS PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES ______ ---------C07H015-04 WO 9850399 ICM A61K031-70 ICS WO 9850399 C07H015/04D ECLA 424/278.100; 536/001.110; 536/018.400; 536/117.000; US 6113918 NCL 536/119.000 C07H015/04D **ECLA ECLA** C07H015/04D AP 1181 MARPAT 130:14164 os

$$R^{1}O$$
 $R^{2}O$
 $R^{3}O$
 R^{5}
 $R^{4}O$
 R^{5}
 R^{5}

GΙ

AB Aminoalkyl glucosamine phosphate compds. I (R = substituted alkyl; R1, R2 = H, phosphono; R3, R4 = fatty acid residue; R5 = undecyl; X = O, S; Y = O, NH) were prepared as adjuvants and immunoeffectors. The compds. have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon)

```
group. Compds. are phosphorylated at the 4 or 6 carbon on the glucosamine
     ring and comprise three 3-alkanoyloxyalkanoyl residues. The compds.
    augment antibody production in immunized animals as well as stimulate cytokine
    production and activate macrophages. Methods for using the compds. as
    adjuvants and immunoeffectors are also disclosed. Thus,
    N-carboxymethyl-N-[(R)-3-decanoyloxytetradecanoyl]-3-aminopropyl-2-deoxy-4-
    O-phosphono-2-[(R)-3-decanoyloxytetradecanoylamino]-3-0-[(R)-3-
    decanoyloxytetradecanoyl]-\beta-D-glucopyranoside triethylammonium salt
    was prepared and tested as adjuvant and immunoeffector for anti-tetanus and
    and anti-influenza activities.
ST
    virucide vaccine aminoalkyl glucosamine phosphate prepn; cytokine prodn
    vaccine aminoalkyl glucosamine phosphate; vaccine antiinfluenza aminoalkyl
    glucosamine phosphate prepn; immunization antitetanus aminoalkyl
    glucosamine phosphate prepn; antitetanus IgG aminoalkyl glucosamine
    phosphate prepn; aminoalkyl glucosamine phosphate prepn immunoeffector
    adjuvant
IT
    Immunoglobulins
    RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (G; preparation of aminoalkyl glucosamine phosphates and their use as
        adjuvants and immunoeffectors)
IT
    Immunostimulants
        (adjuvants; preparation of aminoalkyl glucosamine phosphates and their use
        as adjuvants and immunoeffectors)
TT
    Antiviral agents
     Immunization
    Vaccines
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
IT
    Glycosides
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
ΙT
    Antibodies
     Cytokines
    RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
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        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
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                                                                 216013-41-1P
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    216013-09-1P
                    216013-19-3P
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     216013-47-7P
                    216013-52-4P
     216013-82-0P 216013-88-6P 216013-97-7P
     216014-06-1P 216014-15-2P 216014-21-0P
                                                216014-50-5P
                                 216014-46-9P
     216014-29-8P 216014-37-8P
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     216014-82-3P
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    study, unclassified); IMF (Industrial manufacture); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
TТ
     109361-17-3
    RL: CAT (Catalyst use); USES (Uses)
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        and immunoeffectors)
                                 91681-56-0P
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                                                             122210-01-9P
IT
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                                   216013-53-5P
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Page 117

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     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
                                            99-73-0, 2,4'-Dibromoacetophenone
     66-84-2, D-Glucosamine hydrochloride
     111-64-8, Octanoyl chloride
                                   112-13-0, Decanoyl chloride
     Lauroyl chloride 112-37-8, Undecanoic acid 112-64-1, Myristoyl
                764-85-2, Nonanoyl chloride 1738-72-3, L-Serine benzyl ester
     2528-61-2, Heptanoyl chloride 22348-97-6, Methyl 3-oxotetradecanoate
     58577-87-0 65414-74-6, L-Serinamide hydrochloride 66270-36-8
                                             133099-79-3, D-Serine benzyl ester
     66937-71-1
                  91578-89-1
                               122078-72-2
     142982-11-4
                  166193-98-2
                                  216013-74-0
                                                 216014-70-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT
RE
(1) Eustache, J; Carbohydrate Research 1994, V251, P251 HCAPLUS
(2) Ikeda, K; Chemical and Pharmaceutical Bulletin 1993, V41(10), P1879 HCAPLUS (3) Miyajima, K; Chemical and Pharmaceutical Bulletin 1996, V44(12), P2268
     216013-82-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); IMF (Industrial manufacture); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants
        and immunoeffectors)
     216013-82-0 HCAPLUS
RN
     L-Serine, O-[2-deoxy-3-O-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-
CN
     [[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]amino]-4-O-phosphono-
     β-D-glucopyranosyl]-N-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-
     , compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)
     CM
          1
     CRN 216013-81-9
     CMF C93 H175 N2 O19 P
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Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

=> b uspatall FILE 'USPATFULL' ENTERED AT 08:44:19 ON 05 AUG 2005 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 08:44:19 ON 05 AUG 2005 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitrn 138 tot

L38 ANSWER 1 OF 12 USPATFULL on STN

AN 2005:125210 USPATFULL

TI Processes for the production of aminoalkyl glucosaminide phosphate and disaccharide immunoeffectors, and intermediates therefor

IN Johnson, David A., Hamilton, MT, UNITED STATES Johnson, Craig L., Hamilton, MT, UNITED STATES Bazin-Lee, Helene G., Stevensville, MT, UNITED STATES

Sowell, C. Gregory, Mukilteo, WA, UNITED STATES

PA Corixa Corporation, a corporation of the state of Delaware, Seattle, WA, UNITED STATES (U.S. corporation)

PI US 2005107600 A1 20050519

AI US 2004-897194 A1 20040721 (10)

RLI Continuation-in-part of Ser. No. US 2004-472991, filed on 12 Aug 2004, PENDING A 371 of International Ser. No. WO 2003-US21504, filed on 8 Jul 2003

PRAI US 2002-394487P 20020708 (60)

DT Utility

FS APPLICATION

LREP TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834, US

CLMN Number of Claims: 115

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1991

CAS INDEXING IS AVAILABLE FOR THIS PATENT. This invention relates to processes for production of alkylamino glucosaminide phosphate compounds, and of disaccharide compounds, including various novel intermediates and intermediate processes. In one aspect, glycosyl halides are produced by reaction of an O-silyl glycoside with a dihalomethyl alkyl ether. CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 216014-15-2P (processes for the production of amino-alkyl glucosaminide phosphate and disaccharide immunoeffectors, and intermediates therefor via glycosylation reaction) L38 ANSWER 2 OF 12 USPATFULL on STN 2004:335912 USPATFULL ΑN Processes for the production of aminoalkyl glucosaminide phosphate and TT disaccharide immunoeffectors and intermediates therefor Johnson, David A, Hamilton, MT, UNITED STATES IN Johnson, Craig L., Hamilton, MT, UNITED STATES Bazin, Helene G., Stevensville, MT, UNITED STATES Sowell, C. Gregory, Mukilteo, WA, UNITED STATES ΡI US 2004267007 **A**1 20041230 20040812 (10) US 2004-472991 Αl ΑI WO 2003-US21504 20030708 20020708 PRAI US 2002-60394487 US 2003-60438585 20030106 DT Utility APPLICATION FS TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH LRÉP FLOOR, SAN FRANCISCO, CA, 94111-3834 Number of Claims: 113 CLMN Exemplary Claim: 1 ECL DRWN No Drawings LN.CNT 1677 CAS INDEXING IS AVAILABLE FOR THIS PATENT. This invention relates to processes for production of alkylamino AB glucosaminide phosphate compounds, and of disaccharide compounds, including various novel intermediates and intermediate processes. In one aspect, glycosyl halides are produced by reaction of an O-silyl glycoside with a dihalomethyl alkyl ether. CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 216014-15-2P (processes for production of aminoalkyl glucosaminide phosphate and disaccharide potential immunoeffectors via silylation and halogenation reactions) L38 ANSWER 3 OF 12 USPATFULL on STN 2004:190707 USPATFULL AN Prophylactic and therapeutic treatment of infectious and other diseases ΤI with mono-and disaccharide-based compounds Persing, David H., Redmond, WA, UNITED STATES Crane, Richard T., Hamilton, MT, UNITED STATES TN Elliott, Gary T., Stevensville, MT, UNITED STATES Ulrich, J. Terry, Corvallis, MT, UNITED STATES Lacy, Michael J., Hamilton, MT, UNITED STATES Johnson, David A., Hamilton, MT, UNITED STATES Baldridge, Jory R., Victor, MT, UNITED STATES Wang, Rong, Missoula, MT, UNITED STATES Corixa Corporation, Seattle, WA, UNITED STATES (U.S. PΑ corporation)

20040729

20040113 (10)

Continuation of Ser. No. US 2001-991376, filed on 20 Nov 2001, PENDING

Continuation-in-part of Ser. No. US 2001-861466, filed on 18 May 2001,

A1

Α1

US 2004147480

PENDING

US 2004-757233

ΡI

ΑI

RLI

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PRAI
       US 2001-281567P
                            20010404 (60)
       US 2000-205820P
                            20000519 (60)
דת
       Utility
FS
       APPLICATION
       TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH
LREP
       FLOOR, SAN FRANCISCO, CA, 94111-3834
CLMN
       Number of Claims: 35
       Exemplary Claim: 1
ECL
DRWN
       26 Drawing Page(s)
LN.CNT 1470
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Methods and compositions for treating or ameliorating diseases and other
       conditions, such as infectious diseases, autoimmune diseases and
       allergies are provided. The methods employ mono- and disaccharide-based
       compounds for selectively stimulating immune responses in animals and
       plants.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     216013-82-0 216013-88-6 216013-97-7
      216014-15-2 216014-21-0 216014-29-8
      216014-37-8 376394-26-2
        (prophylactic and therapeutic treatment of infectious and other
        diseases with mono- and disaccharide-based compds. in relation to
        toxicity)
L38 ANSWER 4 OF 12 USPATFULL on STN
       2003:324306 USPATFULL
AN
       Compositions and methods for viral delivery
TΙ
       Mossman, Sally, Seattle, WA, UNITED STATES
IN
       Evans, Lawrence, Seattle, WA, UNITED STATES
       Swanson, Ryan M., Seattle, WA, UNITED STATES
       Corixa Corporation, Seattle, WA, 98104 (U.S. corporation)
PA
       US 2003228279
                          A1
                               20031211
PΙ
                               20021029 (10)
       US 2002-283484
AΙ
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PRAI
       US 2002-369715P
                           20020403 (60)
                           20011031 (60)
       US 2001-335512P
DT
       Utility
       APPLICATION
FS
       TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH
LREP
       FLOOR, SAN FRANCISCO, CA, 94111-3834
       Number of Claims: 34
CLMN ·
       Exemplary Claim: 1
ECL
       7 Drawing Page(s) .
DRWN
LN.CNT 2866
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compositions and methods comprising a recombinant virus and an
AB
       immunostimulant are provided for enhancing the immune response to a
       polypeptide expressed from the recombinant virus. Preferably this is
       done without also enhancing the neutralizing antibody response to the
       recombinant virus. Illustrative compositions comprise an adenovirus and
       an adjuvant such as, for example, monophosphoryl lipid A, an alkyl
       glucosaminide phosphate, a saponin, or a combination thereof. The
       disclosed compositions and methods are useful; for example, in the
       treatment of diseases such as cancer or infectious disease.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     521333-28-8
        (viral vector and immunostimulant for delivering vaccine and enhancing
        immune response without causing neutralizing antibody response to viral
        vector)
L38 ANSWER 5 OF 12 USPATFULL on STN AN 2003:283116 USPATFULL
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Search done by Noble Jarrell

Aminoalkyl glucosaminide phosphate compounds and their use as adjuvants

Johnson, David A., Hamilton, MT, UNITED STATES

ΤI

IN

and immunoeffectors

Page 121

```
Sowell, C. Gregory, Mukilteo, WA, UNITED STATES
       Corixa Corporation, Seattle, WA, UNITED STATES, 98104 (U.S.
PA
       corporation)
                                20031023
       US 2003199460
                           A1
PΙ
                                20020430 (10)
                          A1
       US 2002-137730
AI
       Continuation-in-part of Ser. No. US 2002-43086, filed on 8 Jan 2002,
RLI
       PENDING Continuation-in-part of Ser. No. US 2001-905160, filed on 12 Jul
       2001, PENDING Continuation of Ser. No. US 1999-439839, filed on 12 Nov
       1999, GRANTED, Pat. No. US 6303347 Continuation-in-part of Ser. No. US
       1997-853826, filed on 8 May 1997, GRANTED, Pat. No. US 6113918
       Utility
       APPLICATION
FS
       SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300,
LREP
       SEATTLE, WA, 98104-7092
       Number of Claims: 48
CLMN
       Exemplary Claim: 1
ECL
       4 Drawing Page(s)
DRWN
LN.CNT 5737
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Aminoalkyl glucosaminide phosphate (AGP) compounds that are adjuvants
       and immunoeffectors are described and claimed. The compounds have a
       2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl
        (aglycon) group. Compounds are phosphorylated at the 4 or 6 carbon on
       the glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl
       residues. The compounds augment antibody production in immunized animals
       as well as stimulate cytokine production and activate macrophages.
       Compositions and methods for using the compounds as adjuvants and
        immunoeffectors are also disclosed.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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       339079-17-3P
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         adjuvants and immuno-effectors)
      216014-80-1P 216014-85-6P
 IT
         (preparation of aminoalkyl glucosaminide phosphates and their use as
         adjuvants and immuno-effectors)
     ANSWER 6 OF 12 USPATFULL on STN
 L38
        2003:201370 USPATFULL
        Prophylactic and therapeutic treatment of infectious and other diseases
 ΑN
 ΤI
        with mono- and disaccharide-based compounds
        Persing, David H., Redmond, WA, UNITED STATES
Crane, Richard T., Hamilton, MT, UNITED STATES
 IN
        Elliot, Gary T., Stevensville, MT, UNITED STATES
        Ulrich, J. Terry, Corvallis, MT, UNITED STATES
        Lacy, Michael J., Hamilton, MT, UNITED STATES
        Johnson, David A., Hamilton, MT, UNITED STATES Baldridge, Jory R., Victor, MT, UNITED STATES
        Wang, Rong, Missoula, MT, UNITED STATES
                                 20030724
                            A1
        US 2003139356
 PΙ
                                 20011120 (9)
        US 2001-991376
                            A1
        Continuation-in-part of Ser. No. US 2001-861466, filed on 18 May 2001,
 ΑI
 RLI
         PENDING
         Utility
 DΤ
        TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH
         APPLICATION
 FS
 LREP
         FLOOR, SAN FRANCISCO, CA, 94111-3834
         Number of Claims: 37
 CLMN
         Exemplary Claim: 1
 ECL
         35 Drawing Page(s)
 DRWN
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LN.CNT 1561
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
        Methods and compositions for treating or ameliorating diseases and other
        conditions, such as infectious diseases, autoimmune diseases and
        allergies are provided. The methods employ mono- and disaccharide-based
        compounds for selectively stimulating immune responses in animals and
        plants.
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      216013-82-0 216013-88-6, RC 560 216013-97-7,
       RC '538 216014-06-1 216014-15-2, RC 527
       216014-21-0, RC 537 216014-29-8, RC 555
       216014-37-8, RC 554 216014-82-3 376394-26-2,
       RC 526 566170-23-8 566170-24-9 566170-25-0
       566170-26-1 566170-28-3 566170-30-7
         (prophylaxis and treatment of infectious diseases and allergy with
         mono- and disaccharide-based compds.)
 L38 ANSWER 7 OF 12 USPATFULL on STN
        2003:153364 USPATFULL
 AN
 TI
        Phophylactic and therapeutic treatment of infectious and other diseases
        with mono-and disaccharide-based compounds
 TN
        Persing, David H., Sammamish, WA, UNITED STATES
        Crane, Richard Thomas, Hamilton, MT, UNITED STATES
        Elliott, Gary T., Pacifica, CA, UNITED STATES
        Ulrich, J. Terry, Corvallis, MT, UNITED STATES
        Lacy, Michael J., Hamilton, MT, UNITED STATES
          Johnson, David A., Hamilton, MT, UNITED STATES
        Baldridge, Jory R., Victor, MT, UNITED STATES
        Wang, Rong, Missoula, MT, UNITED STATES
 PΤ
        US 2003105032
                                20030605
                           A1
 ΑI
        US 2002-128156
                          A1
                                20020422 (10)
 RLT
        Continuation-in-part of Ser. No. US 2001-991376, filed on 20 Nov 2001,
        PENDING Continuation-in-part of Ser. No. US 2001-861466, filed on 18 May
        2001, PENDING
                            20010404 (60)
 PRAI
        US 2001-281567P
        US 2000-205820P
                            20000519 (60)
 DT
        Utility
 FS
        APPLICATION
        TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH
LREP
        FLOOR, SAN FRANCISCO, CA, 94111-3834
        Number of Claims: 37
CLMN
ECL
        Exemplary Claim: 1
DRWN
        35 Drawing Page(s)
 LN.CNT 1656
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
        Methods and compositions for treating or ameliorating diseases and other
AΒ
        conditions, such as infectious diseases, autoimmune diseases and
        allergies are provided. The methods employ mono- and disaccharide-based
        compounds for selectively stimulating immune responses in animals and
        plants.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      216013-82-0 216013-88-6 216013-97-7
       216014-15-2 216014-21-0 216014-29-8
       216014-37-8 376394-26-2
         (prophylactic and therapeutic treatment of infectious and other
         diseases with mono- and disaccharide-based compds. in relation to
         toxicity)
.L38 ANSWER 8 OF 12 USPATFULL on STN AN 2003:134554 USPATFULL
TI
        Aminoalkyl glucosaminide phosphate compounds and their use as adjuvants
        and immunoeffectors
IN
        Johnson, David A., Hamilton, MT, UNITED STATES
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Sowell, C. Gregory, Mukilteo, WA, UNITED STATES

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Page 123

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Corixa Corporation, Seattle, WA, 98104 (U.S. corporation)
PA
       US 2003092643
                         A1 20030515
PΙ
ΑI
       US 2002-43086
                                20020108 (10)
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RLI
       Continuation-in-part of Ser. No. US 2001-905160, filed on 12 Jul 2001,
       PENDING Continuation of Ser. No. US 1999-439839, filed on 12 Nov 1999,
       GRANTED, Pat. No. US 6303347 Continuation-in-part of Ser. No. US
       1997-853826, filed on 8 May 1997, GRANTED, Pat. No. US 6113918
DT
       Utility
FS
       APPLICATION
       SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300,
LREP
       SEATTLE, WA, 98104-7092
CLMN
       Number of Claims: 48
ECL
       Exemplary Claim: 1
       4 Drawing Page(s)
DRWN
LN.CNT 5672
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Aminoalkyl glucosaminide phosphate (AGP) compounds that are adjuvants
AB
       and immunoeffectors are described and claimed. The compounds have a
       2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl
       (aglycon) group. Compounds are phosphorylated at the 4 or 6 carbon on
       the glucosaminide ring and comprise three 3- alkanoyloxyalkanoyl
       residues. The compounds augment antibody production in immunized animals
       as well as stimulate cytokine production and activate macrophages.
       Compositions and methods for using the compounds as adjuvants and
       immunoeffectors are also disclosed.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     216014-80-1P 216014-85-6P
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
     216014-37-8P 339078-67-0P 339078-71-6P
      339078-75-0P 339078-77-2P 339079-17-3P
      525604-83-5P
        (vaccine compns. comprising aminoalkyl glucosaminide phosphate compds.
        as adjuvants and immunoeffectors for treating cancerous and infectious
        diseases)
L38 ANSWER 9 OF 12 USPATFULL on STN
       2002:149148 USPATFULL
AN
TI
       Prophylactic and therapeutic treatment of infectious and other diseases
       with mono- and disaccharide-based compounds
TN
       Persing, David H., Redmond, WA, UNITED STATES
       Crane, Richard Thomas, Hamilton, WA, UNITED STATES
       Elliott, Gary T., Stevensville, MT, UNITED STATES
       Ulrich, J. Terry, Corvallis, MT, UNITED STATES Lacy, Michael J., Hamilton, MT, UNITED STATES
         Johnson, David A., Hamilton, MT, UNITED STATES
       Baldridge, Jory R., Victor, MT, UNITED STATES
       Wang, Rong, Missoula, MT, UNITED STATES
ΡI
       US 2002077304
                          A1
                               20020620
       US 6800613
                           B2
                                20041005
       US 2001-861466
AΤ
                                20010518 (9)
                          A1
PRAI
       US 2001-281567P
                           20010404 (60)
       US 2000-205820P
                           20000519 (60)
DT
       Utility
FS
       APPLICATION
       SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300,
LREP
       SEATTLE, WA, 98104-7092
CLMN
       Number of Claims: 29
ECL
       Exemplary Claim: 1
DRWN
       14 Drawing Page(s)
LN.CNT 1143
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Methods and compositions for treating or ameliorating diseases and other
       conditions, such as infectious diseases, autoimmune diseases and
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allergies are provided. The methods employ mono- and disaccharide-based

,

compounds for selectively stimulating immune responses in animals and plants. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 376394-26-2, RC 526 376394-27-3, RC 554 376394-28-4, RC 555 376394-29-5, RC 537 376394-30-8, RC 527 376394-31-9, RC 538 376394-32-0, RC 560 376394-46-6, RC 512 (prophylactic and therapeutic treatment of infectious and other diseases with mono- and disaccharide-based compds. in relation to toxicity) L38 ANSWER 10 OF 12 USPATFULL on STN 2002:50623 USPATFULL ΑN ΤI Aminoalkyl glucosamine phosphate compounds and their use as adjuvants and immunoeffectors IN Johnson, David A., Hamilton, MT, United States Sowell, C. Gregory, Hamilton, MT, United States Corixa Corporation, Seattle, WA, United States (U.S. PA corporation) US 6355257 PΤ 20020312 B1 US 1998-74720 19980507 (9) AΙ RLI Continuation-in-part of Ser. No. US 1997-853826, filed on 8 May 1997 DT Utility FS GRANTED EXNAM Primary Examiner: Park, Hankyel Kullick, Ronald H. LREP CLMN Number of Claims: 6 ECL Exemplary Claim: 1 DRWN 0 Drawing Figure(s); 0 Drawing Page(s) LN.CNT 3451 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Aminoalkyl glucosamine phosphate compounds that are adjuvants and AΒ immunoeffectors are described and claimed. The compounds have a 2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl (aglycon) group. Compounds are phosphorylated at the 4 or 6 carbon on the glucosamine ring and comprise three 3-alkanoyloxyalkanoyl residues. The compounds augment antibody production in immunized animals as well as stimulate cytokine production and activate macrophages. Methods for using the compounds as adjuvants and immunoeffectors are also disclosed. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 216013-82-0P 216013-88-6P 216013-97-7P 216014-06-1P 216014-15-2P 216014-21-0P 216014-29-8P 216014-37-8P 216014-76-5P 216014-82-3P (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors) IT 216014-80-1P 216014-85-6P (preparation of aminoalkyl glucosamine phosphates and their use as adjuvants and immunoeffectors) L38 ANSWER 11 OF 12 USPATFULL on STN ΑN 2001:178851 USPATFULL TI Aminoalkyl glucosaminide phosphate compounds and their use as adjuvants and immunoeffectors TN Johnson, David A., Hamilton, MT, United States Sowell, C. Gregory, Kirkland, WA, United States PA Corixa Corporation, Seattle, WA, United States (U.S. corporation) PΙ US 6303347 20011016 19991112 (9) AΙ US 1999-439839 RLI Continuation-in-part of Ser. No. US 1997-853826, filed on 8 May 1997,

now patented, Pat. No. US 6113918

DT

Utility

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GRANTED
FS
       Primary Examiner: Park, Hankyel T.
EXNAM
       Seed Intellectual Property Law Group PLLC
LREP
       Number of Claims: 36
CLMN
       Exemplary Claim: 1
ECL
       No Drawings
DRWN
LN.CNT 4405
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Aminoalkyl glucosaminide phosphate (AGP) compounds that are adjuvants
       and immunoeffectors are described and claimed. The compounds have a
       2-deoxy-2-amino glucose in glycosidic linkage with an aminoalkyl
       (aglycon) group. Compounds are phosphorylated at the 4 or 6 carbon on
       the glucosaminide ring and comprise three 3-alkanoyloxyalkanoyl
       residues. The compounds augment antibody production in immunized animals
       as well as stimulate cytokine production and activate macrophages.
       Methods for using the compounds as adjuvants and immunoeffectors are
       also disclosed.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     216014-80-1P 216014-85-6P
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
TT
     216013-82-0P 216013-88-6P 216013-97-7P
      216014-06-1P 216014-15-2P 216014-21-0P
      216014-29-8P 216014-37-8P 216014-76-5P
      216014-82-3P 339078-61-4P 339078-67-0P
      339078-69-2P 339078-71-6P 339078-73-8P
      339078-75-0P 339078-77-2P 339079-17-3P
      367273-91-4P
        (aminoalkyl glucosaminide phosphate compds. and their use as adjuvants
        and immunoeffectors)
L38 ANSWER 12 OF 12 USPAT2 on STN
       2002:149148 USPAT2
AN
ΤI
       Prophylactic and therapeutic treatment of infectious and other diseases
       with mono- and disaccharide-based compounds
       Persing, David H., Redmond, WA, United States
IN
       Crane, Richard Thomas, Hamilton, MT, United States
       Elliott, Gary T., Stevensville, MT, United States
       Ulrich, J. Terry, Corvallis, MT, United States
       Lacy, Michael J., Hamilton, MT, United States
         Johnson, David A., Hamilton, MT, United States
       Baldridge, Jory R., Victor, MT, United States
       Wang, Rong, Missoula, MT, United States
PA
       Corixa Corporation, Seattle, WA, United States (U.S.
       corporation)
ΡI
       US 6800613
                               20041005
       US 2001-861466
                               20010518 (9)
ΑI
       US 2001-281567P
                           20010404 (60)
PRAI
       US 2000-205820P
                           20000519 (60)
DT
       Utility
FS
       GRANTED
      Primary Examiner: Wilson, James O.; Assistant Examiner: Maier, Leigh C.
EXNAM
       Townsend and Townsend and Crew LLP
LREP
       Number of Claims: 53
CLMN
ECL
       Exemplary Claim: 1
DRWN
       25 Drawing Figure(s); 14 Drawing Page(s)
LN.CNT 1175
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Methods and compositions for treating or ameliorating diseases and other
AΒ
       conditions, such as infectious diseases, autoimmune diseases and
       allergies are provided. The methods employ mono- and disaccharide-based
       compounds for selectively stimulating immune responses in animals and
       plants.
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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